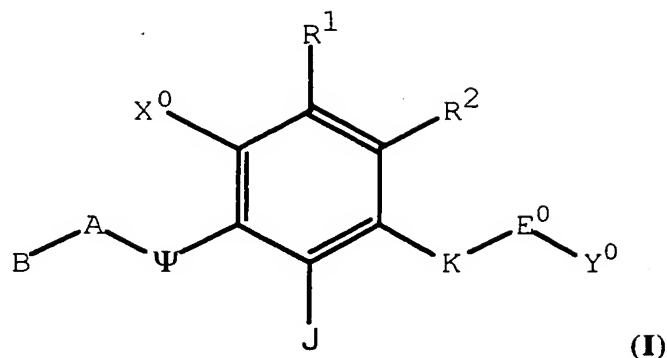


What we claim is:

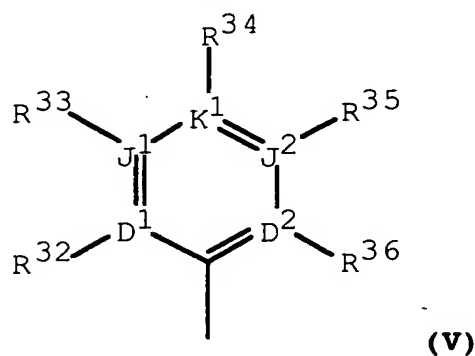
1. A compound having the Formula (I):



or a pharmaceutically acceptable salt thereof, wherein;

- 5 J is selected from the group consisting of hydrido, halo, hydroxy, hydroxyalkyl, amino, aminoalkyl, cyano, alkyl, alkenyl, haloalkyl, haloalkenyl, carboxy, carboxyalkyl, carboalkoxy, amidocarbonyl, acyl, phosphono, sulfo, $O-R^6$, $NH-R^6$, $S-R^6$, $S(O)-R^6$, and $S(O)_2-R^6$, wherein R^6 is selected from the group consisting of alkyl, alkenyl, aryl, heteroaryl, aralkyl, heteroaralkyl,
- 10 haloalkyl, haloalkenyl, acyl, aroyl, and heteroaroyl;

B is formula (V):



- wherein D^1 , D^2 , J^1 , J^2 and K^1 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more
- 15 than one is a covalent bond, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is O, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is S, one of D^1 , D^2 , J^1 , J^2 and K^1 must be a covalent bond when two of D^1 , D^2 , J^1 , J^2 and K^1 are O and S, and

no more than four of D^1 , D^2 , J^1 , J^2 and K^1 are N with the proviso that R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

- 5 R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected to be Q^b ;
 R^9 , R^{10} , R^{11} , R^{12} , R^{13} , R^{16} , R^{17} , R^{18} , R^{19} , R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, dialkylsulfonium, trialkylphosphonium, dialkylsulfoniumalkyl, carboxy, heteroaralkylthio, heteroaralkoxy, cycloalkylamino, acylalkyl, acylalkoxy, aryloylalkoxy, heterocycloxy, aralkylaryl, aralkyl, aralkenyl, aralkynyl, heterocyclyl, perhaloaralkyl, aralkylsulfonyl, aralkylsulfonylalkyl, aralkylsulfinyl, aralkylsulfinylalkyl, halocycloalkyl, halocycloalkenyl, cycloalkylsulfinyl, cycloalkylsulfinylalkyl, cycloalkylsulfonyl, cycloalkylsulfonylalkyl, heteroaryl amino, N-heteroaryl amino-N-alkyl amino, heteroaryl aminoalkyl, haloalkylthio, alkanoyloxy, alkoxy, alkoxyalkyl, haloalkoxyalkyl, heteroaralkoxy, cycloalkoxy, cycloalkenyloxy, cycloalkoxyalkyl, cycloalkylalkoxy, cycloalkenyloxyalkyl, cycloalkylenedioxy, halocycloalkoxy, halocycloalkoxyalkyl, halocycloalkenyloxy, halocycloalkenyloxyalkyl, hydroxy, amino, alkoxyamino, thio, nitro, lower alkyl amino, alkylthio, alkylthioalkyl, aryl amino, aralkyl amino, arylthio, arylthioalkyl, heteroaralkoxyalkyl, alkylsulfinyl, alkylsulfinylalkyl, arylsulfinylalkyl, arylsulfonylalkyl, heteroarylsulfinylalkyl, heteroarylsulfonylalkyl, alkylsulfonyl, alkylsulfonylalkyl, haloalkylsulfinylalkyl, haloalkylsulfonylalkyl, alkylsulfonamido, alkylaminosulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, monoaryl amidosulfonyl, arylsulfonamido, diarylamidosulfonyl, monoalkyl monoaryl amidosulfonyl, arylsulfinyl, arylsulfonyl, heteroarylthio, heteroarylsulfinyl, heteroarylsulfonyl, heterocyclylsulfonyl, heterocyclylthio, alkanoyl, alkenoyl, aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl, haloalkanoyl, alkyl, alkenyl, alkynyl, alkenyloxy, alkenyloxyalkyl, alkylenedioxy, haloalkylenedioxy, cycloalkyl, cycloalkylalkanoyl, cycloalkenyl, lower cycloalkylalkyl, lower cycloalkenylalkyl, halo, haloalkyl,
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haloalkenyl, haloalkoxy, hydroxyhaloalkyl, hydroxyaralkyl, hydroxyalkyl, aminoalkyl, hydroxyheteroaralkyl, haloalkoxyalkyl, aryl, aralkyl, aryloxy, aralkoxy, aryloxyalkyl, saturated heterocyclyl, partially saturated heterocyclyl, heteroaryl, heteroaryloxy, heteroaryloxyalkyl, arylalkyl, heteroarylalkyl, arylalkenyl, heteroarylalkenyl, carboxyalkyl, carboalkoxy, alkoxycarboxamido, alkylamidocarbonylamido, arylamidocarbonylamido, carboalkoxyalkyl, carboalkoxyalkenyl, carboaralkoxy, carboxamido, carboxamidoalkyl, cyano, carbohaloalkoxy, phosphono, phosphonoalkyl, diaralkoxyphosphono, and diaralkoxyphosphonoalkyl;

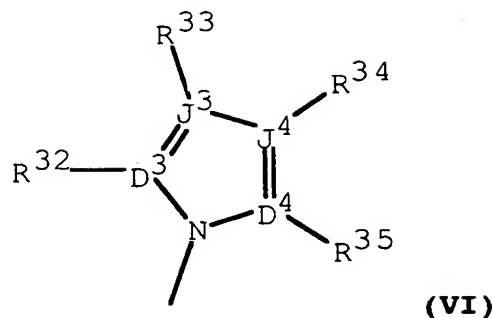
10 R^{32} and R^{33} , R^{33} and R^{34} , R^{34} and R^{35} , and R^{35} and R^{36}

substituent pairs are independently selected to form a spacer pair wherein a spacer pair is taken together to form a linear moiety having from 3 through 6 contiguous atoms connecting the points of bonding of said spacer pair members to form a ring selected from the group consisting of a cycloalkenyl ring having 5 through 8 contiguous members, a partially saturated heterocyclyl ring having 5 through 8 contiguous members, a heteroaryl ring having 5 through 6 contiguous members, and an aryl with the proviso that no more than one of the group consisting of spacer pairs R^{32} and R^{33} , R^{33} and R^{34} , R^{34} and R^{35} , and R^{35} and R^{36} are used at the same time;

20 R^9 and R^{10} , R^{10} and R^{11} , R^{11} and R^{12} , and R^{12} and R^{13} spacer

pairs are independently selected to form a spacer pair wherein a spacer pair is taken together to form a linear moiety having from 3 through 6 contiguous atoms connecting the points of bonding of said spacer pair members to form a ring selected from the group consisting of a cycloalkenyl ring having 5 through 8 contiguous members, a partially saturated heterocyclyl ring having 5 through 8 contiguous members, a heteroaryl ring having 5 through 6 contiguous members, and an aryl with the proviso that no more than one of the group consisting of spacer pairs R^9 and R^{10} , R^{10} and R^{11} , R^{11} and R^{12} , and R^{12} and R^{13} is used at the same time;

30 B is formula (VI):



wherein D^3 , D^4 , J^3 , and J^4 are independently selected from the group consisting of C, N, O, and S, no more than one of D^3 , D^4 , J^3 , and J^4 is O, no more than one of D^3 , D^4 , J^3 , and J^4 is S, and no more than three of D^1 , D^2 , J^1 , and J^2 are N with the proviso that R^{32} , R^{33} , R^{34} , and R^{35} are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

B is selected from the group consisting of C3-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, C3-C8 haloalkyl, and C3-C8 haloalkenyl wherein each member of group B may be optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R_{32} , R_{33} , R_{34} , R_{35} , and R_{36} ;

B is selected from the group consisting of C3-C10 cycloalkyl, C5-C10 cycloalkenyl, C4-C9 saturated heterocyclyl, and C4-C9 partially saturated heterocyclyl, wherein each ring carbon may be optionally substituted with R_{33} , a ring carbon other than the ring carbon at the point of attachment of B to A may be optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbon and nitrogen atoms adjacent to the carbon atom at the point of attachment may be optionally substituted with R_9 or R_{13} , a ring carbon or nitrogen atom adjacent to the R_9 position and two atoms from the point of attachment may be substituted with R_{10} , a ring carbon or nitrogen atom adjacent to the R_{13} position and two atoms

from the point of attachment may be substituted with R_{12} , a ring carbon or nitrogen atom three atoms from the point of attachment and adjacent to the R_{10} position may be substituted with R_{11} , a ring carbon or nitrogen atom three atoms from the point of attachment and adjacent to the R_{12} position may be substituted with R_{33} , and a ring carbon or nitrogen atom four atoms from the point of attachment and adjacent to the R_{11} and R_{33} positions may be substituted with R_{34} ;

A is selected from the group consisting of single covalent bond, $(W^7)_{rr}-(CH(R^{15}))_{pa}$ and $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 6, and W^7 is selected from the group consisting of O, S, C(O), C(S), C(O)S, C(S)O, C(O)N(R^7), C(S)N(R^7), (R^7)NC(O), (R^7)NC(S), S(O), S(O)₂, S(O)₂N(R^7), (R^7)NS(O)₂, Se(O), Se(O)₂, Se(O)₂N(R^7), (R^7)NSe(O)₂, P(O)(R^8), N(R^7)P(O)(R^8), P(O)(R^8)N(R^7), C(N R^7)N(R^7), (R^7)NC(N R^7), and N(R^7) with the proviso that no more than one of the group consisting of rr and pa are 0 at the same time;

R^7 and R^8 are independently selected from the group consisting of hydrido, hydroxy, alkyl, alkenyl, aryl, aralkyl, aryloxy, alkoxy, alkenyloxy, alkylthio, alkylamino, arylthio, arylamino, acyl, aroyl, heteroaroyl, aralkoxyalkyl, heteroaralkoxyalkyl, , aryloxyalkyl, alkoxyalkyl, alkenyloxyalkyl, alkylthioalkyl, arylthioalkyl, aralkoxyalkyl, heteroaralkoxyalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, heteroaryl, heteroaryloxy, heteroarylamino, heteroaralkyl, heteroaralkyloxy, heteroaralkylamino, and heteroaryloxyalkyl;

R^{14} , R^{15} , R^{37} , R^{38} , R^{39} , R^{40} , R^{41} and R^{42} are independently selected from the group consisting of hydrido, hydroxy, halo, cyano, aryloxy, amino, alkylamino, dialkylamino, hydroxyalkyl, aminoalkyl, acyl, aroyl, heteroaroyl,

- heteroaryloxyalkyl, sulfhydryl, acylamido, alkoxy, alkylthio, arylthio, alkyl, alkenyl, alkynyl, aryl, aralkyl, aryloxyalkyl, aralkoxyalkylalkoxy, alkylsulfinylalkyl, alkylsulfonylalkyl, aralkylthioalkyl, heteroaralkoxythioalkyl, alkoxyalkyl, heteroaryloxyalkyl, alkenyloxyalkyl, alkylthioalkyl, arylthioalkyl, 5 cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, cycloalkenyl, cycloalkenylalkyl, haloalkyl, haloalkenyl, halocycloalkyl, halocycloalkenyl, haloalkoxy, haloalkoxyalkyl, haloalkenyloxyalkyl, halocycloalkoxy, halocycloalkoxyalkyl, halocycloalkenyloxyalkyl, saturated heterocyclyl, partially saturated heterocyclyl, heteroaryl, heteroarylalkyl, heteroarylthioalkyl, 10 heteroaralkylthioalkyl, monocarboalkoxyalkyl, dicarboalkoxyalkyl, monocyanoalkyl, dicyanoalkyl, carboalkoxycyanoalkyl, alkylsulfinyl, alkylsulfonyl, haloalkylsulfinyl, haloalkylsulfonyl, arylsulfinyl, arylsulfinylalkyl, arylsulfonyl, arylsulfonylalkyl, aralkylsulfinyl, aralkylsulfonyl, cycloalkylsulfinyl, cycloalkylsulfonyl, cycloalkylsulfinylalkyl, 15 cycloalkylsulfonylalkyl, heteroarylsulfonylalkyl, heteroarylsulfinyl, heteroarylsulfonyl, heteroarylsulfinylalkyl, aralkylsulfinylalkyl, aralkylsulfonylalkyl, carboxy, carboxyalkyl, carboalkoxy, carboxamide, carboxamidoalkyl, carboaralkoxy, trialkylsilyl, dialkoxyphosphono, diaralkoxyphosphono, dialkoxyphosphonoalkyl, and 20 diaralkoxyphosphonoalkyl with the proviso that R^{37} and R^{38} are independently selected from an acyl other than formyl ;

- R^{14} and R^{14} , when bonded to different carbons, are taken together to form a group selected from the group consisting of covalent bond, alkylene, haloalkylene, and a linear moiety spacer selected to form a ring selected from 25 the group consisting of cycloalkyl ring having from 5 through 8 contiguous members, cycloalkenyl ring having from 5 through 8 contiguous members, and a heterocyclyl having from 5 through 8 contiguous members;

- R^{14} and R^{15} , when bonded to different carbons, are taken together to form a group selected from the group consisting of covalent bond, alkylene, 30 haloalkylene, and a linear moiety spacer selected to form a ring selected from the group consisting of a cycloalkyl ring having from 5 through 8 contiguous members, a cycloalkenyl ring having from 5 through 8 contiguous members, and a heterocyclyl having from 5 through 8 contiguous members;

R^{15} and R^{15} , when bonded to different carbons, are taken together to form a group selected from the group consisting of covalent bond, alkylene, haloalkylene, and a linear moiety spacer selected to form a ring selected from the group consisting of cycloalkyl ring having from 5 through 8 contiguous members, cycloalkenyl ring having from 5 through 8 contiguous members, and a heterocyclyl having from 5 through 8 contiguous members;

Ψ is selected from the group consisting of NR^5 , O, C(O), C(S), S, S(O), S(O)₂, ON(R⁵), P(O)(R⁸), and CR³⁹R⁴⁰ with the provisos that Ψ is selected from other than NR^5 , O, S, S(O), and S(O)₂ unless any two of X⁰, R², R¹, and J are other than hydrido, or that Ψ is selected from other than O, unless A is selected from other than methylene when B is phenyl, that Ψ is selected from other than C(O), unless A is selected from other than methyleneoxy when B is phenyl, or that Ψ is selected from other than NH unless A is selected from other than a single covalent bond when B is acyl, or that Ψ is selected from other than NH unless A is selected from other than S(O) or S(O)₂ when B is phenyl;

R^5 is selected from the group consisting of hydrido, alkyl, alkenyl, alkynyl, aryl, aralkyl, aryloxy, alkoxy, alkenyloxy, alkylthio, arylthio, aralkoxyalkyl, heteroaralkoxyalkyl, aryloxyalkyl, alkoxyalkyl, alkenyloxyalkyl, alkylthioalkyl, arylthioalkyl, aralkoxyalkyl, heteroaralkoxyalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, cycloalkenyl, cycloalkenylalkyl, haloalkyl, haloalkenyl, halocycloalkyl, halocycloalkenyl, haloalkoxyalkyl, haloalkenyloxyalkyl, halocycloalkoxyalkyl, halocycloalkenyloxyalkyl, heteroaryl, heteroarylalkyl, monocarboalkoxyalkyl, monocarboalkoxy, dicarboalkoxyalkyl, monocarboxamido, monocyanoalkyl, dicyanoalkyl, carboalkoxycyanoalkyl, acyl, aroyl, heteroaroyl, heteroaryloxyalkyl, and dialkoxyphosphonoalkyl;

R^{39} and R^{40} , when bonded to the same carbon, are taken together to form a group selected from a group consisting of oxo, thiono, R⁵-N, alkylene, haloalkylene, and a linear moiety spacer having from 2 through 7 contiguous

atoms to form a ring selected from the group consisting of a cycloalkyl ring having from 3 through 8 contiguous members, a cycloalkenyl ring having from 3 through 8 contiguous members, and a heterocyclyl ring having from 3 through 8 contiguous members;

- 5 X^0 , R^2 and R^1 are independently selected from the group consisting of Z^0 -Q, hydrido, alkyl, alkenyl, and halo;

- X^0 , R^2 and R^1 are independently selected from the group consisting of amidino, guanidino, dialkylsulfonium, trialkylphosphonium, dialkylsulfoniumalkyl, heteroaryl amino, amino, nitro, alkyl amino, aryl amino, 10 aralkyl amino, alkanoyl, alkenoyl, aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl, haloalkanoyl, hydroxyhaloalkyl, cyano, and phosphono;

- X^0 and R^1 are taken together to form a spacer pair wherein the spacer pair forms a linear moiety having from 3 through 6 contiguous atoms connecting the points of bonding of said spacer pair members to form a ring 15 selected from the group consisting of a cycloalkenyl ring having from 5 through 8 contiguous members and a partially saturated heterocyclyl ring having from 5 through 8 contiguous members with the proviso that no more than one of the group consisting of spacer pair X^0 and R^1 and spacer pair R^2 and R^1 is used at the same time;

- 20 X^0 and R^5 are taken together to form a spacer pair wherein the spacer pair forms a linear spacer moiety having from 2 through 5 contiguous atoms connecting the points of bonding of said spacer pair members to form a heterocyclyl ring having from 5 through 8 contiguous members;

- X^0 and R^{39} are taken together to form a spacer pair wherein the spacer pair forms a linear spacer moiety having from 2 through 5 contiguous atoms 25 connecting the points of bonding of said spacer pair members to form a heterocyclyl ring having from 5 through 8 contiguous members;

- X^0 and R^{40} are taken together to form a spacer pair wherein the spacer pair forms a linear spacer moiety having from 2 through 5 contiguous atoms 30 connecting the points of bonding of said spacer pair members to form a heterocyclyl ring having from 5 through 8 contiguous members;

X^0 is selected to form a linear moiety having from 2 through 5 contiguous atoms linked to the points of bonding of both R^{39} and R^{40} to form a heterocyclyl ring having from 5 through 8 contiguous members;

5 R^2 and R^1 are taken together to form a spacer pair wherein the spacer pair forms a linear moiety having from 3 through 6 contiguous atoms connecting the points of bonding of said spacer pair members to form a ring selected from the group consisting of a cycloalkenyl ring having from 5 through 8 contiguous members and a partially saturated heterocyclyl ring having from 5 through 8 contiguous members with the proviso that no more
10 than one of the group consisting of spacer pair X^0 and R^1 and spacer pair R^2 and R^1 is used at the same time ;

X^0 and R^1 and R^2 and R^1 spacer pairs are selected independently to be $-W=X-Y=Z-$ forming a ring selected from the group consisting of a heteroaryl ring having from 5 through 6 contiguous members and an aryl with
15 the proviso that no more than one of the group consisting of spacer pair X^0 and R^1 and spacer pair R^2 and R^1 is used at the same time;

W, X, Y, and Z are independently selected from the group consisting of $C(R^9)$, N, $N(R^{10})$, O, S and a covalent bond with the provisos that W, X, Y, and Z are independently selected to be a covalent bond when one of W, X, Y, and Z
20 is selected from the group consisting of O and S, no more than one of W, X, Y, and Z is selected from the group consisting of O and S, no more than three of W, X, Y, and Z are selected from the group consisting of N and $N(R^{10})$, and $C(R^9)$, N, $N(R^{10})$, O, and S are independently selected to maintain the
25 tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, the divalent nature of oxygen, and the aromaticity of the ring;

R^2 and R^{4a} , R^2 and R^{4b} , R^2 and R^{14} , and R^2 and R^{15} spacer pairs are independently selected to form spacer pairs wherein a spacer pair is taken together to form a linear moiety having from 2 through 5 contiguous atoms
30 connecting the points of bonding of said spacer pair members to form a heterocyclyl ring having from 5 through 8 contiguous members with the

proviso that no more than one of the group of spacer pairs consisting of R^2 and R^{4a} , R^2 and R^{4b} , R^2 and R^{14} , and R^2 and R^{15} is used at the same time;

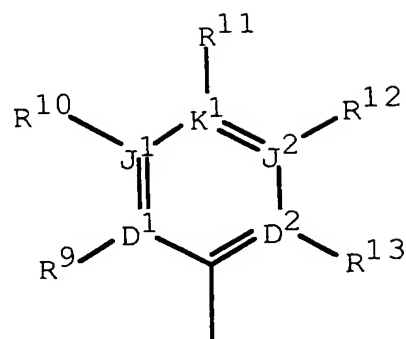
- R^2 is independently selected to form a linear moiety having from 2 through 5 contiguous atoms linked to the points of bonding of both R^{4a} and R^{4b} to form a heterocyclyl ring having from 5 through 8 contiguous members;

- Z^0 is selected from the group consisting of covalent single bond, $(CR^{41}R^{42})_q$ wherein q is an integer selected from 1 through 6, $(CH(R^{41}))_g$, $W^0-(CH(R^{42}))_p$ wherein g and p are integers independently selected from 0 through 3 and W^0 is selected from the group consisting of O, S, C(O), C(S), C(O)O, C(S)O, C(O)S, C(S)S, C(O)N(R^{41}), (R^{41})NC(O), C(S)N(R^{41}), (R^{41})NC(S), OC(O)N(R^{41}), (R^{41})NC(O)O, SC(S)N(R^{41}), (R^{41})NC(S)S, SC(O)N(R^{41}), (R^{41})NC(O)S, OC(S)N(R^{41}), (R^{41})NC(S)O, N(R^{42})C(O)N(R^{41}), (R^{41})NC(O)N(R^{42}), N(R^{42})C(S)N(R^{41}), (R^{41})NC(S)N(R^{42}), S(O), S(O)₂, S(O)₂N(R^{41}), N(R^{41})S(O)₂, Se, Se(O), Se(O)₂, Se(O)₂N(R^{41}), N(R^{41})Se(O)₂, P(O)(R^8), N(R^7)P(O)(R^8), P(O)(R^8)N(R^7), N(R^{41}), ON(R^{41}), and SiR²⁸R²⁹, and $(CH(R^{41}))_e-W^2-(CH(R^{42}))_h$ wherein e and h are integers independently selected from 0 through 2 and W^2 is selected from the group consisting of $CR^{41}=CR^{42}$, $CR^{41}R^{42}=C$; vinylidene), and ethynylidene ($C\equiv C$; 1,2-ethynyl), with the provisos that R^{41} and R^{42} are selected from other than halo and cyano when directly bonded to N and Z^0 is directly bonded to the benzene ring, that W^0 is selected, wherein g is 0, from other than NHS(O)₂CH₂aryl or N(R^{41}) unless

- R^{41} is selected from other than hydrido, alkyl, or aralkylsulfonyl, and Z^0 is selected from other than $OC(O)$, $C(O)N(H)$, and $(H)NC(O)$, unless Q is selected from other than phenyl, 2-furyl, 2-thienyl, 4-thiazolyl, 2-pyridyl, 2-naphthyl, 1,2-dihydrobenzofuran-5-yl, 1,2-dihydrobenzofuran-6-yl, or 1,2-benzisoxazol-6-yl, or X^0 is selected from other than hydrido, halo, or methyl, or R^1 is selected from other than hydrido, fluoro, hydroxy, acetoxy, propanoyloxy, 2-carboxyacetoxy, 2,3 or 4-carboxypropanoyloxy, benzoyloxy, methyl, or methoxy;
- R^{28} and R^{29} are independently selected from the group consisting of
- 10 hydrido, hydroxyalkyl, alkyl, alkenyl, alkynyl, aryl, aralkyl, aryloxyalkyl, acyl, aroyl, aralkanoyl, heteroaroyl, aralkoxyalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, aralkylthioalkyl, heteroaralkylthioalkyl, alkoxyalkyl, heteroaryloxyalkyl, alkenyloxyalkyl, alkylthioalkyl, arylthioalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, cycloalkenyl, cycloalkenylalkyl, haloalkyl, haloalkenyl,
 - 15 halocycloalkyl, halocycloalkenyl, haloalkoxyalkyl, haloalkenyloxyalkyl, halocycloalkoxy, halocycloalkoxyalkyl, halocycloalkenyloxyalkyl, perhaloaryl, perhaloaralkyl, perhaloaryloxyalkyl, heteroaryl, heteroarylalkyl, heteroarylthioalkyl, heteroaralkylthioalkyl, cyanoalkyl, dicyanoalkyl, carboxamidoalkyl, dicarboxamidoalkyl, cyanocarboalkoxyalkyl, carboalkoxyalkyl, dicarboalkoxyalkyl,
 - 20 cyanocycloalkyl, dicyanocycloalkyl, carboxamidocycloalkyl, dicarboxamidocycloalkyl, carboalkoxycyanocycloalkyl, carboalkoxycycloalkyl, dicarboalkoxycycloalkyl, formylalkyl, acylalkyl, arylsulfinylalkyl, arylsulfonylalkyl, aralkylsulfinyl, cycloalkylsulfinylalkyl, cycloalkylsulfonylalkyl, heteroarylsulfonylalkyl, heteroarylsulfinylalkyl, aralkylsulfinylalkyl,
 - 25 aralkylsulfonylalkyl, carboxy, dialkoxyphosphono, diaralkoxyphosphono, dialkoxyphosphonoalkyl and diaralkoxyphosphonoalkyl;

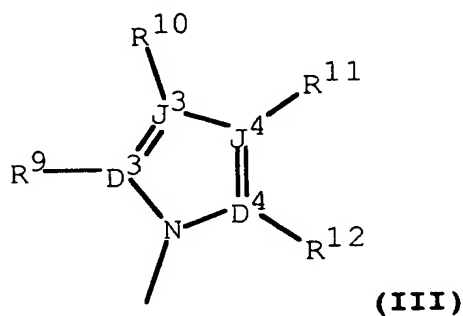
- R^{28} and R^{29} are taken together to form a linear moiety spacer having from 2 through 7 contiguous atoms and forming a ring selected from the group consisting of a cycloalkyl ring having from 3 through 8 contiguous members, a
- 30 cycloalkenyl ring having from 3 through 8 contiguous members, and a heterocyclyl ring having from 3 through 8 contiguous members;

Q is formula (II):



- wherein D^1, D^2, J^1, J^2 and K^1 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, no more than one of D^1, D^2, J^1, J^2 and K^1 is O, no more than one of D^1, D^2, J^1, J^2 and K^1 is S, one of D^1, D^2, J^1, J^2 and K^1 must be a covalent bond when two of D^1, D^2, J^1, J^2 and K^1 are O and S, and no more than four of D^1, D^2, J^1, J^2 and K^1 are N, with the proviso that $R^9, R^{10}, R^{11}, R^{12}$, and R^{13} are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

Q is formula (III):



- wherein D^3, D^4, J^3 , and J^4 are independently selected from the group consisting of C, N, O, and S, no more than one of D^3, D^4, J^3 , and J^4 is O, no more than one of D^3, D^4, J^3 , and J^4 is S, and no more than three of $D^1, D^2, J^1,$

and J^2 are N with the proviso that R^9 , R^{10} , R^{11} , and R^{12} are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

- 5 Q is selected from the group consisting of alkyl, alkoxy, alkylamino, alkylthio, haloalkylthio, alkenyl, alkynyl, saturated heterocyclyl, partially saturated heterocyclyl, acyl, aroyl, heteroaroyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkylalkenyl, haloalkyl, haloalkoxy, haloalkenyl, halocycloalkyl, halocycloalkenyl, haloalkoxyalkyl, haloalkenyloxyalkyl, halocycloalkoxyalkyl, and halocycloalkenyloxyalkyl with
10 the proviso that Q is selected from other than than alkyl or alkenyl unless any one of X^0 , R^1 , and J are other than hydrido;

K is $(CR^{4a}R^{4b})_n$ wherein n is an integer selected from 1 through 4;

- R^{4a} and R^{4b} are independently selected from the group consisting of
15 halo, hydrido, hydroxy, cyano, hydroxyalkyl, alkyl, alkenyl, aryl, aralkyl, aralkoxyalkyl, aryloxyalkyl, alkoxyalkyl, heteroaryloxyalkyl, alkenyloxyalkyl, alkylthioalkyl, aralkylthioalkyl, arylthioalkyl, cycloalkyl, cycloalkylalkyl, haloalkyl, haloalkenyl, heteroaryl, heteroarylalkyl, heteroarylthioalkyl, heteroaralkylthioalkyl, cyanoalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl,
20 haloalkylsulfinyl, arylsulfinylalkyl, arylsulfonylalkyl, heteroarylsulfonylalkyl, heteroarylsulfinylalkyl, aralkylsulfinylalkyl, and aralkylsulfonylalkyl;

- R^{4a} and R^{4b} , when bonded to the same carbon, are taken together to form a group selected from the group consisting of oxo, thiono, and a linear spacer moiety having from 2 through 7 contiguous atoms connected to form a
25 ring selected from the group consisting of a cycloalkyl ring having 3 through 8 contiguous members, a cycloalkenyl ring having 5 through 8 contiguous members, and a heterocyclyl ring having 5 through 8 contiguous members;

- E^0 is E^1 , when K is $(CR^{4a}R^{4b})_n$, wherein E^1 is selected from the group consisting of a covalent single bond, O, S, C(O), C(S), C(O)O, C(S)O, C(O)S, C(S)S, C(O)N(R^7), (R^7)NC(O), C(S)N(R^7), (R^7)NC(S), OC(O)N(R^7),
30 C(S)S, C(O)N(R^7), (R^7)NC(O), C(S)N(R^7), (R^7)NC(S), OC(O)N(R^7),

- $(R^7)NC(O)O$, $SC(S)N(R^7)$, $(R^7)NC(S)S$, $SC(O)N(R^7)$, $(R^7)NC(O)S$,
 $OC(S)N(R^7)$, $(R^7)NC(S)O$, $N(R^8)C(O)N(R^7)$, $(R^7)NC(O)N(R^8)$,
 $N(R^8)C(S)N(R^7)$, $(R^7)NC(S)N(R^8)$, $S(O)$, $S(O)_2$, $S(O)_2N(R^7)$, $N(R^7)S(O)_2$,
 $S(O)_2N(R^7)C(O)$, $C(O)N(R^7)S(O)_2$, Se , $Se(O)$, $Se(O)_2$, $Se(O)_2N(R^7)$,
 5 $N(R^7)Se(O)_2$, $P(O)(R^8)$, $N(R^7)P(O)(R^8)$, $P(O)(R^8)N(R^7)$, $N(R^7)$, $ON(R^7)$,
 $SiR^{28}R^{29}$, $CR^{4a}=CR^{4b}$, ethynylidene ($C\equiv C$; 1,2-ethynyl), and $C=CR^{4a}R^{4b}$;

K is $(CH(R^{14}))_j-T$ wherein j is selected from an integer from 0 through 3 and T is selected from the group consisting of single covalent bond, O , S , and $N(R^7)$ with the proviso that $(CH(R^{14}))_j$ is bonded to the phenyl ring;

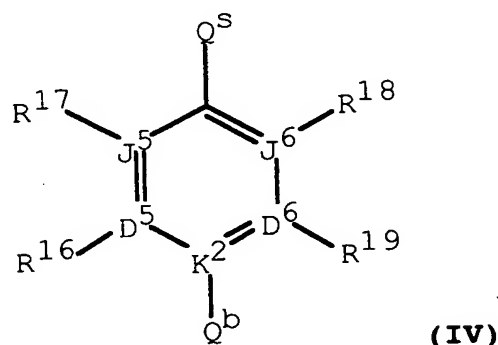
- 10 E^0 is E^2 , when K is $(CH(R^{14}))_j-T$, wherein E^2 is selected from the group consisting of a covalent single bond, $C(O)$, $C(S)$, $C(O)O$, $C(S)O$, $C(O)S$, $C(S)S$, $C(O)N(R^7)$, $(R^7)NC(O)$, $C(S)N(R^7)$, $(R^7)NC(S)$, $(R^7)NC(O)O$, $(R^7)NC(S)S$, $(R^7)NC(O)S$, $(R^7)NC(S)O$, $N(R^8)C(O)N(R^7)$, $(R^7)NC(O)N(R^8)$, $N(R^8)C(S)N(R^7)$, $(R^7)NC(S)N(R^8)$, $S(O)$, $S(O)_2$,
 15 $S(O)_2N(R^7)$, $N(R^7)S(O)_2$, $S(O)_2N(H)C(O)$, $C(O)N(H)S(O)_2$, $Se(O)$, $Se(O)_2$, $Se(O)_2N(R^7)$, $N(R^7)Se(O)_2$, $P(O)(R^8)$, $N(R^7)P(O)(R^8)$, $P(O)(R^8)N(R^7)$, and $N(R^7)$;

- K is $G-(CH(R^{15}))_k$ wherein k is selected from an integer from 1 through 3 and G is selected from the group consisting of O , S , and $N(R^7)$ with the proviso that R^{15} is other than hydroxy, cyano, halo, amino, alkylamino, dialkylamino, and sulfhydryl when k is 1;

20 E^0 is E^3 when K is $G-(CH(R^{15}))_k$ wherein E^3 is selected from the group consisting of a covalent single bond, O , S , $C(O)$, $C(S)$, $C(O)O$, $C(S)O$,

- $C(O)S$, $C(S)S$, $C(O)N(R^7)$, $(R^7)NC(O)$, $C(S)N(R^7)$, $(R^7)NC(S)$,
 $OC(O)N(R^7)$, $(R^7)NC(O)O$, $SC(S)N(R^7)$, $(R^7)NC(S)S$, $SC(O)N(R^7)$,
 $(R^7)NC(O)S$, $OC(S)N(R^7)$, $(R^7)NC(S)O$, $N(R^8)C(O)N(R^7)$,
 $(R^7)NC(O)N(R^8)$, $N(R^8)C(S)N(R^7)$, $(R^7)NC(S)N(R^8)$, $S(O)$, $S(O)_2$,
 5 $S(O)_2N(R^7)$, $N(R^7)S(O)_2$, Se , $Se(O)$, $Se(O)_2$, $Se(O)_2N(R^7)$, $N(R^7)Se(O)_2$,
 $P(O)(R^8)$, $N(R^7)P(O)(R^8)$, $P(O)(R^8)N(R^7)$, $N(R^7)$, $ON(R^7)$, $SiR^{28}R^{29}$,
 $CR^{4a}=CR^{4b}$, ethynylidene ($C\equiv C$; 1,2-ethynyl), and $C=CR^{4a}R^{4b}$;

Y^0 is formula (IV):



- 10 wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is independently selected from the group consisting of C, and N^+ , no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a
 15 covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, no more than three of D^5 , D^6 , J^5 , and J^6 are N when K^2 is N^+ , and no more than four of D^5 , D^6 , J^5 , and J^6 are N when K^2 is carbon with the provisos that R^{16} , R^{17} , R^{18} , and R^{19} are each independently selected to maintain the tetravalent nature of carbon,

trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

5 R^{16} and R^{17} are independently taken together to form a linear moiety spacer having from 3 through 6 contiguous atoms connected to form a ring selected from the group consisting of a cycloalkenyl ring having from 5 through 8 contiguous members, a partially saturated heterocyclyl ring having from 5 through 8 contiguous members, a heteroaryl having from 5 through 6 contiguous members, and an aryl;

10 R^{18} and R^{19} are independently taken together to form a linear moiety spacer having from 3 through 6 contiguous atoms connected to form a ring selected from the group consisting of a cycloalkenyl ring having from 5 through 8 contiguous members, a partially saturated heterocyclyl ring having from 5 through 8 contiguous members, a heteroaryl having from 5 through 6 contiguous members, and an aryl;

15 Q^b is selected from the group consisting of $NR^{20}R^{21}$, $^+NR^{20}R^{21}R^{22}$, oxy, alkyl, alkylaminoalkyl, aminoalkyl, dialkylsulfoniumalkyl, and acylamino wherein R^{20} , R^{21} , and R^{22} are independently selected from the group consisting of hydrido, alkyl, hydroxy, alkoxy, alkylamino, dialkylamino, aminoalkyl, and hydroxyalkyl with the provisos that no more than one of R^{20} , R^{21} , and R^{22} is hydroxy, alkoxy, alkylamino, amino, and dialkylamino and that R^{20} , R^{21} , and R^{22} must be other than be hydroxy, alkoxy, alkylamino, amino, and dialkylamino when K^2 is N^+ ;

25 R^{20} and R^{21} , R^{20} and R^{22} , and R^{21} and R^{22} pairs are independently selected to form a spacer pair wherein a spacer pair is taken together to form a linear moiety having from 4 through 7 contiguous atoms connecting the points of bonding of said spacer pair members to form a heterocyclyl ring having 5 through 8 contiguous members with the proviso that no more than one of the group consisting of spacer pairs R^{20} and R^{21} , R^{20} and R^{22} , and R^{21} and R^{22} is used at the same time;

Q^b is selected from the group consisting of $N(R^{26})SO_2N(R^{23})(R^{24})$,
 $N(R^{26})C(O)OR^5$, $N(R^{26})C(O)SR^5$, $N(R^{26})C(S)OR^5$ and $N(R^{26})C(S)SR^5$
 with the proviso that no more than one of R^{23} , R^{24} , and R^{26} are hydroxy,
 alkoxy, alkylamino, amino, or dialkylamino when two of the group consisting
 5 of R^{23} , R^{24} , and R^{26} are bonded to the same atom;

Q^b is selected from the group consisting of dialkylsulfonium,
 trialkylphosphonium, $C(NR^{25})NR^{23}R^{24}$, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$,
 $N(R^{26})C(O)N(R^{23})(R^{24})$, $N(R^{26})C(S)N(R^{23})(R^{24})$, $C(NR^{25})OR^5$,
 $C(O)N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $C(S)N(R^{26})C(NR^{25})N(R^{23})(R^{24})$,
 10 $N(R^{26})N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $N(R^{26})N(R^{26})SO_2N(R^{23})(R^{24})$,
 $C(NR^{25})SR^5$, $C(O)NR^{23}R^{24}$, and $C(O)NR^{23}R^{24}$ with the provisos that no
 more than one of R^{23} , R^{24} , and R^{26} is hydroxy, alkoxy, alkylamino, amino, or
 dialkylamino when two of the group consisting of R^{23} , R^{24} , and R^{26} are
 bonded to the same atom and that said Q^b group is bonded directly to a carbon
 15 atom;

R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group
 consisting of hydrido, alkyl, hydroxy, alkoxy, alkylamino, dialkylamino,
 aminoalkyl, and hydroxyalkyl;

R^{23} and R^{24} are taken together to form a linear spacer moiety having
 20 from 4 through 7 contiguous atoms connecting the points of bonding to form a
 heterocyclyl ring having 5 through 8 contiguous members;
 R^{23} and R^{25} , R^{24} and R^{25} , R^{25} and R^{26} , R^{24} and R^{26} , and R^{23} and R^{26}
 pairs are independently selected to form a spacer pair wherein a spacer pair is taken
 together from the points of bonding of selected spacer pair members to form the
 25 group L-U-V wherein L, U, and V are independently selected from the group

- consisting of O, S, C(O), C(S), C(J_H)₂ S(O), SO₂, OP(OR³¹)R³⁰, P(O)R³⁰, P(S)R³⁰, C(R³⁰)R³¹, C=C(R³⁰)R³¹, (O)₂POP(O)₂, R³⁰(O)POP(O)R³⁰, Si(R²⁹)R²⁸, Si(R²⁹)R²⁸Si(R²⁹)R²⁸, Si(R²⁹)R²⁸OSi(R²⁹)R²⁸, (R²⁸)R²⁹COC(R²⁸)R²⁹, (R²⁸)R²⁹CSC(R²⁸)R²⁹, C(O)C(R³⁰)=C(R³¹),
- 5 C(S)C(R³⁰)=C(R³¹), S(O)C(R³⁰)=C(R³¹), SO₂C(R³⁰)=C(R³¹), PR³⁰C(R³⁰)=C(R³¹), P(O)R³⁰C(R³⁰)=C(R³¹), P(S)R³⁰C(R³⁰)=C(R³¹), DC(R³⁰)(R³¹)D, OP(OR³¹)R³⁰, P(O)R³⁰, P(S)R³⁰, Si(R²⁸)R²⁹ and N(R³⁰),
- and a covalent bond with the proviso that no more than any two of L, U and V are simultaneously covalent bonds and the heterocyclyl comprised of by L, U, and V
- 10 has from 5 through 10 contiguous member;

D is selected from the group consisting of oxygen, C=O, C=S, S(O)_m wherein m is an integer selected from 0 through 2;

J_H is independently selected from the group consisting of OR²⁷, SR²⁷ and N(R²⁰)R²¹;

- 15 R²⁷ is selected from the group consisting of hydrido, alkyl, alkenyl, alkynyl, aralkyl, aryloxyalkyl, aralkoxyalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, aralkylthioalkyl, heteroaralkylthioalkyl, alkoxyalkyl, heteroaryloxyalkyl, alkenyloxyalkyl, alkylthioalkyl, arylthioalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, cycloalkenyl, cycloalkenylalkyl, haloalkyl, haloalkenyl,
- 20 halocycloalkyl, halocycloalkenyl, haloalkoxyalkyl, haloalkenyloxyalkyl, halocycloalkoxyalkyl, halocycloalkenyloxyalkyl, perhaloaryloxyalkyl, heteroaryl, heteroarylalkyl, heteroarylthioalkyl, heteroaralkylthioalkyl, arylsulfinylalkyl, arylsulfonylalkyl, cycloalkylsulfinylalkyl, cycloalkylsulfonylalkyl, heteroarylsulfonylalkyl, heteroarylsulfinylalkyl, aralkylsulfinylalkyl and
- 25 aralkylsulfonylalkyl;

R³⁰ and R³¹ are independently selected from hydrido, hydroxy, thiol, aryloxy, amino, alkylamino, dialkylamino, hydroxyalkyl, heteroaryloxyalkyl, alkoxy, alkylthio, arylthio, alkyl, alkenyl, alkynyl, aryl, aralkyl, aryloxyalkyl, aralkoxyalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, aralkylthioalkyl, heteroaralkoxythioalkyl,

- alkoxyalkyl, heteroaryloxyalkyl, alkenyloxyalkyl, alkylthioalkyl, arylthioalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, cycloalkenyl, cycloalkenylalkyl, haloalkyl, haloalkenyl, haloaralkylsulfinylalkyl, aralkylsulfonylalkyl, cyanoalkyl, dicyanoalkyl, carboxamidoalkyl, dicarboxamidoalkyl, cyanocarboalkoxyalkyl, carboalkoxyalkyl, dicarboalkoxyalkyl, cyanocycloalkyl, dicyanocycloalkyl, carboxamidocycloalkyl, dicarboxamidocycloalkyl, carboalkoxycyanocycloalkyl, carboalkoxycycloalkyl, dicarboalkoxycycloalkyl, formylalkyl, acylalkyl, dialkoxyphosphonoalkyl, diaralkoxyphosphonoalkyl, phosphonoalkyl, dialkoxyphosphonoalkoxy, diaralkoxyphosphonoalkoxy, phosphonoalkoxy, dialkoxyphosphonoalkylamino, diaralkoxyphosphonoalkylamino, phosphonoalkylamino, dialkoxyphosphonoalkyl, diaralkoxyphosphonoalkyl, sulfonylalkyl, alkoxysulfonylalkyl, aralkoxysulfonylalkyl, alkoxysulfonylalkoxy, aralkoxysulfonylalkoxy, sulfonylalkoxy, alkoxysulfonylalkylamino, aralkoxysulfonylalkylamino, and sulfonylalkylamino;
- R^{30} and R^{31} are taken to form a linear moiety spacer group having from 2 through 7 contiguous atoms to form a ring selected from the group consisting of a cycloalkyl ring having from 3 through 8 contiguous members, a cycloalkenyl ring having from 3 through 8 contiguous members, and a heterocyclyl ring having from 3 through 8 contiguous members;
- R^{23} and R^{25} , R^{24} and R^{25} , R^{25} and R^{26} , R^{24} and R^{26} , and R^{23} and R^{26} pairs are independently selected to form a spacer pair wherein a spacer pair is taken together from the points of bonding of selected spacer pair members to form the group L-U-V wherein L, U, and V are independently selected from the group of 1,2-disubstituted radicals consisting of a cycloalkyl radical, a cycloalkenyl radical wherein cycloalkyl and cycloalkenyl radicals are substituted with one or more groups selected from R^{30} and R^{31} , an aryl radical, an heteroaryl radical, a saturated heterocyclic radical and a partially saturated heterocyclic radical wherein said 1,2-substitutents are independently selected from C=O, C=S, $C(R^{28})R^{32}$, S(O), S(O)₂, OP(OR³¹)R³⁰, P(O)R³⁰, P(S)R³⁰ and Si(R²⁸)R²⁹;
- R^{23} and R^{25} , R^{24} and R^{25} , R^{25} and R^{26} , R^{24} and R^{26} , and R^{23} and R^{26} pairs are independently selected to form a spacer pair wherein a spacer pair is taken together from the points of bonding of selected spacer pair members to form the

group L-U-V wherein L, U, and V are independently selected from the group of radicals consisting of 1,2-disubstituted alkylene radicals and 1,2-disubstituted alkenylene radical wherein said 1,2-substituents are independently selected from C=O, C=S, C(R²⁸)R²⁹, S(O), S(O)₂, OP(OR³¹)R³⁰, P(O)R³⁰, P(S)R³⁰, and
 5 Si(R²⁸)R²⁹ and said alkylene and alkenylene radical are substituted with one or more R³⁰ or R³¹ substituents;

Q^s is selected from the group consisting of a single covalent bond,
 (CR³⁷R³⁸)_b-(W⁰)_{az} wherein az is an integer selected from 0 through 1, b is an
 integer selected from 1 through 4, and W⁰ is selected from the group consisting
 10 of O, S, C(O), C(S), C(O)O, C(S)O, C(O)S, C(S)S, C(O)N(R¹⁴),
 (R¹⁴)NC(O), C(S)N(R¹⁴), (R¹⁴)NC(S), OC(O)N(R¹⁴), SC(S)N(R¹⁴),
 SC(O)N(R¹⁴), OC(S)N(R¹⁴), N(R¹⁵)C(O)N(R¹⁴), (R¹⁴)NC(O)N(R¹⁵),
 N(R¹⁵)C(S)N(R¹⁴), (R¹⁴)NC(S)N(R¹⁵), S(O), S(O)₂, S(O)₂N(R¹⁴),
 N(R¹⁴)S(O)₂, Se, Se(O), Se(O)₂, Se(O)₂N(R¹⁷), N(R¹⁴)Se(O)₂, P(O)(R⁸),
 15 N(R⁷)P(O)(R⁸), P(O)(R⁸)N(R⁷), N(R¹⁴), ON(R¹⁴), and SiR²⁸R²⁹,
 (CH(R¹⁴))_c-W¹-(CH(R¹⁵))_d wherein c and d are integers independently
 selected from 1 through 4, and W¹ is selected from the group consisting of O,
 S, C(O), C(S), C(O)O, C(S)O, C(O)S, C(S)S, C(O)N(R¹⁴), (R¹⁴)NC(O),
 C(S)N(R¹⁴), (R¹⁴)NC(S), OC(O)N(R¹⁴), (R¹⁴)NC(O)O, SC(S)N(R¹⁴),
 20 (R¹⁴)NC(S)S, SC(O)N(R¹⁴), (R¹⁴)NC(O)S, OC(S)N(R¹⁴), (R¹⁴)NC(S)O,
 N(R¹⁵)C(O)N(R¹⁴), (R¹⁴)NC(O)N(R¹⁵), N(R¹⁵)C(S)N(R¹⁴),
 (R¹⁴)NC(S)N(R¹⁵), S(O), S(O)₂, S(O)₂N(R¹⁴), N(R¹⁴)S(O)₂, Se, Se(O),
 Se(O)₂, Se(O)₂N(R¹⁴), N(R¹⁴)Se(O)₂, P(O)(R⁸), N(R⁷)P(O)(R⁸),

- $P(O)(R^8)N(R^7), N(R^{14}), ON(R^{14}), SiR^{28}R^{29}$, and $(CH(R^{14}))_e-W^2-$
 $(CH(R^{15}))_h$ wherein e and h are integers independently selected from 0
 through 2 and W^2 is selected from the group consisting of $CR^{4a}=CR^{4b}$,
 ethynylidene ($C\equiv C$; 1,2-ethynyl), and $C=CR^{4a}R^{4b}$ with the provisos that R^{14}
 5 and R^{15} are selected from other than halo and cyano when directly bonded to
 N and that $(CR^{37}R^{38})_b, (CH(R^{14}))_c, (CH(R^{14}))_e$ and are bonded to E^0 ;
 R^{37} and R^{37} , when bonded to different carbons, are taken together to
 form a linear moiety spacer having from 1 through 7 contiguous atoms to form
 a ring selected from the group consisting of a cycloalkyl ring having from 3
 10 through 8 contiguous members, a cycloalkenyl ring having from 3 through 8
 contiguous members, and a heterocyclyl ring having from 3 through 8
 contiguous members;
 R^{37} and R^{38} , when bonded to different carbons, are taken together to
 form a linear moiety spacer having from 1 through 7 contiguous atoms to form
 15 a ring selected from the group consisting of a cycloalkyl ring having from 3
 through 8 contiguous members, a cycloalkenyl ring having from 3 through 8
 contiguous members, and a heterocyclyl ring having from 3 through 8
 contiguous members;
 R^{38} and R^{38} , when bonded to different carbons, are taken together to
 20 form a linear moiety spacer having from 1 through 7 contiguous atoms to form
 a ring selected from the group consisting of a cycloalkyl ring having from 3
 through 8 contiguous members, a cycloalkenyl ring having from 3 through 8
 contiguous members, and a heterocyclyl ring having from 3 through 8
 contiguous members;
 25 R^{37} and R^{38} , when bonded to the same carbon, are taken together to
 form a group selected from a group consisting of oxo, thiono, alkylene,
 haloalkylene, and a linear moiety spacer having from 2 through 7 contiguous
 atoms to form a ring selected from the group consisting of a cycloalkyl ring
 having from 3 through 8 contiguous members, a cycloalkenyl ring having from

3 through 8 contiguous members, and a heterocyclyl ring having from 3 through 8 contiguous members;

Y^0 is Q^b-Q^{ss} wherein Q^{ss} is selected from the group consisting of $(CR^{37}R^{38})_f$ wherein f is an integer selected from 1 through 6, $(CH(R^{14}))_c$ -

- 5 $W^1-(CH(R^{15}))_d$ wherein c and d are integers independently selected from 1 through 4, and W^1 is selected from the group consisting of W^1 is selected from the group consisting of O, S, C(O), C(S), C(O)O, C(S)O, C(O)S, C(S)S, C(O)N(R¹⁴), (R¹⁴)NC(O), C(S)N(R¹⁴), (R¹⁴)NC(S), OC(O)N(R¹⁴), (R¹⁴)NC(O)O, SC(S)N(R¹⁴), (R¹⁴)NC(S)S, SC(O)N(R¹⁴), (R¹⁴)NC(O)S, 10 OC(S)N(R¹⁴), (R¹⁴)NC(S)O, N(R¹⁵)C(O)N(R¹⁴), (R¹⁴)NC(O)N(R¹⁵), N(R¹⁵)C(S)N(R¹⁴), (R¹⁴)NC(S)N(R¹⁵), S(O), S(O)₂, S(O)₂N(R¹⁴), N(R¹⁴)S(O)₂, Se, Se(O), Se(O)₂, Se(O)₂N(R¹⁴), N(R¹⁴)Se(O)₂, P(O)(R⁸), N(R⁷)P(O)(R⁸), P(O)(R⁸)N(R⁷), N(R¹⁴), ON(R¹⁴), SiR²⁸R²⁹, and $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$ wherein e and h are integers independently 15 selected from 0 through 2 and W^2 is selected from the group consisting of $CR^{4a}=CR^{4b}$, ethynylidene (C≡C; 1,2-ethynyl), and $C=CR^{4a}R^{4b}$ with the provisos that R¹⁴ and R¹⁵ are selected from other than halo and cyano when directly bonded to N and that $(CR^{37}R^{38})_f$, $(CH(R^{15}))_c$, and $(CH(R^{15}))_e$ are bonded to E⁰;

- 20 Y^0 is Q^b-Q^{sss} wherein Q^{sss} is $(CH(R^{38}))_r-W^3$, r is an integer selected from 1 through 3, and W^3 is selected from the group consisting of 1,1-cyclopropyl, 1,2-cyclopropyl, 1,1-cyclobutyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,4-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,5-morpholinyl, 2,6-morpholinyl, 3,4-

morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 1,4-piperazinyl, 2,3-piperazinyl, 2,5-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 1,4-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,5-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 3,5-piperidinyl, 3,6-piperidinyl, 1,2-pyrrolidinyl, 1,3-
 5 pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2H-2,3-pyranyl, 2H-2,4-pyranyl, 2H-2,5-pyranyl, 4H-2,3-pyranyl, 4H-2,4-pyranyl, 4H-2,5-pyranyl, 2H-pyran-2-one-3,4-yl, 2H-pyran-2-one-4,5-yl, 4H-pyran-4-one-2,3-yl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, 3,4-tetrahydrofuranyl, 2,3-tetrahydropyranyl, 2,4-tetrahydropyranyl, 2,5-tetrahydropyranyl, 2,6-tetrahydropyranyl, 3,4-tetrahydropyranyl, and 3,5-tetrahydropyranyl with the proviso that $(\text{CH}(\text{R}^{38}))_r$
 is bonded to E^0 and Q^b is bonded to lowest numbered substituent position of each W^3 ;

Y^0 is $\text{Q}^b\text{-Q}^{\text{sssr}}$ wherein Q^{sssr} is $(\text{CH}(\text{R}^{38}))_r\text{-W}^4$, r is an integer

15 selected from 1 through 3, and W^4 is selected from the group consisting of 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,4-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,5-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 1,4-piperazinyl, 2,3-piperazinyl, 2,5-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 1,4-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,5-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 3,5-piperidinyl, 3,6-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2H-2,3-pyranyl, 2H-2,4-pyranyl, 2H-2,5-pyranyl, 4H-2,3-pyranyl, 4H-2,4-pyranyl, 4H-2,5-pyranyl, 2H-pyran-2-one-3,4-yl, 2H-pyran-2-one-4,5-yl, 4H-pyran-4-one-2,3-yl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, 3,4-tetrahydrofuranyl, 2,3-tetrahydropyranyl, 2,4-tetrahydropyranyl, 2,5-tetrahydropyranyl, 2,6-tetrahydropyranyl, 3,4-tetrahydropyranyl, and 3,5-tetrahydropyranyl with the provisos that $(\text{CH}(\text{R}^{38}))_r$
 is bonded to E^0 and Q^b is bonded to highest number substituent position of
 30 each W^4 ;

Y^0 is Q^b-Q^{ssss} wherein Q^{ssss} is $(CH(R^{38}))_r-W^5$, r is an integer

- selected from 1 through 3, and W^5 is selected from the group consisting of 1,4-indenyl, 1,5-indenyl, 1,6-indenyl, 1,7-indenyl, 2,7-indenyl, 2,6-indenyl, 2,5-indenyl, 2,4-indenyl, 3,4-indenyl, 3,5-indenyl, 3,6-indenyl, 3,7-indenyl, 2,4-benzofuranyl, 2,5-benzofuranyl, 2,6-benzofuranyl, 2,7-benzofuranyl, 3,4-benzofuranyl, 3,5-benzofuranyl, 3,6-benzofuranyl, 3,7-benzofuranyl, 2,4-benzothiophenyl, 2,5-benzothiophenyl, 2,6-benzothiophenyl, 2,7-benzothiophenyl, 3,4-benzothiophenyl, 3,5-benzothiophenyl, 3,6-benzothiophenyl, 3,7-benzothiophenyl, 2,4-indolyl, 2,5-indolyl, 2,6-indolyl, 2,7-indolyl, 3,4-indolyl, 3,5-indolyl, 3,6-indolyl, 3,7-indolyl, 1,4-isoindolyl, 1,5-isoindolyl, 1,6-isoindolyl, 2,4-isoindolyl, 2,5-isoindolyl, 2,6-isoindolyl, 2,7-isoindolyl, 1,3-isoindolyl, 3,4-indazolyl, 3,5-indazolyl, 3,6-indazolyl, 3,7-indazolyl, 2,4-benzoxazolyl, 2,5-benzoxazolyl, 2,6-benzoxazolyl, 2,7-benzoxazolyl, 3,4-benzisoxazolyl, 3,5-benzisoxazolyl, 3,6-benzisoxazolyl, 3,7-benzisoxazolyl, 1,4-naphthyl, 1,5-naphthyl, 1,6-naphthyl, 1,7-naphthyl, 1,8-naphthyl, 2,4-naphthyl, 2,5-naphthyl, 2,6-naphthyl, 2,7-naphthyl, 2,8-naphthyl, 2,4-quinoliny, 2,5-quinoliny, 2,6-quinoliny, 2,7-quinoliny, 2,8-quinoliny, 3,4-quinoliny, 3,5-quinoliny, 3,6-quinoliny, 3,7-quinoliny, 3,8-quinoliny, 4,5-quinoliny, 4,6-quinoliny, 4,7-quinoliny, 4,8-quinoliny, 1,4-isoquinoliny, 1,5-isoquinoliny, 1,6-isoquinoliny, 1,7-isoquinoliny, 1,8-isoquinoliny, 3,4-isoquinoliny, 3,5-isoquinoliny, 3,6-isoquinoliny, 3,7-isoquinoliny, 3,8-isoquinoliny, 4,5-isoquinoliny, 4,6-isoquinoliny, 4,7-isoquinoliny, 4,8-isoquinoliny, 3,4-cinnoliny, 3,5-cinnoliny, 3,6-cinnoliny, 3,7-cinnoliny, 3,8-cinnoliny, 4,5-cinnoliny, 4,6-cinnoliny, 4,7-cinnoliny, and 4,8-cinnoliny with
- the proviso that Q^b is bonded to lowest number substituent position of each W^5 and that $(CH(R^{38}))_r$ is bonded to E^0 ;

Y^0 is Q^b-Q^{sssr} wherein Q^{sssr} is $(CH(R^{38}))_r-W^6$, r is an integer

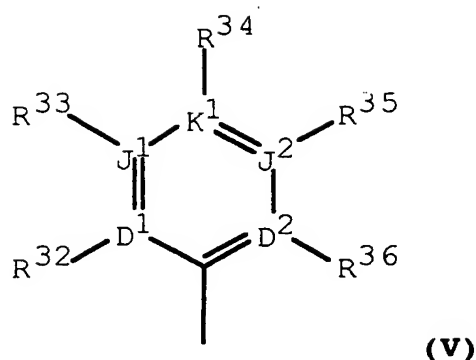
- selected from 1 through 3, and W^6 is selected from the group consisting of 1,4-indenyl, 1,5-indenyl, 1,6-indenyl, 1,7-indenyl, 2,7-indenyl, 2,6-indenyl, 2,5-indenyl, 2,4-indenyl, 3,4-indenyl, 3,5-indenyl, 3,6-indenyl, 3,7-indenyl, 2,4-benzofuranyl, 2,5-benzofuranyl, 2,6-benzofuranyl, 2,7-benzofuranyl, 3,4-benzofuranyl, 3,5-benzofuranyl, 3,6-benzofuranyl, 3,7-benzofuranyl, 2,4-

benzothiophenyl, 2,5-benzothiophenyl, 2,6-benzothiophenyl, 2,7-
 benzothiophenyl, 3,4-benzothiophenyl, 3,5-benzothiophenyl, 3,6-
 benzothiophenyl, 3,7-benzothiophenyl, 2,4-indolyl, 2,5-indolyl, 2,6-indolyl, 2,7-
 indolyl, 3,4-indolyl, 3,5-indolyl, 3,6-indolyl, 3,7-indolyl, 1,4-isoindolyl, 1,5-
 5 isoindolyl, 1,6-isoindolyl, 2,4-isoindolyl, 2,5-isoindolyl, 2,6-isoindolyl, 2,7-
 isoindolyl, 1,3-isoindolyl, 3,4-indazolyl, 3,5-indazolyl, 3,6-indazolyl, 3,7-
 indazolyl, 2,4-benzoxazolyl, 2,5-benzoxazolyl, 2,6-benzoxazolyl, 2,7-
 benzoxazolyl, 3,4-benzisoxazolyl, 3,5-benzisoxazolyl, 3,6-benzisoxazolyl, 3,7-
 benzisoxazolyl, 1,4-naphthyl, 1,5-naphthyl, 1,6-naphthyl, 1,7-naphthyl, 1,8-
 10 naphthyl, 2,4-naphthyl, 2,5-naphthyl, 2,6-naphthyl, 2,7-naphthyl, 2,8-naphthyl,
 2,4-quinoliny, 2,5-quinoliny, 2,6-quinoliny, 2,7-quinoliny, 2,8-quinoliny, 3,4-
 quinoliny, 3,5-quinoliny, 3,6-quinoliny, 3,7-quinoliny, 3,8-quinoliny, 4,5-
 quinoliny, 4,6-quinoliny, 4,7-quinoliny, 4,8-quinoliny, 1,4-isoquinoliny, 1,5-
 isoquinoliny, 1,6-isoquinoliny, 1,7-isoquinoliny, 1,8-isoquinoliny, 3,4-
 15 isoquinoliny, 3,5-isoquinoliny, 3,6-isoquinoliny, 3,7-isoquinoliny, 3,8-
 isoquinoliny, 4,5-isoquinoliny, 4,6-isoquinoliny, 4,7-isoquinoliny, 4,8-
 isoquinoliny, 3,4-cinnoliny, 3,5-cinnoliny, 3,6-cinnoliny, 3,7-cinnoliny, 3,8-
 cinnoliny, 4,5-cinnoliny, 4,6-cinnoliny, 4,7-cinnoliny, and 4,8-cinnoliny with
 the proviso that Q^b is bonded to highest number substituent position of each
 20 W^6 and that $(CH(R^{38}))_r$ is bonded to E^0 .

2. The compound as recited in Claim 1 or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of hydrido, halo, hydroxy,
 25 hydroxyalkyl, amino, aminoalkyl, cyano, alkyl, haloalkyl, carboxy, carboxyalkyl,
 carboalkoxy, amidocarbonyl, acyl, phosphono, sulfo, $O-R^6$, $NH-R^6$, $S-R^6$,
 $S(O)-R^6$, and $S(O)_2-R^6$, wherein R^6 is selected from the group consisting of
 alkyl, and haloalkyl, haloalkenyl;

B is formula (V):



- wherein D^1, D^2, J^1, J^2 and K^1 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, no more than one of D^1, D^2, J^1, J^2 and K^1 is O, no more than one of D^1, D^2, J^1, J^2 and K^1 is S, one of D^1, D^2, J^1, J^2 and K^1 must be a covalent bond when two of D^1, D^2, J^1, J^2 and K^1 are O and S, and no more than four of D^1, D^2, J^1, J^2 and K^1 are N with the proviso that $R^{32}, R^{33}, R^{34}, R^{35}$, and R^{36} are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

$R^{32}, R^{33}, R^{34}, R^{35}$, and R^{36} are independently selected to be Q^b ;

- $R^9, R^{10}, R^{11}, R^{12}, R^{13}, R^{16}, R^{17}, R^{18}, R^{19}, R^{32}, R^{33}, R^{34}, R^{35}$, and R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, dialkylsulfonium, trialkylphosphonium, dialkylsulfoniumalkyl, carboxy, heteroaralkylthio, heteroaralkoxy, cycloalkylamino, acylalkyl, acylalkoxy, aryloylalkoxy, heterocycloxy, aralkylaryl, aralkyl, aralkenyl, aralkynyl, heterocyclyl, perhaloaralkyl, aralkylsulfonyl, aralkylsulfonylalkyl, aralkylsulfinyl, aralkylsulfinylalkyl, halocycloalkyl, halocycloalkenyl, cycloalkylsulfinyl, cycloalkylsulfinylalkyl, cycloalkylsulfonyl, cycloalkylsulfonylalkyl, heteroaryl amino, N-heteroaryl amino-N-alkyl amino, heteroaryl aminoalkyl, haloalkylthio, alkanoyloxy, alkoxy, alkoxyalkyl, haloalkoxyalkyl, heteroaralkoxy, cycloalkoxy, cycloalkenyloxy,

cycloalkoxyalkyl, cycloalkylalkoxy, cycloalkenyloxyalkyl, cycloalkylenedioxy, halocycloalkoxy, halocycloalkoxyalkyl, halocycloalkenyloxy, halocycloalkenyloxyalkyl, hydroxy, amino, alkoxyamino, thio, nitro, lower alkylamino, alkylthio, alkylthioalkyl, arylamino, aralkylamino, arylthio, arylthioalkyl, heteroaralkoxyalkyl, alkylsulfinyl, alkylsulfinylalkyl, arylsulfinylalkyl, arylsulfonylalkyl, heteroarylsulfinylalkyl, heteroarylsulfonylalkyl, alkylsulfonyl, alkylsulfonylalkyl, haloalkylsulfinylalkyl, haloalkylsulfonylalkyl, alkylsulfonamido, alkylaminosulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, monoarylamidosulfonyl, arylsulfonamido, diarylamidosulfonyl, monoalkyl monoaryl amidosulfonyl, arylsulfinyl, arylsulfonyl, heteroarylthio, heteroarylsulfinyl, heteroarylsulfonyl, heterocyclisulfonyl, heterocyclylthio, alkanoyl, alkenoyl, aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl, haloalkanoyl, alkyl, alkenyl, alkynyl, alkenyloxy, alkenyloxyalkyl, alkylenedioxy, haloalkylenedioxy, cycloalkyl, cycloalkylalkanoyl, cycloalkenyl, lower cycloalkylalkyl, lower cycloalkenylalkyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyhaloalkyl, hydroxyaralkyl, hydroxyalkyl, aminoalkyl, hydroxyheteroaralkyl, haloalkoxyalkyl, aryl, aralkyl, aryloxy, aralkoxy, aryloxyalkyl, saturated heterocyclyl, partially saturated heterocyclyl, heteroaryl, heteroaryloxy, heteroaryloxyalkyl, arylalkyl, heteroarylalkyl, arylalkenyl, heteroarylalkenyl, carboxyalkyl, carboalkoxy, alkoxycarboxamido, alkylamidocarbonylamido, arylamidocarbonylamido, carboalkoxyalkyl, carboalkoxyalkenyl, carboaralkoxy, carboxamido, carboxamidoalkyl, cyano, carbohaloalkoxy, phosphono, phosphonoalkyl, diaralkoxyphosphono, and diaralkoxyphosphonoalkyl;

R^{32} and R^{33} , R^{33} and R^{34} , R^{34} and R^{35} , and R^{35} and R^{36} pairs are independently selected to form a spacer pair wherein a spacer pair is taken together to form a linear moiety having from 3 through 6 contiguous atoms connecting the points of bonding of said spacer pair members to form a ring selected from the group consisting of a cycloalkenyl ring having 5 through 8 contiguous members, a partially saturated heterocyclyl ring having 5 through 8 contiguous members, a heteroaryl ring having 5 through 6 contiguous members, and an aryl with the proviso that no more than one of the group

consisting of spacer pairs R^{32} and R^{33} , R^{33} and R^{34} , R^{34} and R^{35} , and R^{35} and R^{36} is used at the same time;

R^9 and R^{10} , R^{10} and R^{11} , R^{11} and R^{12} , and R^{12} and R^{13} pairs are independently selected to form a spacer pair wherein a spacer pair is taken together to form a linear moiety having from 3 through 6 contiguous atoms connecting the points of bonding of said spacer pair members to form a ring selected from the group consisting of a cycloalkenyl ring having 5 through 8 contiguous members, a partially saturated heterocyclyl ring having 5 through 8 contiguous members, a heteroaryl ring having 5 through 6 contiguous members, and an aryl with the proviso that no more than one of the group consisting of spacer pairs R^9 and R^{10} , R^{10} and R^{11} , R^{11} and R^{12} , and R^{12} and R^{13} is used at the same time;

B is selected from the group consisting of C3-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, C3-C8 haloalkyl, and C3-C8 haloalkenyl wherein each member of group B may be optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R_{32} , R_{33} , R_{34} , R_{35} , and R_{36} ;

B is selected from the group consisting of C3-C10 cycloalkyl, C5-C10 cycloalkenyl, C4-C9 saturated heterocyclyl, and C4-C9 partially saturated heterocyclyl, wherein each ring carbon may be optionally substituted with R_{33} , a ring carbon other than the ring carbon at the point of attachment of B to A may be optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbon and nitrogen atoms adjacent to the carbon atom at the point of attachment may be optionally substituted with R_9 or R_{13} , a ring carbon or nitrogen atom adjacent to the R_9 position and two atoms from the point of attachment may be substituted with R_{10} , a ring carbon or nitrogen atom adjacent to the R_{13} position and two atoms from the point of attachment may be substituted with R_{12} , a ring carbon or

nitrogen atom three atoms from the point of attachment and adjacent to the R_{10} position may be substituted with R_{11} , a ring carbon or nitrogen atom three atoms from the point of attachment and adjacent to the R_{12} position may be substituted with R_{33} , and a ring carbon or nitrogen atom four atoms from the point of attachment and adjacent to the R_{11} and R_{33} positions may be substituted with R_{34} ;

A is selected from the group consisting of single covalent bond, $(W^7)_{rr}-(CH(R^{15}))_{pa}$ and $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 6, and W^7 is selected from the group consisting of O, S, C(O), C(S), C(O)S, C(S)O, C(O)N(R^7), C(S)N(R^7), (R^7)NC(O), (R^7)NC(S), S(O), S(O)₂, S(O)₂N(R^7), (R^7)NS(O)₂, P(O)(R^8), N(R^7)P(O)(R^8), P(O)(R^8)N(R^7), C(N R^7)N(R^7), (R^7)NC(N R^7), and N(R^7) with the proviso that no more than one of the group consisting of rr and pa is 0 at the same time;

R^7 and R^8 are independently selected from the group consisting of hydrido, hydroxy, alkyl, acyl, aroyl, heteroaroyl, and alkoxyalkyl;

R^{14} , R^{15} , R^{37} , and R^{38} are independently selected from the group consisting of hydrido, hydroxy, halo, cyano, hydroxyalkyl, alkoxy, alkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, haloalkyl, haloalkenyl, haloalkoxy, haloalkoxyalkyl, haloalkenyloxyalkyl, halocycloalkoxy, halocycloalkoxyalkyl, halocycloalkenyloxyalkyl, carboxy, carboxyalkyl, carboalkoxy, carboxamide, and carboxamidoalkyl;

Ψ is selected from the group consisting of NR⁵, O, C(O), C(S), S, S(O), S(O)₂, ON(R^5), P(O)(R^8), and CR³⁹R⁴⁰ with the provisos that Ψ is selected from other than NR⁵, O, S, S(O), and S(O)₂ unless any two of X⁰, R²,

R^1 , and J are other than hydrido, or that Ψ is selected from other than O, unless A is selected from other than methylene when B is phenyl, that Ψ is selected from other than C(O), unless A is selected from other than methyleneoxy when B is phenyl, or that Ψ is selected from other than NH unless A is selected from other than a single covalent bond when B is acyl, or that Ψ is selected from other than NH unless A is selected from other than S(O) or S(O)₂ when B is phenyl;

R^5 is selected from the group consisting of hydrido, alkyl, alkoxy, alkoxyalkyl, haloalkyl, acyl, aroyl, and heteroaroyl;

R^{39} and R^{40} are independently selected from the group consisting of hydrido, hydroxy, halo, cyano, hydroxyalkyl, acyl, aroyl, heteroaroyl, acylamido, alkoxy, alkyl, alkoxyalkyl, haloalkyl, haloalkoxy, haloalkoxyalkyl, alkylsulfonyl, haloalkylsulfonyl, carboxy, carboxyalkyl, carboalkoxy, carboxamide, and carboxamidoalkyl;

X^0 , R^2 and R^1 are independently selected from the group consisting of Z^0 -Q, hydrido, alkyl, alkenyl, and halo;

X^0 , R^2 and R^1 are independently selected from the group consisting of amidino, guanidino, dialkylsulfonium, trialkylphosphonium, dialkylsulfoniumalkyl, heteroarylamino, amino, nitro, alkylamino, arylamino, aralkylamino, alkanoyl, alkenoyl, aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl, haloalkanoyl, hydroxyhaloalkyl, cyano, and phosphono;

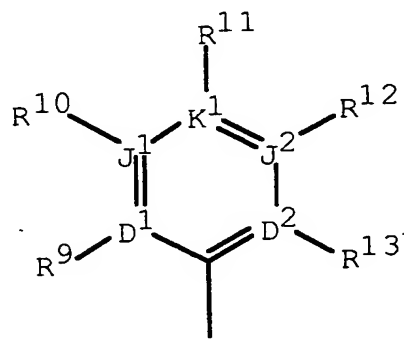
X^0 and R^1 are taken together to form a spacer pair wherein the spacer pair forms a linear moiety having from 3 through 6 contiguous atoms connecting the points of bonding of said spacer pair members to form a ring selected from the group consisting of a cycloalkenyl ring having from 5 through 8 contiguous members and a partially saturated heterocyclyl ring having from 5 through 8 contiguous members with the proviso that no more than one of the group consisting of spacer pair X^0 and R^1 and spacer pair R^2 and R^1 is used at the same time;

- R^2 and R^1 are taken together to form a spacer pair wherein the spacer pair forms a linear moiety having from 3 through 6 contiguous atoms connecting the points of bonding of said spacer pair members to form a ring selected from the group consisting of a cycloalkenyl ring having from 5 through 8 contiguous members and a partially saturated heterocyclyl ring having from 5 through 8 contiguous members with the proviso that no more than one of the group consisting of spacer pair X^0 and R^1 and spacer pair R^2 and R^1 is used at the same time ;
- X^0 and R^1 and R^2 and R^1 spacer pairs are selected independently to be $-W=X-Y=Z-$ forming a ring selected from the group consisting of a heteroaryl ring having from 5 through 6 contiguous members and an aryl with the proviso that no more than one of the group consisting of spacer pair X^0 and R^1 and spacer pair R^2 and R^1 is used at the same time;
- W, X, Y, and Z are independently selected from the group consisting of $C(R^9)$, N, $N(R^{10})$, O, S and a covalent bond with the provisos that one of W, X, Y, and Z is independently selected to be a covalent bond when one of W, X, Y, and Z is selected from the group consisting of O and S, no more than one of W, X, Y, and Z is selected from the group consisting of O and S, no more than three of W, X, Y, and Z are selected from the group consisting of N and $N(R^{10})$, and $C(R^9)$, N, $N(R^{10})$, O, and S are independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, the divalent nature of oxygen, and the aromaticity of the ring;
- Z^0 is selected from the group consisting of covalent single bond, $(CR^{41}R^{42})_q$ wherein q is an integer selected from 1 through 6, $(CH(R^{41}))_g$, $W^0-(CH(R^{42}))_p$ wherein g and p are integers independently selected from 0 through 3 and W^0 is selected from the group consisting of O, S, C(O), C(S), C(O)O, C(S)O, C(O)S, C(S)S, C(O) $N(R^{41})$, $(R^{41})NC(O)$, C(S) $N(R^{41})$, $(R^{41})NC(S)$, OC(O) $N(R^{41})$, $(R^{41})NC(O)O$, SC(S) $N(R^{41})$, $(R^{41})NC(S)S$,

- $SC(O)N(R^{41})$, $(R^{41})NC(O)S$, $OC(S)N(R^{41})$, $(R^{41})NC(S)O$,
 $N(R^{42})C(O)N(R^{41})$, $(R^{41})NC(O)N(R^{42})$, $N(R^{42})C(S)N(R^{41})$,
 $(R^{41})NC(S)N(R^{42})$, $S(O)$, $S(O)_2$, $S(O)_2N(R^{41})$, $N(R^{41})S(O)_2$, $P(O)(R^8)$,
 $N(R^7)P(O)(R^8)$, $P(O)(R^8)N(R^7)$, $N(R^{41})$, $ON(R^{41})$, and $(CH(R^{41}))_e \cdot W^2$.
5 $(CH(R^{42}))_h$ wherein e and h are integers independently selected from 0
through 2 and W^2 is selected from the group consisting of $CR^{41}=CR^{42}$,
 $CR^{41}R^{42}=C$; vinylidene), and ethynylidene ($C\equiv C$; 1,2-ethynyl), with the
provisos that R^{41} and R^{42} are selected from other than halo and cyano when
directly bonded to N and Z^0 is directly bonded to the benzene ring, that W^0 is
10 selected, wherein g is 0, from other than $NHS(O)_2CH_2$ aryl or $N(R^{41})$ unless
 R^{41} is selected from other than hydrido, alkyl, or aralkylsulfonyl, and Z^0 is
selected from other than $OC(O)$, $C(O)N(H)$, and $(H)NC(O)$, unless Q is
selected from other than phenyl, 2-furyl, 2-thienyl, 4-thiazolyl, 2-pyridyl, 2-
naphthyl, 1,2-dihydrobenzofuran-5-yl, 1,2-dihydrobenzofuran-6-yl, or
15 1,2benzisoxazol-6-yl, or X^0 is selected from other than hydrido, halo, or
methyl, or R^1 is selected from other than hydrido, fluoro, hydroxy, acetoxy,
propanoyloxy, 2-carboxyacetoxy, 2,3 or 4-carboxypropanoyloxy, benzoyloxy,
methyl, or methoxy;
 R^{41} and R^{42} are independently selected from the group consisting of
20 hydrido, hydroxy, halo, cyano, aryloxy, hydroxyalkyl, acyl, aroyl, heteroaroyl,
heteroaryloxyalkyl, alkoxy, alkyl, aryl, aralkyl, aryloxyalkyl,
aralkoxyalkylalkoxy, alkoxyalkyl, heteroaryloxyalkyl, cycloalkyl,
cycloalkylalkyl, cycloalkylalkenyl, cycloalkenyl, cycloalkenylalkyl, haloalkyl,
haloalkenyl, halocycloalkyl, halocycloalkenyl, haloalkoxy, haloalkoxyalkyl,
25 haloalkenyloxyalkyl, halocycloalkoxy, halocycloalkoxyalkyl,
halocycloalkenyloxyalkyl, saturated heterocyclyl, partially saturated

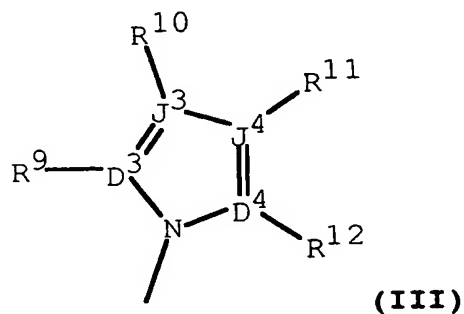
heterocyclyl, heteroaryl, heteroaralkyl, heteroarylthioalkyl,
heteroaralkylthioalkyl, alkylsulfonyl, haloalkylsulfonyl, arylsulfonyl,
arylsulfonylalkyl, aralkylsulfonyl, cycloalkylsulfonyl, cycloalkylsulfonylalkyl,
heteroarylsulfonylalkyl, heteroarylsulfonyl, and aralkylsulfonylalkyl;

5 Q is formula (II):



wherein D^1 , D^2 , J^1 , J^2 and K^1 are independently selected from the group
consisting of C, N, O, S and a covalent bond with the provisos that no more
than one is a covalent bond, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is O,
10 no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is S, one of D^1 , D^2 , J^1 , J^2 and K^1
must be a covalent bond when two of D^1 , D^2 , J^1 , J^2 and K^1 are O and S, and
no more than four of D^1 , D^2 , J^1 , J^2 and K^1 are N, with the proviso that R^9 ,
 R^{10} , R^{11} , R^{12} , and R^{13} are each independently selected to maintain the
tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of
15 sulfur, and the divalent nature of oxygen;

Q is formula (III):



wherein D^3 , D^4 , J^3 , and J^4 are independently selected from the group consisting of C, N, O, and S, no more than one of D^3 , D^4 , J^3 , and J^4 is O, no more than one of D^3 , D^4 , J^3 , and J^4 is S, and no more than three of D^1 , D^2 , J^1 , and J^2 are N with the proviso that R^9 , R^{10} , R^{11} , and R^{12} are each

- 5 independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

- Q is selected from the group consisting of alkyl, alkoxy, alkylamino, alkylthio, haloalkylthio, alkenyl, alkynyl, saturated heterocyclyl, partially saturated heterocyclyl, acyl, aroyl, heteroaroyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkylalkenyl, haloalkyl, haloalkoxy, haloalkenyl, halocycloalkyl, halocycloalkenyl, haloalkoxyalkyl, haloalkenyloxyalkyl, halocycloalkoxyalkyl, and halocycloalkenyloxyalkyl with the proviso that Q is selected from other than than alkyl or alkenyl unless any
- 15 one of X^0 , R^1 , and J is other than hydrido;

K is $(CR^{4a}R^{4b})_n$ wherein n is an integer selected from 1 through 2;

R^{4a} and R^{4b} are independently selected from the group consisting of halo, hydrido, hydroxy, cyano, hydroxyalkyl, alkyl, alkenyl, alkoxyalkyl, haloalkyl, haloalkenyl, and cyanoalkyl;

- 20 R^{4a} and R^{4b} , when bonded to the same carbon, are taken together to form a group selected from the group consisting of oxo, and a linear spacer moiety having from 2 through 7 contiguous atoms connected to form a ring selected from the group consisting of a cycloalkyl ring having 3 through 8 contiguous members, a cycloalkenyl ring having 5 through 8 contiguous members, and a heterocyclyl ring having 5 through 8 contiguous members;
- 25

E^0 is E^1 , when K is $(CR^{4a}R^{4b})_n$, wherein E^1 is selected from the group consisting of a covalent single bond, O, S, C(O), C(S), C(O)O, C(S)O, C(O)S, C(S)S, C(O)N(R^7), (R^7)NC(O), C(S)N(R^7), (R^7)NC(S), OC(O)N(R^7),

- $(R^7)NC(O)O$, $SC(S)N(R^7)$, $(R^7)NC(S)S$, $SC(O)N(R^7)$, $(R^7)NC(O)S$,
 $OC(S)N(R^7)$, $(R^7)NC(S)O$, $N(R^8)C(O)N(R^7)$, $(R^7)NC(O)N(R^8)$,
 $N(R^8)C(S)N(R^7)$, $(R^7)NC(S)N(R^8)$, $S(O)$, $S(O)_2$, $S(O)_2N(R^7)$, $N(R^7)S(O)_2$,
 $S(O)_2N(R^7)C(O)$, $C(O)N(R^7)S(O)_2$, $P(O)(R^8)$, $N(R^7)P(O)(R^8)$,
 5 $P(O)(R^8)N(R^7)$, $N(R^7)$, $ON(R^7)$, $CR^{4a}=CR^{4b}$, ethynylidene ($C\equiv C$; 1,2-
 ethynyl), and $C=CR^{4a}R^{4b}$;

K is $(CH(R^{14}))_j-T$ wherein j is selected from a integer from 0 through
 2 and T is selected from the group consisting of single covalent bond, O , S , and
 $N(R^7)$ with the proviso that $(CH(R^{14}))_j$ is bonded to the phenyl ring;

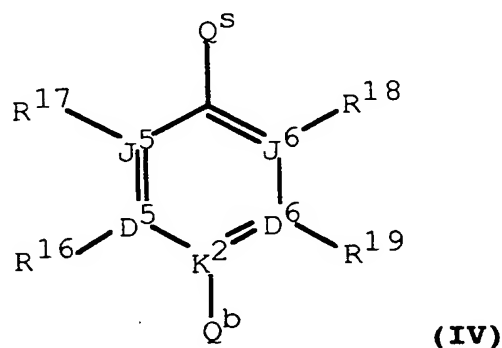
- 10 E^0 is E^2 , when K is $(CH(R^{14}))_j-T$, wherein E^2 is selected from the
 group consisting of a covalent single bond, $C(O)$, $C(S)$, $C(O)O$, $C(S)O$, $C(O)S$,
 $C(S)S$, $C(O)N(R^7)$, $(R^7)NC(O)$, $C(S)N(R^7)$, $(R^7)NC(S)$, $(R^7)NC(O)O$,
 $(R^7)NC(S)S$, $(R^7)NC(O)S$, $(R^7)NC(S)O$, $N(R^8)C(O)N(R^7)$,
 $(R^7)NC(O)N(R^8)$, $N(R^8)C(S)N(R^7)$, $(R^7)NC(S)N(R^8)$, $S(O)$, $S(O)_2$,
 15 $S(O)_2N(R^7)$, $N(R^7)S(O)_2$, $S(O)_2N(H)C(O)$, $C(O)N(H)S(O)_2$, $P(O)(R^8)$,
 $N(R^7)P(O)(R^8)$, $P(O)(R^8)N(R^7)$, and $N(R^7)$;

- K is $G-(CH(R^{15}))_k$ wherein k is selected from an integer from 1
 through 2 and G is selected from the group consisting of O , S , and $N(R^7)$ with
 the proviso that R^{15} is other than hydroxy, cyano, halo, amino, alkylamino,
 20 dialkylamino, and sulfhydryl when k is 1;

E^0 is E^3 , when K is $G-(CH(R^{15}))_k$, wherein E^3 is selected from the
 group consisting of a covalent single bond, O , S , $C(O)$, $C(S)$, $C(O)O$, $C(S)O$,
 $C(O)S$, $C(S)S$, $C(O)N(R^7)$, $(R^7)NC(O)$, $C(S)N(R^7)$, $(R^7)NC(S)$,

- $\text{OC(O)N(R}^7\text{)}, (\text{R}^7\text{)NC(O)O}, \text{SC(S)N(R}^7\text{)}, (\text{R}^7\text{)NC(S)S}, \text{SC(O)N(R}^7\text{)},$
 $(\text{R}^7\text{)NC(O)S}, \text{OC(S)N(R}^7\text{)}, (\text{R}^7\text{)NC(S)O}, \text{N(R}^8\text{)C(O)N(R}^7\text{)},$
 $(\text{R}^7\text{)NC(O)N(R}^8\text{)}, \text{N(R}^8\text{)C(S)N(R}^7\text{)}, (\text{R}^7\text{)NC(S)N(R}^8\text{)}, \text{S(O)}, \text{S(O)}_2,$
 $\text{S(O)}_2\text{N(R}^7\text{)}, \text{N(R}^7\text{)S(O)}_2, \text{P(O)(R}^8\text{)}, \text{N(R}^7\text{)P(O)(R}^8\text{)}, \text{P(O)(R}^8\text{)N(R}^7\text{)},$
 5 $\text{N(R}^7\text{)}, \text{ON(R}^7\text{)}, \text{CR}^{4a}=\text{CR}^{4b}, \text{ethynylidene (C}\equiv\text{C; 1,2-ethynyl), and}$
 $\text{C}=\text{CR}^{4a}\text{R}^{4b};$

Y^0 is formula (IV):



- wherein $\text{D}^5, \text{D}^6, \text{J}^5,$ and J^6 are independently selected from the group
 10 consisting of C, N, O, S and a covalent bond with the provisos that no more
 than one is a covalent bond, K^2 is independently selected from the group
 consisting of C, and N^+ , no more than one of $\text{D}^5, \text{D}^6, \text{J}^5,$ and J^6 is O, no more
 than one of $\text{D}^5, \text{D}^6, \text{J}^5,$ and J^6 is S, one of $\text{D}^5, \text{D}^6, \text{J}^5,$ and J^6 must be a
 covalent bond when two of $\text{D}^5, \text{D}^6, \text{J}^5,$ and J^6 are O and S, no more than three
 15 of $\text{D}^5, \text{D}^6, \text{J}^5,$ and J^6 are N when K^2 is N^+ , and no more than four of $\text{D}^5, \text{D}^6,$
 $\text{J}^5,$ and J^6 are N when K^2 is carbon with the provisos that $\text{R}^{16}, \text{R}^{17}, \text{R}^{18},$ and
 R^{19} are each independently selected to maintain the tetravalent nature of carbon,
 trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature
 of oxygen;

R^{16} and R^{17} are taken together to form a linear moiety spacer having from 3 through 6 contiguous atoms connected to form a ring selected from the group consisting of a cycloalkenyl ring having from 5 through 8 contiguous members, a partially saturated heterocyclyl ring having from 5 through 8 contiguous members, a heteroaryl having from 5 through 6 contiguous members, and an aryl;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, $^+NR^{20}R^{21}R^{22}$, oxy, alkyl, alkylaminoalkyl, aminoalkyl, dialkylsulfoniumalkyl, and acylamino wherein R^{20} , R^{21} , and R^{22} are independently selected from the group consisting of hydrido, alkyl, hydroxy, alkoxy, alkylamino, dialkylamino, aminoalkyl, and hydroxyalkyl with the provisos that no more than one of R^{20} , R^{21} , and R^{22} is hydroxy, alkoxy, alkylamino, amino, and dialkylamino and that R^{20} , R^{21} , and R^{22} must be other than be hydroxy, alkoxy, alkylamino, amino, and dialkylamino when K^2 is N^+ ;

R^{20} and R^{21} , R^{20} and R^{22} , and R^{21} and R^{22} pairs are independently selected to form a spacer pair wherein a spacer pair is taken together to form a linear moiety having from 4 through 7 contiguous atoms connecting the points of bonding of said spacer pair members to form a heterocyclyl ring having 5 through 8 contiguous members with the proviso that no more than one of the group consisting of spacer pairs R^{20} and R^{21} , R^{20} and R^{22} , and R^{21} and R^{22} is used at the same time;

Q^b is selected from the group consisting of $N(R^{26})SO_2N(R^{23})(R^{24})$, $N(R^{26})C(O)OR^5$, $N(R^{26})C(O)SR^5$, $N(R^{26})C(S)OR^5$ and $N(R^{26})C(S)SR^5$ with the proviso that no more than one of R^{23} , R^{24} , and R^{26} is hydroxy, alkoxy, alkylamino, amino, or dialkylamino when two of the group consisting of R^{23} , R^{24} , and R^{26} are bonded to the same atom;

- Q^b is selected from the group consisting of dialkylsulfonium, trialkylphosphonium, $C(NR^{25})NR^{23}R^{24}$, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $N(R^{26})C(O)N(R^{23})(R^{24})$, $N(R^{26})C(S)N(R^{23})(R^{24})$, $C(NR^{25})OR^5$, $C(O)N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $C(S)N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $N(R^{26})N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $N(R^{26})N(R^{26})SO_2N(R^{23})(R^{24})$, $C(NR^{25})SR^5$, $C(O)NR^{23}R^{24}$, and $C(O)NR^{23}R^{24}$ with the provisos that no more than one of R^{23} , R^{24} , and R^{26} is hydroxy, alkoxy, alkylamino, amino, or dialkylamino when two of the group consisting of R^{23} , R^{24} , and R^{26} are bonded to the same atom and that said Q^b group is bonded directly to a carbon atom;
- R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, alkyl, hydroxy, alkoxy, alkylamino, dialkylamino, aminoalkyl, and hydroxyalkyl;
- R^{23} and R^{24} are taken together to form a linear spacer moiety having from 4 through 7 contiguous atoms connecting the points of bonding to form a heterocyclyl ring having 5 through 8 contiguous members;
- Q^s is selected from the group consisting of a single covalent bond, $(CR^{37}R^{38})_b-(W^0)_{az}$ wherein az is an integer selected from 0 through 1, b is an integer selected from 1 through 4, and W^0 is selected from the group consisting of O, S, C(O), C(S), C(O)O, C(S)O, C(O)S, C(S)S, $C(O)N(R^{14})$, $(R^{14})NC(O)$, $C(S)N(R^{14})$, $(R^{14})NC(S)$, $OC(O)N(R^{14})$, $SC(S)N(R^{14})$, $SC(O)N(R^{14})$, $OC(S)N(R^{14})$, $N(R^{15})C(O)N(R^{14})$, $(R^{14})NC(O)N(R^{15})$, $N(R^{15})C(S)N(R^{14})$, $(R^{14})NC(S)N(R^{15})$, S(O), $S(O)_2$, $S(O)_2N(R^{14})$,

- $N(R^{14})S(O)_2$, $P(O)(R^8)$, $N(R^7)P(O)(R^8)$, $P(O)(R^8)N(R^7)$, $N(R^{14})$,
 $ON(R^{14})$, $(CH(R^{14}))_c-W^1-(CH(R^{15}))_d$ wherein c and d are integers
independently selected from 1 through 4, and W^1 is selected from the group
consisting of O, S, C(O), C(S), C(O)O, C(S)O, C(O)S, C(S)S, C(O)N(R¹⁴),
5 $(R^{14})NC(O)$, C(S)N(R¹⁴), $(R^{14})NC(S)$, OC(O)N(R¹⁴), $(R^{14})NC(O)O$,
SC(S)N(R¹⁴), $(R^{14})NC(S)S$, SC(O)N(R¹⁴), $(R^{14})NC(O)S$, OC(S)N(R¹⁴),
 $(R^{14})NC(S)O$, $N(R^{15})C(O)N(R^{14})$, $(R^{14})NC(O)N(R^{15})$,
 $N(R^{15})C(S)N(R^{14})$, $(R^{14})NC(S)N(R^{15})$, S(O), S(O)₂, S(O)₂N(R¹⁴),
 $N(R^{14})S(O)_2$, $P(O)(R^8)$, $N(R^7)P(O)(R^8)$, $P(O)(R^8)N(R^7)$, $N(R^{14})$,
10 $ON(R^{14})$, and $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$ wherein e and h are integers
independently selected from 0 through 2 and W^2 is selected from the group
consisting of $CR^{4a}=CR^{4b}$, ethynylidene (C≡C; 1,2-ethynyl), and $C=CR^{4a}R^{4b}$
with the provisos that R^{14} and R^{15} are selected from other than halo and cyano
when directly bonded to N and that $(CR^{37}R^{38})_b$, $(CH(R^{14}))_c$, $(CH(R^{14}))_e$
15 and are bonded to E⁰;

- Y^0 is Q^b-Q^{ss} wherein Q^{ss} is selected from the group consisting of
 $(CR^{37}R^{38})_f$ wherein f is an integer selected from 1 through 6; $(CH(R^{14}))_c-$
 $W^1-(CH(R^{15}))_d$ wherein c and d are integers independently selected from 1
through 4, and W^1 is selected from the group consisting of W^1 is selected
20 from the group consisting of O, S, C(O), C(S), C(O)O, C(S)O, C(O)S, C(S)S,
C(O)N(R¹⁴), $(R^{14})NC(O)$, C(S)N(R¹⁴), $(R^{14})NC(S)$, OC(O)N(R¹⁴),
 $(R^{14})NC(O)O$, SC(S)N(R¹⁴), $(R^{14})NC(S)S$, SC(O)N(R¹⁴), $(R^{14})NC(O)S$,

- $\text{OC(S)N(R}^{14}\text{)}, (\text{R}^{14}\text{)NC(S)O}, \text{N(R}^{15}\text{)C(O)N(R}^{14}\text{)}, (\text{R}^{14}\text{)NC(O)N(R}^{15}\text{)},$
 $\text{N(R}^{15}\text{)C(S)N(R}^{14}\text{)}, (\text{R}^{14}\text{)NC(S)N(R}^{15}\text{)}, \text{S(O)}, \text{S(O)}_2, \text{S(O)}_2\text{N(R}^{14}\text{)},$
 $\text{N(R}^{14}\text{)S(O)}_2, \text{P(O)(R}^8\text{)}, \text{N(R}^7\text{)P(O)(R}^8\text{)}, \text{P(O)(R}^8\text{)N(R}^7\text{)}, \text{N(R}^{14}\text{)},$
 $\text{ON(R}^{14}\text{)}, \text{ and } (\text{CH(R}^{14}\text{)})_e\text{-W}^2\text{-(CH(R}^{15}\text{))}_h \text{ wherein } e \text{ and } h \text{ are integers}$
5 independently selected from 0 through 2 and W^2 is selected from the group
consisting of $\text{CR}^{4a}=\text{CR}^{4b}$, ethynylidene ($\text{C}\equiv\text{C}$; 1,2-ethynyl), and $\text{C}=\text{CR}^{4a}\text{R}^{4b}$
with the provisos that R^{14} and R^{15} are selected from other than halo and cyano
when directly bonded to N and that $(\text{CR}^{37}\text{R}^{38})_f$, $(\text{CH(R}^{15}\text{))}_c$, and
 $(\text{CH(R}^{15}\text{))}_e$ are bonded to E^0 ;
10 Y^0 is $\text{Q}^b\text{-Q}^{\text{sss}}$, wherein Q^{sss} is $(\text{CH(R}^{38}\text{))}_r\text{-W}^3$, r is an integer selected
from 1 through 3, and W^3 is selected from the group consisting of 1,1-
cyclopropyl, 1,2-cyclopropyl, 1,1-cyclobutyl, 1,2-cyclobutyl, 1,2-cyclohexyl,
1,3-cyclohexyl, 1,4-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-
morpholinyl, 2,4-morpholinyl, 2,5-morpholinyl, 2,6-morpholinyl, 3,4-
15 morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 1,4-piperazinyl,
2,3-piperazinyl, 2,5-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl,
1,4-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,5-piperidinyl, 2,6-piperidinyl,
3,4-piperidinyl, 3,5-piperidinyl, 3,6-piperidinyl, 1,2-pyrrolidinyl, 1,3-
pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl,
20 2H-2,3-pyranyl, 2H-2,4-pyranyl, 2H-2,5-pyranyl, 4H-2,3-pyranyl, 4H-2,4-
pyranyl, 4H-2,5-pyranyl, 2H-pyran-2-one-3,4-yl, 2H-pyran-2-one-4,5-yl, 4H-
pyran-4-one-2,3-yl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-
tetrahydrofuranyl, 3,4-tetrahydrofuranyl, 2,3-tetrahydropyranyl, 2,4-
tetrahydropyranyl, 2,5-tetrahydropyranyl, 2,6-tetrahydropyranyl, 3,4-
25 tetrahydropyranyl, and 3,5-tetrahydropyranyl with the proviso that $(\text{CH(R}^{38}\text{))}_r$

is bonded to E^0 and Q^b is bonded to lowest numbered substituent position of each W^3 ;

Y^0 is Q^b-Q^{sssr} , wherein Q^{sssr} is $(CH(R^{38}))_r-W^4$, r is an integer

selected from 1 through 3, and W^4 is selected from the group consisting of 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,4-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,5-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 1,4-piperazinyl, 2,3-piperazinyl, 2,5-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 1,4-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,5-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 3,5-piperidinyl, 3,6-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2H-2,3-pyranyl, 2H-2,4-pyranyl, 2H-2,5-pyranyl, 4H-2,3-pyranyl, 4H-2,4-pyranyl, 4H-2,5-pyranyl, 2H-pyran-2-one-3,4-yl, 2H-pyran-2-one-4,5-yl, 4H-pyran-4-one-2,3-yl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, 3,4-tetrahydrofuranyl, 2,3-tetrahydropyranyl, 2,4-tetrahydropyranyl, 2,5-tetrahydropyranyl, 2,6-tetrahydropyranyl, 3,4-tetrahydropyranyl, and 3,5-tetrahydropyranyl with the provisos that $(CH(R^{38}))_r$ is bonded to E^0 and Q^b is bonded to highest number substituent position of each W^4 ;

Y^0 is Q^b-Q^{ssss} , wherein Q^{ssss} is $(CH(R^{38}))_r-W^5$, r is an integer

selected from 1 through 3, and W^5 is selected from the group consisting of 1,4-indenyl, 1,5-indenyl, 1,6-indenyl, 1,7-indenyl, 2,7-indenyl, 2,6-indenyl, 2,5-indenyl, 2,4-indenyl, 3,4-indenyl, 3,5-indenyl, 3,6-indenyl, 3,7-indenyl, 2,4-benzofuranyl, 2,5-benzofuranyl, 2,6-benzofuranyl, 2,7-benzofuranyl, 3,4-benzofuranyl, 3,5-benzofuranyl, 3,6-benzofuranyl, 3,7-benzofuranyl, 2,4-benzothiophenyl, 2,5-benzothiophenyl, 2,6-benzothiophenyl, 2,7-benzothiophenyl, 3,4-benzothiophenyl, 3,5-benzothiophenyl, 3,6-benzothiophenyl, 3,7-benzothiophenyl, 2,4-indolyl, 2,5-indolyl, 2,6-indolyl, 2,7-indolyl, 3,4-indolyl, 3,5-indolyl, 3,6-indolyl, 3,7-indolyl, 1,4-isoindolyl, 1,5-isoindolyl, 1,6-isoindolyl, 2,4-isoindolyl, 2,5-isoindolyl, 2,6-isoindolyl, 2,7-

- isoindolyl, 1,3-isoindolyl, 3,4-indazolyl, 3,5-indazolyl, 3,6-indazolyl, 3,7-
 indazolyl, 2,4-benzoxazolyl, 2,5-benzoxazolyl, 2,6-benzoxazolyl, 2,7-
 benzoxazolyl, 3,4-benzisoxazolyl, 3,5-benzisoxazolyl, 3,6-benzisoxazolyl, 3,7-
 benzisoxazolyl, 1,4-naphthyl, 1,5-naphthyl, 1,6-naphthyl, 1,7-naphthyl, 1,8-
 5 naphthyl, 2,4-naphthyl, 2,5-naphthyl, 2,6-naphthyl, 2,7-naphthyl, 2,8-naphthyl,
 2,4-quinoliny, 2,5-quinoliny, 2,6-quinoliny, 2,7-quinoliny, 2,8-quinoliny, 3,4-
 quinoliny, 3,5-quinoliny, 3,6-quinoliny, 3,7-quinoliny, 3,8-quinoliny, 4,5-
 quinoliny, 4,6-quinoliny, 4,7-quinoliny, 4,8-quinoliny, 1,4-isoquinoliny, 1,5-
 isoquinoliny, 1,6-isoquinoliny, 1,7-isoquinoliny, 1,8-isoquinoliny, 3,4-
 10 isoquinoliny, 3,5-isoquinoliny, 3,6-isoquinoliny, 3,7-isoquinoliny, 3,8-
 isoquinoliny, 4,5-isoquinoliny, 4,6-isoquinoliny, 4,7-isoquinoliny, 4,8-
 isoquinoliny, 3,4-cinnoliny, 3,5-cinnoliny, 3,6-cinnoliny, 3,7-cinnoliny, 3,8-
 cinnoliny, 4,5-cinnoliny, 4,6-cinnoliny, 4,7-cinnoliny, and 4,8-cinnoliny with
 the proviso that Q^b is bonded to lowest number substituent position of each
 15 W^5 and that $(CH(R^{38}))_r$ is bonded to E^0 ;

Y^0 is Q^b-Q^{sssr} , wherein Q^{sssr} is $(CH(R^{38}))_r-W^6$, r is an integer

- selected from 1 through 3, and W^6 is selected from the group consisting of 1,4-
 indenyl, 1,5-indenyl, 1,6-indenyl, 1,7-indenyl, 2,7-indenyl, 2,6-indenyl, 2,5-
 indenyl, 2,4-indenyl, 3,4-indenyl, 3,5-indenyl, 3,6-indenyl, 3,7-indenyl, 2,4-
 20 benzofuranyl, 2,5-benzofuranyl, 2,6-benzofuranyl, 2,7-benzofuranyl, 3,4-
 benzofuranyl, 3,5-benzofuranyl, 3,6-benzofuranyl, 3,7-benzofuranyl, 2,4-
 benzothiophenyl, 2,5-benzothiophenyl, 2,6-benzothiophenyl, 2,7-
 benzothiophenyl, 3,4-benzothiophenyl, 3,5-benzothiophenyl, 3,6-
 benzothiophenyl, 3,7-benzothiophenyl, 2,4-indolyl, 2,5-indolyl, 2,6-indolyl, 2,7-
 25 indolyl, 3,4-indolyl, 3,5-indolyl, 3,6-indolyl, 3,7-indolyl, 1,4-isoindolyl, 1,5-
 isoindolyl, 1,6-isoindolyl, 2,4-isoindolyl, 2,5-isoindolyl, 2,6-isoindolyl, 2,7-
 isoindolyl, 1,3-isoindolyl, 3,4-indazolyl, 3,5-indazolyl, 3,6-indazolyl, 3,7-
 indazolyl, 2,4-benzoxazolyl, 2,5-benzoxazolyl, 2,6-benzoxazolyl, 2,7-
 benzoxazolyl, 3,4-benzisoxazolyl, 3,5-benzisoxazolyl, 3,6-benzisoxazolyl, 3,7-
 30 benzisoxazolyl, 1,4-naphthyl, 1,5-naphthyl, 1,6-naphthyl, 1,7-naphthyl, 1,8-
 naphthyl, 2,4-naphthyl, 2,5-naphthyl, 2,6-naphthyl, 2,7-naphthyl, 2,8-naphthyl,
 2,4-quinoliny, 2,5-quinoliny, 2,6-quinoliny, 2,7-quinoliny, 2,8-quinoliny, 3,4-
 quinoliny, 3,5-quinoliny, 3,6-quinoliny, 3,7-quinoliny, 3,8-quinoliny, 4,5-

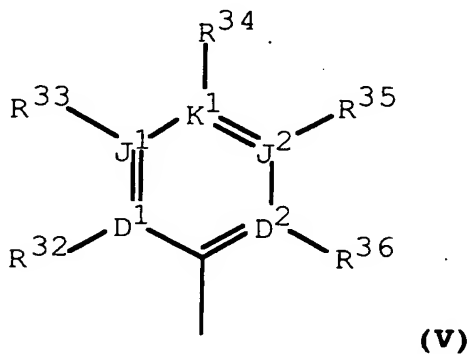
- quinoliny, 4,6-quinoliny, 4,7-quinoliny, 4,8-quinoliny, 1,4-isoquinoliny, 1,5-isoquinoliny, 1,6-isoquinoliny, 1,7-isoquinoliny, 1,8-isoquinoliny, 3,4-isoquinoliny, 3,5-isoquinoliny, 3,6-isoquinoliny, 3,7-isoquinoliny, 3,8-isoquinoliny, 4,5-isoquinoliny, 4,6-isoquinoliny, 4,7-isoquinoliny, 4,8-isoquinoliny, 3,4-cinnoliny, 3,5-cinnoliny, 3,6-cinnoliny, 3,7-cinnoliny, 3,8-cinnoliny, 4,5-cinnoliny, 4,6-cinnoliny, 4,7-cinnoliny, and 4,8-cinnoliny with the proviso that Q^b is bonded to highest number substituent position of each W^6 and that $(CH(R^{38}))_r$ is bonded to E^0 .

- 10 3. The compound as recited in Claim 1 or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of hydrido, halo, hydroxy, hydroxyalkyl, amino, aminoalkyl, cyano, haloalkyl, carboxy, carboxyalkyl, amidocarbonyl, acyl, $O-R^6$, $NH-R^6$, $S-R^6$, wherein R^6 is selected from the

- 15 group consisting of alkyl and haloalkyl;

B is formula (V):



- wherein D^1 , D^2 , J^1 , J^2 and K^1 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is O, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is S, one of D^1 , D^2 , J^1 , J^2 and K^1 must be a covalent bond when two of D^1 , D^2 , J^1 , J^2 and K^1 are O and S, and no more than four of D^1 , D^2 , J^1 , J^2 and K^1 are N;

$R^{32}, R^{33}, R^{34}, R^{35}$, and R^{36} are independently selected to be Q^b ;

$R^9, R^{10}, R^{11}, R^{12}, R^{13}, R^{16}, R^{17}, R^{18}, R^{19}, R^{32}, R^{33}, R^{34}, R^{35}$, and

- R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, dialkylsulfonium, trialkylphosphonium, dialkylsulfoniumalkyl, carboxy, heteroaralkylthio, heteroaralkoxy, cycloalkylamino, acylalkyl, acylalkoxy, aryloylalkoxy, heterocyclyloxy, aralkylaryl, aralkyl, aralkenyl, aralkynyl, heterocyclyl, perhaloaralkyl, aralkylsulfonyl, aralkylsulfonylalkyl, aralkylsulfinyl, aralkylsulfinylalkyl, halocycloalkyl, halocycloalkenyl, cycloalkylsulfinyl, cycloalkylsulfinylalkyl, cycloalkylsulfonyl, cycloalkylsulfonylalkyl, heteroaryl amino, N-heteroaryl amino-N-alkyl amino, heteroaryl aminoalkyl, haloalkylthio, alkanoyloxy, alkoxy, alkoxyalkyl, haloalkoxyalkyl, heteroaralkoxy, cycloalkoxy, cycloalkenyloxy, cycloalkoxyalkyl, cycloalkylalkoxy, cycloalkenyloxyalkyl, cycloalkylenedioxy, halocycloalkoxy, halocycloalkoxyalkyl, halocycloalkenyloxy, halocycloalkenyloxyalkyl, hydroxy, amino, alkoxyamino, thio, nitro, lower alkyl amino, alkylthio, alkylthioalkyl, aryl amino, aralkyl amino, arylthio, arylthioalkyl, heteroaralkoxyalkyl, alkylsulfinyl, alkylsulfinylalkyl, arylsulfinylalkyl, arylsulfonylalkyl, heteroarylsulfinylalkyl, heteroarylsulfonylalkyl, alkylsulfonyl, alkylsulfonylalkyl, haloalkylsulfinylalkyl, haloalkylsulfonylalkyl, alkylsulfonamido, alkylaminosulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, monoaryl amidosulfonyl, arylsulfonamido, diarylamidosulfonyl, monoalkyl monoaryl amidosulfonyl, arylsulfinyl, arylsulfonyl, heteroarylthio, heteroarylsulfinyl, heteroarylsulfonyl, heterocyclylsulfonyl, heterocyclylthio, alkanoyl, alkenoyl, aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl, haloalkanoyl, alkyl, alkenyl, alkynyl, alkenyloxy, alkenyloxyalkyl, alkylenedioxy, haloalkylenedioxy, cycloalkyl, cycloalkylalkanoyl, cycloalkenyl, lower cycloalkylalkyl, lower cycloalkenylalkyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyhaloalkyl, hydroxyaralkyl, hydroxyalkyl, aminoalkyl, hydroxyheteroaralkyl, haloalkoxyalkyl, aryl, aralkyl, aryloxy, aralkoxy, aryloxyalkyl, saturated heterocyclyl, partially saturated heterocyclyl, heteroaryl, heteroaryloxy, heteroaryloxyalkyl, arylalkyl, heteroarylalkyl, arylalkenyl, heteroarylalkenyl, carboxyalkyl, carboalkoxy, alkoxycarboxamido,

alkylamidocarbonylamido, arylamidocarbonylamido, carboalkoxyalkyl, carboalkoxyalkenyl, carboaralkoxy, carboxamido, carboxamidoalkyl, cyano, carbohaloalkoxy, phosphono, phosphonoalkyl, diaralkoxyphosphono, and diaralkoxyphosphonoalkyl;

- 5 B is selected from the group consisting of C3-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, C3-C8 haloalkyl, and C3-C8 haloalkenyl wherein each member of group B may be optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R₃₂, R₃₃, R₃₄, R₃₅, and R₃₆;
- 10 B is selected from the group consisting of C3-C10 cycloalkyl, C5-C10 cycloalkenyl, C4-C9 saturated heterocyclyl, and C4-C9 partially saturated heterocyclyl, wherein each ring carbon may be optionally substituted with R₃₃, a ring carbon other than the ring carbon at the point of attachment of B to A may be optionally substituted with oxo provided that no more than one ring
- 15 carbon is substituted by oxo at the same time, ring carbon and nitrogen atoms adjacent to the carbon atom at the point of attachment may be optionally substituted with R₉ or R₁₃, a ring carbon or nitrogen atom adjacent to the R₉ position and two atoms from the point of attachment may be substituted with R₁₀, a ring carbon or nitrogen atom adjacent to the R₁₃ position and two atoms
- 20 from the point of attachment may be substituted with R₁₂, a ring carbon or nitrogen atom three atoms from the point of attachment and adjacent to the R₁₀ position may be substituted with R₁₁, a ring carbon or nitrogen atom three atoms from the point of attachment and adjacent to the R₁₂ position may be substituted with R₃₃, and a ring carbon or nitrogen atom four atoms from the
- 25 point of attachment and adjacent to the R₁₁ and R₃₃ positions may be substituted with R₃₄;

A is selected from the group consisting of single covalent bond,
 $(W^7)_{rr}-(CH(R^{15}))_{pa}$ and $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is an integer
 selected from 0 through 1, pa is an integer selected from 0 through 6, and W^7
 is selected from the group consisting of O, S, C(O), C(S), C(O)S, C(S)O,
 5 C(O)N(R⁷), C(S)N(R⁷), (R⁷)NC(O), (R⁷)NC(S), S(O), S(O)₂, S(O)₂N(R⁷),
 (R⁷)NS(O)₂, C(NR⁷)N(R⁷), (R⁷)NC(NR⁷), and N(R⁷) with the proviso that
 no more than one of the group consisting of rr and pa is 0 at the same time;

R^7 and R^8 are independently selected from the group consisting of
 hydrido, hydroxy, alkyl, and alkoxyalkyl;
 10 R^{14} , R^{15} , R^{37} , and R^{38} are independently selected from the group
 consisting of hydrido, hydroxy, halo, alkyl, alkoxyalkyl, haloalkyl, haloalkoxy,
 and haloalkoxyalkyl;

Ψ is selected from the group consisting of NR^5 , O, C(O), C(S), S,
 S(O), S(O)₂, and $CR^{39}R^{40}$ with the provisos that Ψ is selected from other
 15 than NR^5 , O, S, S(O), and S(O)₂ unless any two of X^0 , R^2 , R^1 , and J are other
 than hydrido, or that Ψ is selected from other than O, unless A is selected from
 other than methylene when B is phenyl, that Ψ is selected from other than
 C(O), unless A is selected from other than methyleneoxy when B is phenyl, or
 that Ψ is selected from other than NH unless A is selected from other than a
 20 single covalent bond when B is acyl, or that Ψ is selected from other than NH
 unless A is selected from other than S(O) or S(O)₂ when B is phenyl;

R^5 is selected from the group consisting of hydrido, alkyl, and alkoxy;
 R^{39} and R^{40} are independently selected from the group consisting of
 hydrido, hydroxy, halo, hydroxyalkyl, alkyl, alkoxyalkyl, haloalkyl, haloalkoxy,
 25 and haloalkoxyalkyl;

X^0 , R^2 and R^1 are independently selected from the group consisting of
 Z^0 -Q, hydrido, alkyl, alkenyl, and halo;

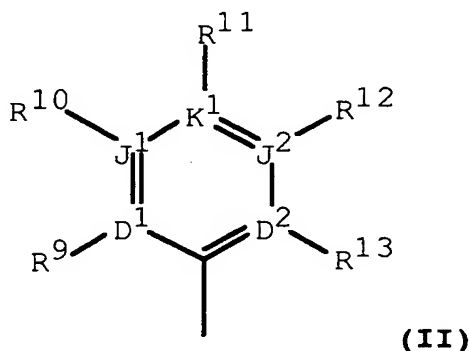
- X^0 , R^2 and R^1 are independently selected from the group consisting of amidino, guanidino, dialkylsulfonium, trialkylphosphonium, dialkylsulfoniumalkyl, heteroaryl-amino, amino, nitro, alkyl-amino, aryl-amino, aralkyl-amino, alkanoyl, alkenoyl, aroyl, heteroaroyl, aralkanoyl,
- 5 heteroaralkanoyl, haloalkanoyl, hydroxyhaloalkyl, cyano, and phosphono;
- Z^0 is selected from the group consisting of covalent single bond, $(CR^{41}R^{42})_q$ wherein q is an integer selected from 1 through 2, $(CH(R^{41}))_g$, $W^0-(CH(R^{42}))_p$ wherein g and p are integers independently selected from 0 through 2 and W^0 is selected from the group consisting of O, S, C(O), C(S),
- 10 C(O)O, C(S)O, C(O)S, C(S)S, C(O)N(R^{41}), (R^{41})NC(O), C(S)N(R^{41}), (R^{41})NC(S), OC(O)N(R^{41}), (R^{41})NC(O)O, SC(S)N(R^{41}), (R^{41})NC(S)S, SC(O)N(R^{41}), (R^{41})NC(O)S, OC(S)N(R^{41}), (R^{41})NC(S)O, N(R^{42})C(O)N(R^{41}), (R^{41})NC(O)N(R^{42}), N(R^{42})C(S)N(R^{41}), (R^{41})NC(S)N(R^{42}), S(O), S(O)₂, S(O)₂N(R^{41}), N(R^{41})S(O)₂, N(R^{41}),
- 15 ON(R^{41}), and $(CH(R^{41}))_e-W^2-(CH(R^{42}))_h$ wherein e and h are integers independently selected from 0 through 2 and W^2 is selected from the group consisting of $CR^{41}=CR^{42}$, $CR^{41}R^{42}=C$; vinylidene), and ethynylidene ($C\equiv C$; 1,2-ethynyl), with the provisos that R^{41} and R^{42} are selected from other than halo and cyano when directly bonded to N and Z^0 is directly bonded to the
- 20 benzene ring, that W^0 is selected, wherein g is 0, from other than NHS(O)₂CH₂aryl or N(R^{41}) unless R^{41} is selected from other than hydrido, alkyl, or aralkylsulfonyl, and Z^0 is selected from other than OC(O), C(O)N(H), and (H)NC(O), unless Q is selected from other than phenyl, 2-furyl, 2-thienyl, 4-thiazolyl, 2-pyridyl, 2-naphthyl, 1,2-dihydrobenzofuran-5-yl, 1,2-
- 25 dihydrobenzofuran-6-yl, or 1,2benzisoxazol-6-yl, or X^0 is selected from other

than hydrido, halo, or methyl, or R^1 is selected from other than hydrido, fluoro, hydroxy, acetoxy, propanoyloxy, 2-carboxyacetoxy, 2,3 or 4-carboxypropanoyloxy, benzoyloxy, methyl, or methoxy;

R^{41} and R^{42} are independently selected from the group consisting of

- 5 hydrido, hydroxy, halo, cyano, aryloxy, hydroxyalkyl, acyl, aroyl, heteroaroyl, heteroaryloxyalkyl, alkoxy, alkyl, aryl, aralkyl, aryloxyalkyl, aralkoxyalkylalkoxy, alkoxyalkyl, heteroaryloxyalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, cycloalkenyl, cycloalkenylalkyl, haloalkyl, haloalkenyl, halocycloalkyl, halocycloalkenyl, haloalkoxy, haloalkoxyalkyl,
- 10 haloalkenyloxyalkyl, halocycloalkoxy, halocycloalkoxyalkyl, halocycloalkenyloxyalkyl, saturated heterocyclyl, partially saturated heterocyclyl, heteroaryl, and heteroaralkyl;

Q is formula (II):



- 15 wherein D^1, D^2, J^1, J^2 and K^1 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, no more than one of D^1, D^2, J^1, J^2 and K^1 is O, no more than one of D^1, D^2, J^1, J^2 and K^1 is S, one of D^1, D^2, J^1, J^2 and K^1 must be a covalent bond when two of D^1, D^2, J^1, J^2 and K^1 are O and S, and
- 20 no more than four of D^1, D^2, J^1, J^2 and K^1 are N, with the proviso that $R^9, R^{10}, R^{11}, R^{12}$, and R^{13} are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

- Q is selected from the group consisting of alkyl, alkoxy, alkylamino, alkylthio, haloalkylthio, saturated heterocyclyl, alkyl, partially saturated heterocyclyl, acyl, aroyl, heteroaroyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkylalkenyl, haloalkyl, haloalkoxy, haloalkenyl, halocycloalkyl, halocycloalkenyl, haloalkoxyalkyl, haloalkenyloxyalkyl, halocycloalkoxyalkyl, and halocycloalkenyloxyalkyl;

K is $(CR^{4a}R^{4b})_n$ wherein n is the integer 1;

R^{4a} and R^{4b} are independently selected from the group consisting of halo, hydrido, hydroxy, hydroxyalkyl, alkyl, alkoxyalkyl, and haloalkyl;

- 10 E^0 is E^1 , when K is $(CR^{4a}R^{4b})_n$, wherein E^1 is selected from the group consisting of a covalent single bond, O, S, C(O), C(S), C(O)O, C(S)O, C(O)S, C(S)S, C(O)N(R^7), (R^7)NC(O), C(S)N(R^7), (R^7)NC(S), OC(O)N(R^7), (R^7)NC(O)O, SC(S)N(R^7), (R^7)NC(S)S, SC(O)N(R^7), (R^7)NC(O)S, OC(S)N(R^7), (R^7)NC(S)O, N(R^8)C(O)N(R^7), (R^7)NC(O)N(R^8), N(R^8)C(S)N(R^7), (R^7)NC(S)N(R^8), S(O), S(O)₂, S(O)₂N(R^7), N(R^7)S(O)₂, S(O)₂N(R^7)C(O), C(O)N(R^7)S(O)₂, N(R^7), ON(R^7), $CR^{4a}=CR^{4b}$, ethynylidene ($C\equiv C$; 1,2-ethynyl), and $C=CR^{4a}R^{4b}$;

- 20 K is $(CH(R^{14}))_j-T$ wherein j is selected from a integer from 0 through 1 and T is selected from the group consisting of single covalent bond, O, S, and N(R^7) with the proviso that $(CH(R^{14}))_j$ is bonded to the phenyl ring;

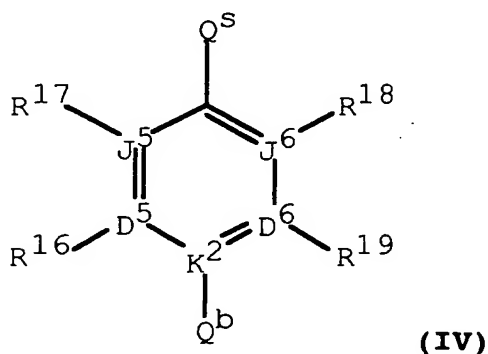
E^0 is E^2 , when K is $(CH(R^{14}))_j-T$, wherein E^2 is selected from the group consisting of a covalent single bond, C(O), C(S), C(O)O, C(S)O, C(O)S, C(S)S, C(O)N(R^7), (R^7)NC(O), C(S)N(R^7), (R^7)NC(S), (R^7)NC(O)O, (R^7)NC(S)S, (R^7)NC(O)S, (R^7)NC(S)O, N(R^8)C(O)N(R^7),

$(R^7)NC(O)N(R^8)$, $N(R^8)C(S)N(R^7)$, $(R^7)NC(S)N(R^8)$, $S(O)$, $S(O)_2$,
 $S(O)_2N(R^7)$, $N(R^7)S(O)_2$, $S(O)_2N(H)C(O)$, $C(O)N(H)S(O)_2$, and $N(R^7)$;

K is $G-(CH(R^{15}))_k$ wherein k is the integer 1 and G is selected from
the group consisting of O, S, and $N(R^7)$;

- 5 E^0 is E^3 , when K is $G-(CH(R^{15}))_k$, wherein E^3 is selected from the
group consisting of a covalent single bond, O, S, C(O), C(S), C(O)O, C(S)O,
C(O)S, C(S)S, C(O) $N(R^7)$, $(R^7)NC(O)$, C(S) $N(R^7)$, $(R^7)NC(S)$,
OC(O) $N(R^7)$, $(R^7)NC(O)O$, SC(S) $N(R^7)$, $(R^7)NC(S)S$, SC(O) $N(R^7)$,
 $(R^7)NC(O)S$, OC(S) $N(R^7)$, $(R^7)NC(S)O$, $N(R^8)C(O)N(R^7)$,
10 $(R^7)NC(O)N(R^8)$, $N(R^8)C(S)N(R^7)$, $(R^7)NC(S)N(R^8)$, $S(O)$, $S(O)_2$,
 $S(O)_2N(R^7)$, $N(R^7)S(O)_2$, $N(R^7)$, $ON(R^7)$, $CR^{4a}=CR^{4b}$, ethynylidene ($C\equiv C$;
1,2-ethynyl), and $C=CR^{4a}R^{4b}$;

Y^0 is formula (IV):



- 15 wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group
consisting of C, N, O, S and a covalent bond with the provisos that no more
than one is a covalent bond, K^2 is independently selected from the group
consisting of C and N^+ , no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more

than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, no more than three of D^5 , D^6 , J^5 , and J^6 are N when K^2 is N^+ , and no more than four of D^5 , D^6 , J^5 , and J^6 are N when K^2 is carbon with the provisos that R^{16} , R^{17} , R^{18} , and R^{19} are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, $+NR^{20}R^{21}R^{22}$, oxy, alkyl, alkylaminoalkyl, aminoalkyl, dialkylsulfoniumalkyl, and acylamino
 10 wherein R^{20} , R^{21} , and R^{22} are independently selected from the group consisting of hydrido, alkyl, hydroxy, alkoxy, alkylamino, dialkylamino, aminoalkyl, and hydroxyalkyl with the provisos that no more than one of R^{20} , R^{21} , and R^{22} is hydroxy, alkoxy, alkylamino, amino, or dialkylamino and that R^{20} , R^{21} , and R^{22} must be other than be hydroxy, alkoxy, alkylamino, amino,
 15 and dialkylamino when K^2 is N^+ ;

Q^b is selected from the group consisting of $N(R^{26})SO_2N(R^{23})(R^{24})$, $N(R^{26})C(O)OR^5$, $N(R^{26})C(O)SR^5$, $N(R^{26})C(S)OR^5$ and $N(R^{26})C(S)SR^5$ with the proviso that no more than one of R^{23} , R^{24} , and R^{26} is hydroxy, alkoxy, alkylamino, amino, or dialkylamino when two of the group consisting
 20 of R^{23} , R^{24} , and R^{26} are bonded to the same atom;

Q^b is selected from the group consisting of dialkylsulfonium, trialkylphosphonium, $C(NR^{25})NR^{23}R^{24}$, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $N(R^{26})C(O)N(R^{23})(R^{24})$, $N(R^{26})C(S)N(R^{23})(R^{24})$, $C(NR^{25})OR^5$,

- $C(O)N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $C(S)N(R^{26})C(NR^{25})N(R^{23})(R^{24})$,
 $N(R^{26})N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $N(R^{26})N(R^{26})SO_2N(R^{23})(R^{24})$,
 $C(NR^{25})SR^5$, $C(O)NR^{23}R^{24}$, and $C(O)NR^{23}R^{24}$ with the provisos that no
 more than one of R^{23} , R^{24} , and R^{26} is hydroxy, alkoxy, alkylamino, amino, or
 5 dialkylamino when two of the group consisting of R^{23} , R^{24} , and R^{26} are
 bonded to the same atom and that said Q^b group is bonded directly to a carbon
 atom;
 R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group
 consisting of hydrido, alkyl, hydroxy, alkoxy, alkylamino, dialkylamino,
 10 aminoalkyl, and hydroxyalkyl;
 Q^s is selected from the group consisting of a single covalent bond,
 $(CR^{37}R^{38})_b-(W^0)_{az}$ wherein az is an integer selected from 0 through 1, b is an
 integer selected from 1 through 2, and W^0 is selected from the group consisting
 of O, S, C(O), C(S), C(O)O, C(S)O, C(O)S, C(S)S, C(O)N(R¹⁴),
 15 (R¹⁴)NC(O), C(S)N(R¹⁴), (R¹⁴)NC(S), OC(O)N(R¹⁴), SC(S)N(R¹⁴),
 SC(O)N(R¹⁴), OC(S)N(R¹⁴), N(R¹⁵)C(O)N(R¹⁴), (R¹⁴)NC(O)N(R¹⁵),
 N(R¹⁵)C(S)N(R¹⁴), (R¹⁴)NC(S)N(R¹⁵), S(O), S(O)₂, S(O)₂N(R¹⁴),
 N(R¹⁴)S(O)₂, N(R¹⁴), ON(R¹⁴), (CH(R¹⁴))_c-W¹-(CH(R¹⁵))_d wherein c
 and d are integers independently selected from 1 through 2, and W^1 is selected
 20 from the group consisting of O, S, C(O), C(S), C(O)O, C(S)O, C(O)S, C(S)S,
 C(O)N(R¹⁴), (R¹⁴)NC(O), C(S)N(R¹⁴), (R¹⁴)NC(S), OC(O)N(R¹⁴),
 (R¹⁴)NC(O)O, SC(S)N(R¹⁴), (R¹⁴)NC(S)S, SC(O)N(R¹⁴), (R¹⁴)NC(O)S,
 OC(S)N(R¹⁴), (R¹⁴)NC(S)O, N(R¹⁵)C(O)N(R¹⁴), (R¹⁴)NC(O)N(R¹⁵),

- $N(R^{15})C(S)N(R^{14})$, $(R^{14})NC(S)N(R^{15})$, $S(O)$, $S(O)_2$, $S(O)_2N(R^{14})$,
 $N(R^{14})S(O)_2$, $N(R^{14})$, $ON(R^{14})$, and $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$ wherein
 e and h are integers independently selected from 0 through 2 and W^2 is
 selected from the group consisting of $CR^{4a}=CR^{4b}$, ethynylidene ($C\equiv C$; 1,2-
 5 ethynyl), and $C=CR^{4a}R^{4b}$ with the provisos that R^{14} and R^{15} are selected
 from other than halo and cyano when directly bonded to N and that
 $(CR^{37}R^{38})_b$, $(CH(R^{14}))_c$, $(CH(R^{14}))_e$ and are bonded to E^0 ;
 Y^0 is Q^b-Q^{ss} wherein Q^{ss} is selected from the group consisting of
 $(CR^{37}R^{38})_f$ wherein f is an integer selected from 1 through 4, $(CH(R^{14}))_c$ -
 10 $W^1-(CH(R^{15}))_d$ wherein c and d are integers independently selected from 1
 through 2, and W^1 is selected from the group consisting of W^1 is selected
 from the group consisting of O, S, C(O), C(S), C(O)O, C(S)O, C(O)S, C(S)S,
 $C(O)N(R^{14})$, $(R^{14})NC(O)$, $C(S)N(R^{14})$, $(R^{14})NC(S)$, $OC(O)N(R^{14})$,
 $(R^{14})NC(O)O$, $SC(S)N(R^{14})$, $(R^{14})NC(S)S$, $SC(O)N(R^{14})$, $(R^{14})NC(O)S$,
 15 $OC(S)N(R^{14})$, $(R^{14})NC(S)O$, $N(R^{15})C(O)N(R^{14})$, $(R^{14})NC(O)N(R^{15})$,
 $N(R^{15})C(S)N(R^{14})$, $(R^{14})NC(S)N(R^{15})$, $S(O)$, $S(O)_2$, $S(O)_2N(R^{14})$,
 $N(R^{14})S(O)_2$, $N(R^{14})$, $ON(R^{14})$, and $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$ wherein
 e and h are integers independently selected from 0 through 2 and W^2 is
 selected from the group consisting of $CR^{4a}=CR^{4b}$, ethynylidene ($C\equiv C$; 1,2-
 20 ethynyl), and $C=CR^{4a}R^{4b}$ with the provisos that R^{14} and R^{15} are selected
 from other than halo when directly bonded to N and that $(CR^{37}R^{38})_f$,
 $(CH(R^{15}))_c$, and $(CH(R^{15}))_e$ are bonded to E^0 ;

Y^0 is Q^b-Q^{sss} wherein Q^{sss} is $(CH(R^{38}))_r-W^3$, r is an integer selected

from 1 through 2, and W^3 is selected from the group consisting of 1,1-

cyclopropyl, 1,2-cyclopropyl, 1,1-cyclobutyl, 1,2-cyclobutyl, 1,2-cyclohexyl,

1,3-cyclohexyl, 1,4-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-

5 morpholinyl, 2,4-morpholinyl, 2,5-morpholinyl, 2,6-morpholinyl, 3,4-

morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 1,4-piperazinyl,

2,3-piperazinyl, 2,5-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl,

1,4-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,5-piperidinyl, 2,6-piperidinyl,

3,4-piperidinyl, 3,5-piperidinyl, 3,6-piperidinyl, 1,2-pyrrolidinyl, 1,3-

10 pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl,

2H-2,3-pyranyl, 2H-2,4-pyranyl, 2H-2,5-pyranyl, 4H-2,3-pyranyl, 4H-2,4-

pyranyl, 4H-2,5-pyranyl, 2H-pyran-2-one-3,4-yl, 2H-pyran-2-one-4,5-yl, 4H-

pyran-4-one-2,3-yl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-

tetrahydrofuranyl, 3,4-tetrahydrofuranyl, 2,3-tetrahydropyranyl, 2,4-

15 tetrahydropyranyl, 2,5-tetrahydropyranyl, 2,6-tetrahydropyranyl, 3,4-

tetrahydropyranyl, and 3,5-tetrahydropyranyl with the proviso that $(CH(R^{38}))_r$

is bonded to E^0 and Q^b is bonded to lowest numbered substituent position of each W^3 ;

Y^0 is Q^b-Q^{sssr} wherein Q^{sssr} is $(CH(R^{38}))_r-W^4$, r is an integer

20 selected from 1 through 2, and W^4 is selected from the group consisting of 1,2-

cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,4-cyclohexyl, 1,2-cyclopentyl, 1,3-

cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,5-morpholinyl, 2,6-

morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl,

1,4-piperazinyl, 2,3-piperazinyl, 2,5-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl,

25 1,3-piperidinyl, 1,4-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,5-piperidinyl,

2,6-piperidinyl, 3,4-piperidinyl, 3,5-piperidinyl, 3,6-piperidinyl, 1,2-pyrrolidinyl,

1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-

pyrrolidinyl, 2H-2,3-pyranyl, 2H-2,4-pyranyl, 2H-2,5-pyranyl, 4H-2,3-pyranyl,

4H-2,4-pyranyl, 4H-2,5-pyranyl, 2H-pyran-2-one-3,4-yl, 2H-pyran-2-one-4,5-

30 yl, 4H-pyran-4-one-2,3-yl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-

tetrahydrofuranyl, 3,4-tetrahydrofuranyl, 2,3-tetrahydropyranyl, 2,4-

tetrahydropyranyl, 2,5-tetrahydropyranyl, 2,6-tetrahydropyranyl, 3,4-tetrahydropyranyl, and 3,5-tetrahydropyranyl with the proviso that $(\text{CH}(\text{R}^{38}))_r$ is bonded to E^0 and Q^b is bonded to highest number substituent position of each W^4 ;

- 5 Y^0 is $\text{Q}^b\text{-Q}^{\text{ssss}}$ wherein Q^{ssss} is $(\text{CH}(\text{R}^{38}))_r\text{-W}^5$, r is an integer selected from 1 through 2, and W^5 is selected from the group consisting of 1,4-indenyl, 1,5-indenyl, 1,6-indenyl, 1,7-indenyl, 2,7-indenyl, 2,6-indenyl, 2,5-indenyl, 2,4-indenyl, 3,4-indenyl, 3,5-indenyl, 3,6-indenyl, 3,7-indenyl, 2,4-benzofuranyl, 2,5-benzofuranyl, 2,6-benzofuranyl, 2,7-benzofuranyl, 3,4-benzofuranyl, 3,5-benzofuranyl, 3,6-benzofuranyl, 3,7-benzofuranyl, 2,4-benzothiophenyl, 2,5-benzothiophenyl, 2,6-benzothiophenyl, 2,7-benzothiophenyl, 3,4-benzothiophenyl, 3,5-benzothiophenyl, 3,6-benzothiophenyl, 3,7-benzothiophenyl, 2,4-indolyl, 2,5-indolyl, 2,6-indolyl, 2,7-indolyl, 3,4-indolyl, 3,5-indolyl, 3,6-indolyl, 3,7-indolyl, 1,4-isoindolyl, 1,5-isoindolyl, 1,6-isoindolyl, 2,4-isoindolyl, 2,5-isoindolyl, 2,6-isoindolyl, 2,7-isoindolyl, 1,3-isoindolyl, 3,4-indazolyl, 3,5-indazolyl, 3,6-indazolyl, 3,7-indazolyl, 2,4-benzoxazolyl, 2,5-benzoxazolyl, 2,6-benzoxazolyl, 2,7-benzoxazolyl, 3,4-benzisoxazolyl, 3,5-benzisoxazolyl, 3,6-benzisoxazolyl, 3,7-benzisoxazolyl, 1,4-naphthyl, 1,5-naphthyl, 1,6-naphthyl, 1,7-naphthyl, 1,8-naphthyl, 2,4-naphthyl, 2,5-naphthyl, 2,6-naphthyl, 2,7-naphthyl, 2,8-naphthyl, 2,4-quinolinyl, 2,5-quinolinyl, 2,6-quinolinyl, 2,7-quinolinyl, 2,8-quinolinyl, 3,4-quinolinyl, 3,5-quinolinyl, 3,6-quinolinyl, 3,7-quinolinyl, 3,8-quinolinyl, 4,5-quinolinyl, 4,6-quinolinyl, 4,7-quinolinyl, 4,8-quinolinyl, 1,4-isoquinolinyl, 1,5-isoquinolinyl, 1,6-isoquinolinyl, 1,7-isoquinolinyl, 1,8-isoquinolinyl, 3,4-isoquinolinyl, 3,5-isoquinolinyl, 3,6-isoquinolinyl, 3,7-isoquinolinyl, 3,8-isoquinolinyl, 4,5-isoquinolinyl, 4,6-isoquinolinyl, 4,7-isoquinolinyl, 4,8-isoquinolinyl, 3,4-cinnolinyl, 3,5-cinnolinyl, 3,6-cinnolinyl, 3,7-cinnolinyl, 3,8-cinnolinyl, 4,5-cinnolinyl, 4,6-cinnolinyl, 4,7-cinnolinyl, and 4,8-cinnolinyl with the proviso that Q^b is bonded to lowest number substituent position of each
- 30 W^5 and that $(\text{CH}(\text{R}^{38}))_r$ is bonded to E^0 ;

Y^0 is Q^b-Q^{ssssr} wherein Q^{ssssr} is $(CH(R^{38}))_r-W^6$, r is an integer

selected from 1 through 2, and W^6 is selected from the group consisting of 1,4-

indenyl, 1,5-indenyl, 1,6-indenyl, 1,7-indenyl, 2,7-indenyl, 2,6-indenyl, 2,5-

5 indenyl, 2,4-indenyl, 3,4-indenyl, 3,5-indenyl, 3,6-indenyl, 3,7-indenyl, 2,4-

benzofuranyl, 2,5-benzofuranyl, 2,6-benzofuranyl, 2,7-benzofuranyl, 3,4-

benzofuranyl, 3,5-benzofuranyl, 3,6-benzofuranyl, 3,7-benzofuranyl, 2,4-

benzothiophenyl, 2,5-benzothiophenyl, 2,6-benzothiophenyl, 2,7-

benzothiophenyl, 3,4-benzothiophenyl, 3,5-benzothiophenyl, 3,6-

10 benzothiophenyl, 3,7-benzothiophenyl, 2,4-indolyl, 2,5-indolyl, 2,6-indolyl, 2,7-

indolyl, 3,4-indolyl, 3,5-indolyl, 3,6-indolyl, 3,7-indolyl, 1,4-isoindolyl, 1,5-

isoindolyl, 1,6-isoindolyl, 2,4-isoindolyl, 2,5-isoindolyl, 2,6-isoindolyl, 2,7-

isoindolyl, 1,3-isoindolyl, 3,4-indazolyl, 3,5-indazolyl, 3,6-indazolyl, 3,7-

indazolyl, 2,4-benzoxazolyl, 2,5-benzoxazolyl, 2,6-benzoxazolyl, 2,7-

benzoxazolyl, 3,4-benzisoxazolyl, 3,5-benzisoxazolyl, 3,6-benzisoxazolyl, 3,7-

15 benzisoxazolyl, 1,4-naphthyl, 1,5-naphthyl, 1,6-naphthyl, 1,7-naphthyl, 1,8-

naphthyl, 2,4-naphthyl, 2,5-naphthyl, 2,6-naphthyl, 2,7-naphthyl, 2,8-naphthyl,

2,4-quinoliny, 2,5-quinoliny, 2,6-quinoliny, 2,7-quinoliny, 2,8-quinoliny, 3,4-

quinoliny, 3,5-quinoliny, 3,6-quinoliny, 3,7-quinoliny, 3,8-quinoliny, 4,5-

quinoliny, 4,6-quinoliny, 4,7-quinoliny, 4,8-quinoliny, 1,4-isoquinoliny, 1,5-

20 isoquinoliny, 1,6-isoquinoliny, 1,7-isoquinoliny, 1,8-isoquinoliny, 3,4-

isoquinoliny, 3,5-isoquinoliny, 3,6-isoquinoliny, 3,7-isoquinoliny, 3,8-

isoquinoliny, 4,5-isoquinoliny, 4,6-isoquinoliny, 4,7-isoquinoliny, 4,8-

isoquinoliny, 3,4-cinnoliny, 3,5-cinnoliny, 3,6-cinnoliny, 3,7-cinnoliny, 3,8-

cinnoliny, 4,5-cinnoliny, 4,6-cinnoliny, 4,7-cinnoliny, and 4,8-cinnoliny with

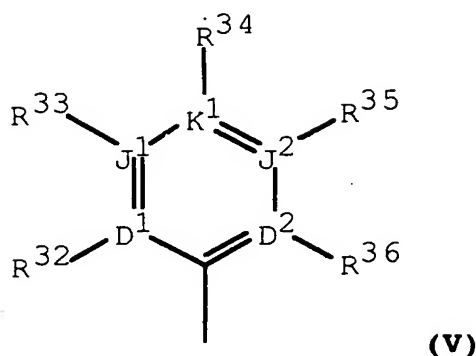
25 the proviso that Q^b is bonded to highest number substituent position of each

W^6 and that $(CH(R^{38}))_r$ is bonded to E^0 .

4. The compound as recited in Claim 3 or a pharmaceutically acceptable salt thereof, wherein;

30 J is selected from the group consisting of hydrido, halo, hydroxy, hydroxyalkyl, amino, aminoalkyl, $O-R^6$, $NH-R^6$, and $S-R^6$, wherein R^6 is selected from the group consisting of alkyl and haloalkyl;

B is formula (V):



wherein D^1, D^2, J^1, J^2 and K^1 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, no more than one of D^1, D^2, J^1, J^2 and K^1 is O, no more than one of D^1, D^2, J^1, J^2 and K^1 is S, one of D^1, D^2, J^1, J^2 and K^1 must be a covalent bond when two of D^1, D^2, J^1, J^2 and K^1 are O and S, and no more than four of D^1, D^2, J^1, J^2 and K^1 are N;

$R^{32}, R^{33}, R^{34}, R^{35}$, and R^{36} are independently selected to be Q^b ;

$R^9, R^{10}, R^{11}, R^{12}, R^{13}, R^{32}, R^{33}, R^{34}, R^{35}$, and R^{36} are

independently selected from the group consisting of hydrido, amidino, guanidino, dialkylsulfonium, carboxy, haloalkylthio, alkanoyloxy, alkoxy, alkoxyalkyl, haloalkoxyalkyl, hydroxy, amino, alkoxyamino, thio, nitro, lower alkylamino, alkylthio, alkylthioalkyl, alkylsulfinyl, alkylsulfinylalkyl, alkylsulfonyl, alkylsulfonylalkyl, haloalkylsulfinylalkyl, haloalkylsulfonylalkyl, alkylsulfonamido, alkylaminosulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, monoarylamidosulfonyl, alkanoyl, alkenoyl, haloalkanoyl, alkyl, alkenyl, alkenyloxy, alkenyloxyalkyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyhaloalkyl, hydroxyalkyl, aminoalkyl, haloalkoxyalkyl, carboxyalkyl, carboalkoxy, alkoxycarboxamido, alkylamidocarbonylamido, carboalkoxyalkyl, carboalkoxyalkenyl, carboxamido, carboxamidoalkyl, and cyano;

B is selected from the group consisting of C3-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, C3-C8 haloalkyl, and C3-C8 haloalkenyl wherein each member

of group B may be optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R_{32} , R_{33} , R_{34} , R_{35} , and R_{36} ;

- 5 B is selected from the group consisting of C3-C10 cycloalkyl, C5-C10 cycloalkenyl, C4-C9 saturated heterocyclyl, and C4-C9 partially saturated heterocyclyl, wherein each ring carbon may be optionally substituted with R_{33} , a ring carbon other than the ring carbon at the point of attachment of B to A may be optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbon and nitrogen atoms
10 adjacent to the carbon atom at the point of attachment may be optionally substituted with R_9 or R_{13} , a ring carbon or nitrogen atom adjacent to the R_9 position and two atoms from the point of attachment may be substituted with R_{10} , a ring carbon or nitrogen atom adjacent to the R_{13} position and two atoms from the point of attachment may be substituted with R_{12} , a ring carbon or
15 nitrogen atom three atoms from the point of attachment and adjacent to the R_{10} position may be substituted with R_{11} , a ring carbon or nitrogen atom three atoms from the point of attachment and adjacent to the R_{12} position may be substituted with R_{33} , and a ring carbon or nitrogen atom four atoms from the point of attachment and adjacent to the R_{11} and R_{33} positions may be
20 substituted with R_{34} ;

- A is selected from the group consisting of single covalent bond, $(W^7)_{rr}-(CH(R^{15}))_{pa}$ and $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 6, and W^7 is selected from the group consisting of O, S, C(O), C(S), C(O)S, C(S)O,
25 C(O)N(R^7), C(S)N(R^7), (R^7)NC(O), (R^7)NC(S), S(O), S(O)₂, S(O)₂N(R^7),

$(R^7)NS(O)_2$, $C(NR^7)N(R^7)$, $(R^7)NC(NR^7)$, and $N(R^7)$ with the proviso that no more than one of the group consisting of rr and pa is 0 at the same time;

R^7 and R^8 are independently selected from the group consisting of hydrido, hydroxy, alkyl, and alkoxyalkyl;

5 R^{15} is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

Ψ is NH with the provisos that Ψ is selected from other than NH unless any two of X^0 , R^2 , R^1 , and J are other than hydrido or that Ψ is selected from other than NH unless A is selected from other than a single covalent bond when B is acyl, or that Ψ is selected from other than NH unless
10 A is selected from other than $S(O)$ or $S(O)_2$ when B is phenyl;

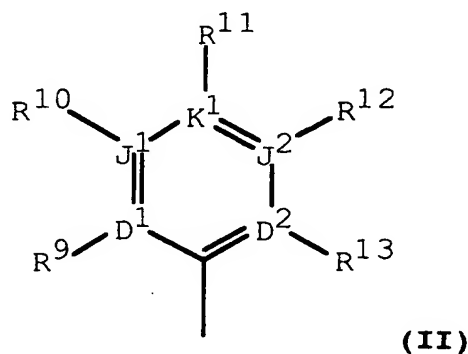
X^0 is hydrido;

R^1 is selected from the group consisting of hydrido, alkyl, alkoxy, alkylamino, alkylthio, haloalkylthio, haloalkyl, haloalkoxy, and halo;

15 R^2 is selected from the group consisting of Z^0 -Q, hydrido, alkyl, alkenyl, and halo;

Z^0 is a covalent single bond;

Q is formula (II):



(II)

20 wherein D^1 , D^2 , J^1 , J^2 and K^1 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is O,

no more than one of D^1, D^2, J^1, J^2 and K^1 is S, one of D^1, D^2, J^1, J^2 and K^1 must be a covalent bond when two of D^1, D^2, J^1, J^2 and K^1 are O and S, and no more than four of D^1, D^2, J^1, J^2 and K^1 are N, with the proviso that $R^9, R^{10}, R^{11}, R^{12}$, and R^{13} are each independently selected to maintain the

- 5 tetraivalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

K is $CR^{4a}R^{4b}$;

R^{4a} and R^{4b} are independently selected from the group consisting of halo, hydrido, hydroxy, alkyl, and haloalkyl;

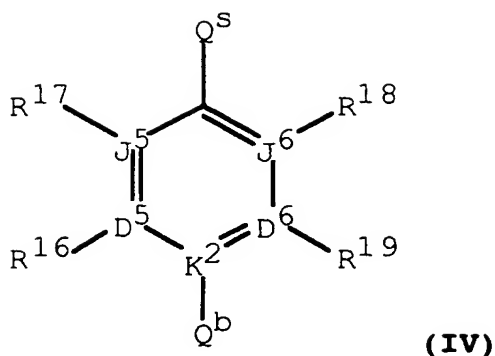
- 10 E^0 is E^1 , when K is $CR^{4a}R^{4b}$, wherein E^1 is selected from the group consisting of a covalent single bond, $C(O)N(H)$, $(H)NC(O)$, $C(S)N(H)$, $(H)NC(S)$, $S(O)_2N(H)$, $N(H)S(O)_2$, $S(O)_2N(H)C(O)$, and $C(O)N(H)S(O)_2$;

- K is $(CH(R^{14}))_j-T$ wherein j is selected from an integer from 0 through 1 and T is selected from the group consisting of single covalent bond and
15 $N(R^7)$ with the proviso that $(CH(R^{14}))_j$ is bonded to the phenyl ring;

E^0 is E^2 , when K is $(CH(R^{14}))_j-T$, wherein E^2 is selected from the group consisting of $C(O)N(H)$, $(H)NC(O)$, $C(S)N(H)$, $(H)NC(S)$, $S(O)_2N(H)$, $N(H)S(O)_2$, $S(O)_2N(H)C(O)$, and $C(O)N(H)S(O)_2$;

- R^{14} is selected from the group consisting of hydrido, halo, alkyl, and
20 haloalkyl;

Y^0 is formula (IV):



- wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is independently selected from the group consisting of C and N^+ , no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, no more than three of D^5 , D^6 , J^5 , and J^6 are N when K^2 is N^+ , and no more than four of D^5 , D^6 , J^5 , and J^6 are N when K^2 is carbon with the provisos that R^{16} , R^{17} , R^{18} , and R^{19} are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

- R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, dialkylsulfonium, carboxy, haloalkylthio, alkoxy, hydroxy, amino, thio, nitro, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, alkenoyl, haloalkanoyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyalkyl, aminoalkyl, haloalkoxyalkyl, carboalkoxy, carboalkoxyalkyl, and cyano;

- Q^b is selected from the group consisting of $NR^{20}R^{21}$, $+NR^{20}R^{21}R^{22}$, oxy, alkyl, alkylaminoalkyl, aminoalkyl, dialkylsulfoniumalkyl, and acylamino wherein R^{20} , R^{21} , and R^{22} are independently selected from the group

- consisting of hydrido, alkyl, hydroxy, alkoxy, alkylamino, dialkylamino, aminoalkyl, and hydroxyalkyl with the provisos that no more than one of R^{20} , R^{21} , and R^{22} is hydroxy, alkoxy, alkylamino, amino, or dialkylamino and that R^{20} , R^{21} , and R^{22} must be other than be hydroxy, alkoxy, alkylamino, amino, or dialkylamino when K^2 is N^+ ;

Q^b is $N(R^{26})SO_2N(R^{23})(R^{24})$ with the proviso that no more than one of R^{23} , R^{24} , and R^{26} is hydroxy, alkoxy, alkylamino, amino, or dialkylamino when two of the group consisting of R^{23} , R^{24} , and R^{26} are bonded to the same atom;

- Q^b is selected from the group consisting of dialkylsulfonium, trialkylphosphonium, $C(NR^{25})NR^{23}R^{24}$, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $N(R^{26})C(O)N(R^{23})(R^{24})$, $N(R^{26})C(S)N(R^{23})(R^{24})$, $C(O)N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $C(S)N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $N(R^{26})N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $N(R^{26})N(R^{26})SO_2N(R^{23})(R^{24})$, $C(O)NR^{23}R^{24}$, and $C(O)NR^{23}R^{24}$ with the provisos that no more than one of R^{23} , R^{24} , and R^{26} is hydroxy, alkoxy, alkylamino, amino, or dialkylamino when two of the group consisting of R^{23} , R^{24} , and R^{26} are bonded to the same atom and that said Q^b group is bonded directly to a carbon atom;

- R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, alkyl, hydroxy, alkoxy, alkylamino, dialkylamino, aminoalkyl, and hydroxyalkyl;

Q^s is selected from the group consisting of a single covalent bond and $(CR^{37}R^{38})_b(W^0)_{az}$ wherein az is an integer selected from 0 through 1, b is an

integer selected from 1 through 2, and W^0 is selected from the group consisting of O, S, C(O), S(O)₂, N(R¹⁴), and ON(R¹⁴) with the proviso that R¹⁴ is selected from other than halo when directly bonded to N and that (CR³⁷R³⁸)_b is bonded to E⁰;

- 5 R³⁷ and R³⁸ are independently selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

Y^0 is Q^b-Q^{ssss} wherein Q^{ssss} is (CH(R³⁸))_r-W⁵, r is an integer

- selected from 1 through 2, and W⁵ is selected from the group consisting of 1,4-indenyl, 1,5-indenyl, 1,6-indenyl, 1,7-indenyl, 2,7-indenyl, 2,6-indenyl, 2,5-indenyl, 2,4-indenyl, 3,4-indenyl, 3,5-indenyl, 3,6-indenyl, 3,7-indenyl, 2,4-benzofuranyl, 2,5-benzofuranyl, 2,6-benzofuranyl, 2,7-benzofuranyl, 3,4-benzofuranyl, 3,5-benzofuranyl, 3,6-benzofuranyl, 3,7-benzofuranyl, 2,4-benzothiophenyl, 2,5-benzothiophenyl, 2,6-benzothiophenyl, 2,7-benzothiophenyl, 3,4-benzothiophenyl, 3,5-benzothiophenyl, 3,6-benzothiophenyl, 3,7-benzothiophenyl, 2,4-indolyl, 2,5-indolyl, 2,6-indolyl, 2,7-indolyl, 3,4-indolyl, 3,5-indolyl, 3,6-indolyl, 3,7-indolyl, 1,4-isoindolyl, 1,5-isoindolyl, 1,6-isoindolyl, 2,4-isoindolyl, 2,5-isoindolyl, 2,6-isoindolyl, 2,7-isoindolyl, 1,3-isoindolyl, 3,4-indazolyl, 3,5-indazolyl, 3,6-indazolyl, 3,7-indazolyl, 2,4-benzoxazolyl, 2,5-benzoxazolyl, 2,6-benzoxazolyl, 2,7-benzoxazolyl, 3,4-benzisoxazolyl, 3,5-benzisoxazolyl, 3,6-benzisoxazolyl, 3,7-benzisoxazolyl, 1,4-naphthyl, 1,5-naphthyl, 1,6-naphthyl, 1,7-naphthyl, 1,8-naphthyl, 2,4-naphthyl, 2,5-naphthyl, 2,6-naphthyl, 2,7-naphthyl, 2,8-naphthyl, 2,4-quinolinyl, 2,5-quinolinyl, 2,6-quinolinyl, 2,7-quinolinyl, 2,8-quinolinyl, 3,4-quinolinyl, 3,5-quinolinyl, 3,6-quinolinyl, 3,7-quinolinyl, 3,8-quinolinyl, 4,5-quinolinyl, 4,6-quinolinyl, 4,7-quinolinyl, 4,8-quinolinyl, 1,4-isoquinolinyl, 1,5-isoquinolinyl, 1,6-isoquinolinyl, 1,7-isoquinolinyl, 1,8-isoquinolinyl, 3,4-isoquinolinyl, 3,5-isoquinolinyl, 3,6-isoquinolinyl, 3,7-isoquinolinyl, 3,8-isoquinolinyl, 4,5-isoquinolinyl, 4,6-isoquinolinyl, 4,7-isoquinolinyl, 4,8-isoquinolinyl, 3,4-cinnolinyl, 3,5-cinnolinyl, 3,6-cinnolinyl, 3,7-cinnolinyl, 3,8-cinnolinyl, 4,5-cinnolinyl, 4,6-cinnolinyl, 4,7-cinnolinyl, and 4,8-cinnolinyl with

the proviso that Q^b is bonded to lowest number substituent position of each W^5 and that $(CH(R^{38}))_r$ is bonded to E^0 ;

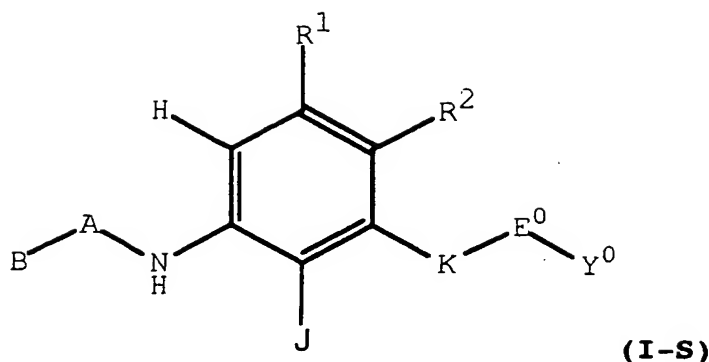
Y^0 is Q^b-Q^{sssr} wherein Q^{sssr} is $(CH(R^{38}))_r-W^6$, r is an integer

selected from 1 through 2, and W^6 is selected from the group consisting of 1,4-

- 5 indenyl, 1,5-indenyl, 1,6-indenyl, 1,7-indenyl, 2,7-indenyl, 2,6-indenyl, 2,5-indenyl, 2,4-indenyl, 3,4-indenyl, 3,5-indenyl, 3,6-indenyl, 3,7-indenyl, 2,4-benzofuranyl, 2,5-benzofuranyl, 2,6-benzofuranyl, 2,7-benzofuranyl, 3,4-benzofuranyl, 3,5-benzofuranyl, 3,6-benzofuranyl, 3,7-benzofuranyl, 2,4-benzothiophenyl, 2,5-benzothiophenyl, 2,6-benzothiophenyl, 2,7-
- 10 benzothiophenyl, 3,4-benzothiophenyl, 3,5-benzothiophenyl, 3,6-benzothiophenyl, 3,7-benzothiophenyl, 2,4-indolyl, 2,5-indolyl, 2,6-indolyl, 2,7-indolyl, 3,4-indolyl, 3,5-indolyl, 3,6-indolyl, 3,7-indolyl, 1,4-isoindolyl, 1,5-isoindolyl, 1,6-isoindolyl, 2,4-isoindolyl, 2,5-isoindolyl, 2,6-isoindolyl, 2,7-isoindolyl, 1,3-isoindolyl, 3,4-indazolyl, 3,5-indazolyl, 3,6-indazolyl, 3,7-
- 15 indazolyl, 2,4-benzoxazolyl, 2,5-benzoxazolyl, 2,6-benzoxazolyl, 2,7-benzoxazolyl, 3,4-benzisoxazolyl, 3,5-benzisoxazolyl, 3,6-benzisoxazolyl, 3,7-benzisoxazolyl, 1,4-naphthyl, 1,5-naphthyl, 1,6-naphthyl, 1,7-naphthyl, 1,8-naphthyl, 2,4-naphthyl, 2,5-naphthyl, 2,6-naphthyl, 2,7-naphthyl, 2,8-naphthyl, 2,4-quinolinyl, 2,5-quinolinyl, 2,6-quinolinyl, 2,7-quinolinyl, 2,8-quinolinyl, 3,4-
- 20 quinolinyl, 3,5-quinolinyl, 3,6-quinolinyl, 3,7-quinolinyl, 3,8-quinolinyl, 4,5-quinolinyl, 4,6-quinolinyl, 4,7-quinolinyl, 4,8-quinolinyl, 1,4-isoquinolinyl, 1,5-isoquinolinyl, 1,6-isoquinolinyl, 1,7-isoquinolinyl, 1,8-isoquinolinyl, 3,4-isoquinolinyl, 3,5-isoquinolinyl, 3,6-isoquinolinyl, 3,7-isoquinolinyl, 3,8-isoquinolinyl, 4,5-isoquinolinyl, 4,6-isoquinolinyl, 4,7-isoquinolinyl, 4,8-
- 25 isoquinolinyl, 3,4-cinnolinyl, 3,5-cinnolinyl, 3,6-cinnolinyl, 3,7-cinnolinyl, 3,8-cinnolinyl, 4,5-cinnolinyl, 4,6-cinnolinyl, 4,7-cinnolinyl, and 4,8-cinnolinyl with the proviso that Q^b is bonded to highest number substituent position of each W^6 and that $(CH(R^{38}))_r$ is bonded to E^0 .

30

5. The compound as recited in Claim 4 having the Formula I-S:



or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of fluoro, chloro, bromo, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, methoxy, ethoxy, trifluoromethoxy, N-methylamino, N-ethylamino, methylthio, ethylthio, and trifluoromethylthio;

B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 1,2,4-triazol-3-yl, 1,2,4-triazol-5-yl, 1,2,4-oxadiazol-3-yl, 1,2,4-oxadiazol-5-yl, 1,3,4-oxadiazol-3-yl, 1,3,4-oxadiazol-5-yl, 3-isothiazolyl, 5-isothiazolyl, 2-oxazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, 1,3,5-triazin-2-yl, 1,2,4-triazin-3-yl, 1,2,4-triazin-5-yl, 1,2,4-triazin-6-yl, and 1,2,3-triazin-4-yl, wherein a carbon adjacent to the carbon at the point of attachment may be substituted by R^{32} , the other carbon adjacent to the carbon at the point of attachment may be substituted by R^{36} , a carbon adjacent to R^{32} and two atoms from the carbon at the point of attachment may be substituted by R^{33} , a carbon adjacent to R^{36} and two atoms from the carbon at the point of attachment may be substituted by R^{35} , and any carbon adjacent to both R^{33} and R^{35} may be substituted by R^{34} ;

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, dimethylsulfonium, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, thio, nitro, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-N-

- methyldamino, dimethyldamino, N-ethyldamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, acetyl, propanoyl, trifluoroacetyl, pentafluoropropanoyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, 2,2,2-trifluoro-1-trifluoromethyl-1-hydroxyethyl, carboxymethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and Q^b;

- B is selected from the group consisting of 1-propenyl, propyl, isopropyl, butyl, 2-butenyl, 3-butenyl, 2-butylnyl, *sec*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 2-pentylnyl, 3-pentylnyl, 2-pentyl, 1-methyl-2-butenyl, 1-methyl-3-butenyl, 1-methyl-2-butylnyl, 3-pentyl, 1-ethyl-2-propenyl, 2-methylbutyl, 2-methyl-2-butenyl, 2-methyl-3-butenyl, 2-methyl-3-butylnyl, 3-methylbutyl, 3-methyl-2-butenyl, 3-methyl-3-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-4-pentenyl, 1-methyl-2-pentylnyl, 1-methyl-3-pentylnyl, 3-hexyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 1-propyl-2-propenyl, 1-ethyl-2-butylnyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 6-heptenyl, 2-heptylnyl, 3-heptylnyl, 4-heptylnyl, 5-heptylnyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-5-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-4-pentenyl, 1-butyl-2-propenyl, 1-ethyl-2-pentylnyl, 1-ethyl-3-pentylnyl, 1-octyl, 2-octenyl, 3-octenyl, 4-octenyl, 5-octenyl, 6-octenyl, 7-octenyl, 2-octynyl, 3-octynyl, 4-octynyl, 5-octynyl, 6-octynyl, 2-octyl, 1-methyl-2-heptenyl, 1-methyl-3-heptenyl, 1-methyl-4-heptenyl, 1-methyl-5-heptenyl, 1-methyl-6-heptenyl, 1-methyl-2-heptylnyl, 1-methyl-3-heptylnyl, 1-methyl-4-heptenyl, 1-methyl-5-heptenyl, 1-methyl-6-heptenyl, 1-methyl-2-heptylnyl, 1-methyl-3-heptylnyl, 3-octyl, 1-ethyl-2-hexenyl, 1-ethyl-3-hexenyl, 1-ethyl-4-hexenyl, 1-ethyl-2-hexynyl, 1-ethyl-3-hexynyl, 1-ethyl-4-hexynyl, 1-ethyl-5-hexenyl, 1-pentyl-2-propenyl, 4-octyl, 1-propyl-2-pentenyl, 1-propyl-3-pentenyl, 1-propyl-4-pentenyl, 1-butyl-2-butenyl, 1-propyl-2-pentylnyl, 1-propyl-3-pentylnyl, 1-butyl-

2-butynyl, 1-butyl-3-butenyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B may be optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to

- 5 A with one or more of the group consisting of R_{32} , R_{33} , R_{34} , R_{35} , and R_{36} ;

- B is selected from the group consisting of cyclopropyl, cyclobutyl, oxetan-2-yl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, thiaetan-2-yl, thiaetan-3-yl, cyclopentyl, cyclopent-2-enyl, cyclopent-3-enyl, cyclohexyl, 4-methylcyclohexyl, 4-chloro-3-ethylphenoxy-cyclohexyl, 3-trifluoromethoxyphenoxy-cyclohexyl, 3-trifluoromethylcyclohexyl, 4-trifluoromethylcyclohexyl, 3,5-bis-trifluoromethylcyclohexyl, adamantyl, 3-trifluoromethyladamantyl, norbornyl, 3-trifluoromethylnorbornyl, norbornenyl, 7-oxabicyclo[2.2.1]heptan-2-yl, bicyclo[3.1.0]hexan-6-yl, cyclohex-2-enyl, cyclohex-3-enyl, cycloheptyl, cyclohept-2-enyl, cyclohept-3-enyl, cyclooctyl, cyclooct-2-enyl, cyclooct-3-enyl, cyclooct-4-enyl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 2H-2-pyranyl, 2H-3-pyranyl, 2H-4-pyranyl, 4H-2-pyranyl, 4H-3-pyranyl, 4H-4-pyranyl, 2H-pyran-2-one-3-yl, 2H-pyran-2-one-4-yl, 2H-pyran-2-one-5-yl, 4H-pyran-4-one-2-yl, 4H-pyran-4-one-3-yl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon may be optionally substituted with R_{33} , a ring carbon and nitrogen atoms adjacent to the carbon atom at the point
- 25 of attachment may be optionally substituted with R_9 or R_{13} , a ring carbon or nitrogen atom adjacent to the R_9 position and two atoms from the point of attachment may be substituted with R_{10} , and a ring carbon or nitrogen atom adjacent to the R_{13} position and two atoms from the point of attachment may be substituted with R_{12} ;

R^9 , R^{10} , R^{11} , R^{12} , and R^{13} are independently selected from the group consisting of amidino, guanidino, dimethylsulfonium, methylethylsulfonium, carboxy, methoxy, ethoxy, isopropoxy, propoxy, butoxy, hydroxy, amino, methoxyamino, ethoxyamino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-N-
 5 dimethylamino, N-methylamino, N-ethylamino, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, acetyl, propanoyl, butanoyl, trifluoroacetyl, pentafluoropropanoyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, 2,2,2-trifluoro-1-trifluoromethyl-1-hydroxyethyl,
 10 carboxymethyl, 2-carboxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

A is selected from the group consisting of single covalent bond, O, C(O), CH₂, CH₃CH, CF₃CH, CH₃CC(O), CF₃CC(O), C(O)CCH₃,
 15 C(O)CCF₃, CH₂C(O), (O)CCH₂, CH₂CH₂, CH₂CH₂CH₂, CH₃CCH₂, CF₃CCH₂, CH₃CC(O)CH₂, CF₃CC(O)CH₂, CH₂C(O)CCH₃, CH₂C(O)CCF₃, CH₂CH₂C(O), and CH₂(O)CCH₂;

R^1 is selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, methoxy, ethoxy, propoxy, isopropoxy, butoxy, *sec*-butoxy, N-methylamino, N,N-dimethylamino, N-ethylamino, N,N-diethylamino,
 20 methylthio, ethylthio, isopropylthio, trifluoromethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

R^2 is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl,
 25 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 1,2,4-triazol-3-yl, 1,2,4-triazol-5-yl, 1,2,4-oxadiazol-3-yl, 1,2,4-oxadiazol-5-yl, 1,3,4-oxadiazol-3-yl, 1,3,4-oxadiazol-5-yl, 3-isothiazolyl, 5-isothiazolyl, 2-oxazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, 1,3,5-triazin-2-yl, 1,2,4-triazin-3-yl, 1,2,4-triazin-5-yl,
 30 1,2,4-triazin-6-yl, and 1,2,3-triazin-4-yl, wherein a carbon adjacent to the carbon

- at the point of attachment may be substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment may be substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment may be substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment may be substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} may be substituted by R^{11} ;

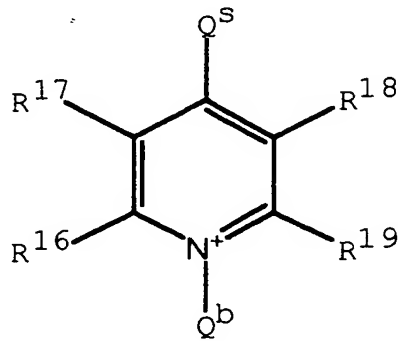
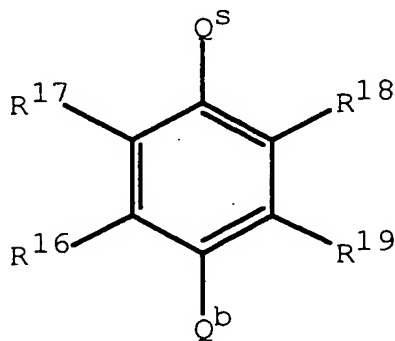
K is $CR^{4a}R^{4b}$ wherein R^{4a} and R^{4b} are independently selected from the group consisting of chloro, fluoro, and hydrido;

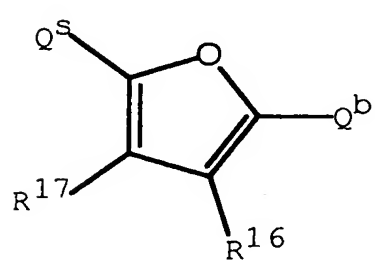
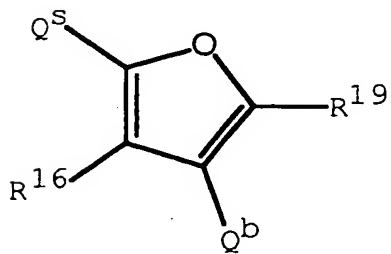
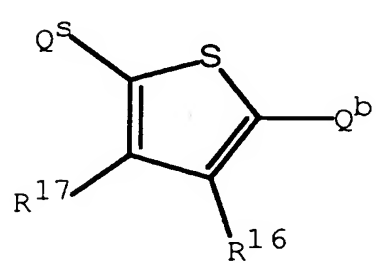
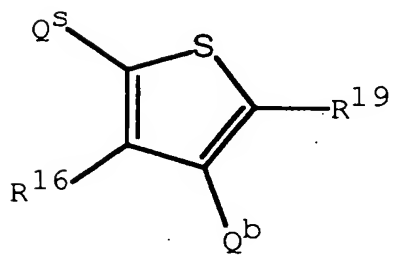
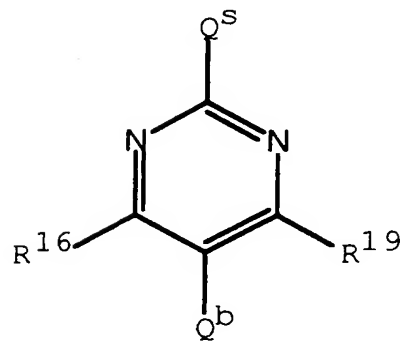
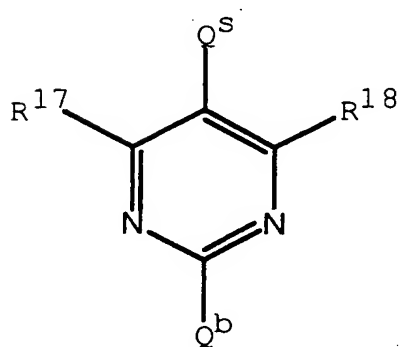
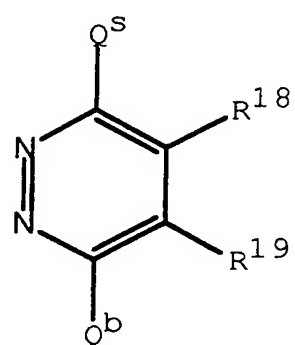
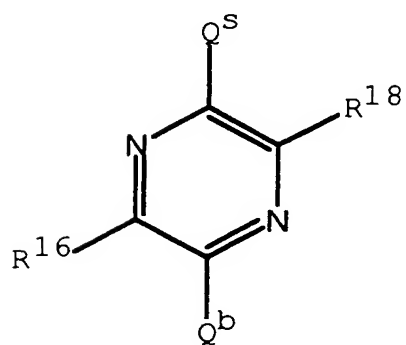
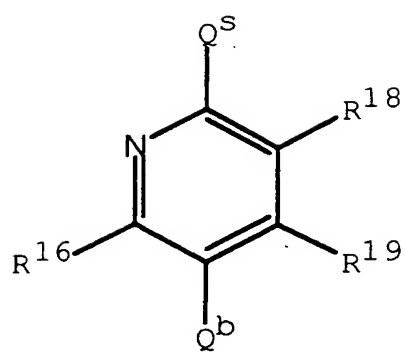
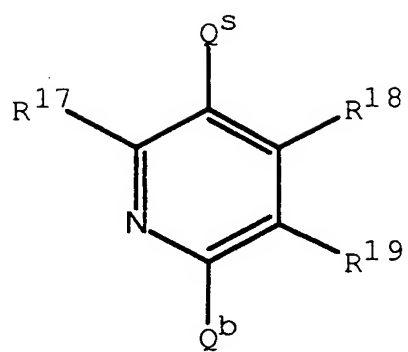
- E^0 is E^1 , when K is $CR^{4a}R^{4b}$, wherein E^1 is selected from the group consisting of a covalent single bond, $C(O)N(H)$, $(H)NC(O)$, $S(O)_2N(H)$, $N(H)S(O)_2$, $S(O)_2N(H)C(O)$, and $C(O)N(H)S(O)_2$;

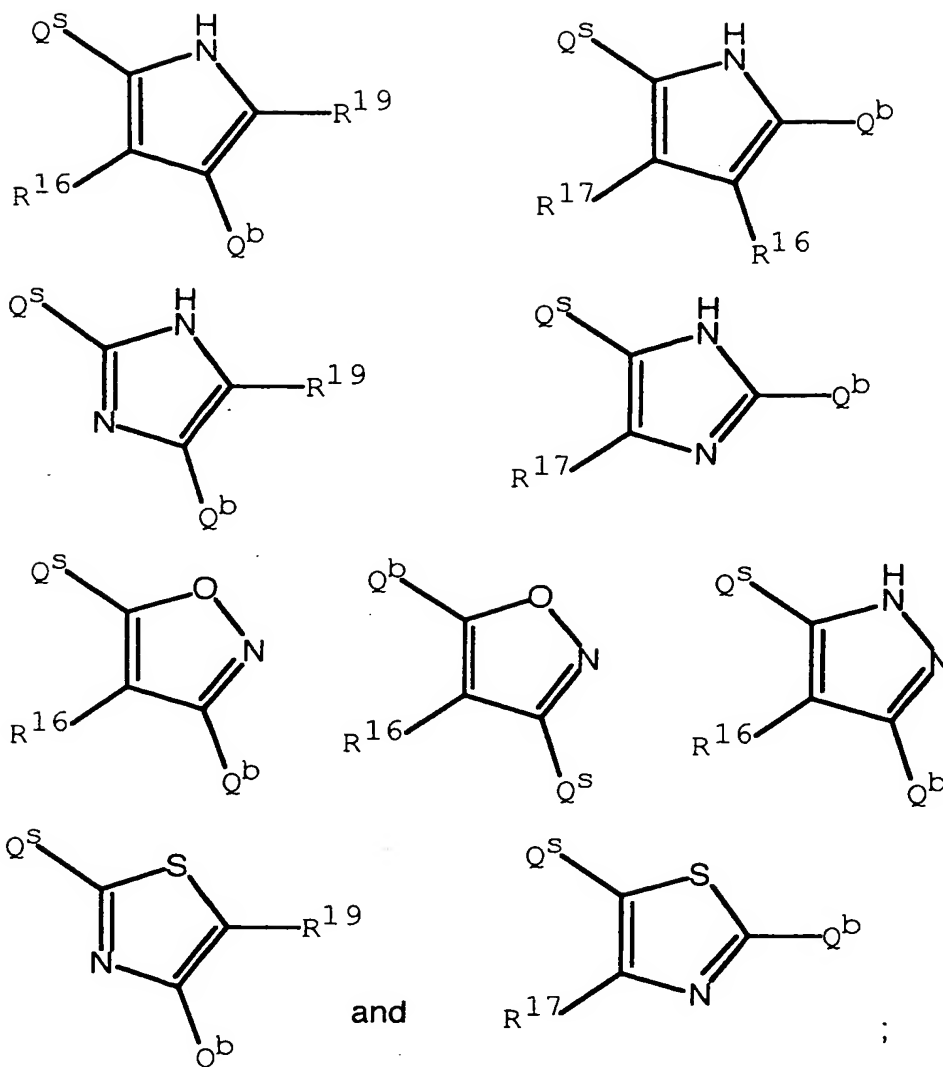
K is selected from the group consisting of $N(H)$ and $CH_2N(H)$;

- E^0 is E^2 , when K is $N(H)$ and $CH_2N(H)$, wherein E^2 is selected from the group consisting of $C(O)N(H)$, $(H)NC(O)$, $S(O)_2N(H)$, $N(H)S(O)_2$, $S(O)_2N(H)C(O)$, and $C(O)N(H)S(O)_2$;

Y^0 is selected from the group of formulas consisting of:







5

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group

- consisting of hydrido, amidino, guanidino, dimethylsulfonium, carboxy,
 methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino,
 ethoxyamino, thio, nitro, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-N-
 10 methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio,
 isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl,
 ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-
 pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro,
 bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl,
 15 acetyl, propanoyl, trifluoroacetyl, pentafluoropropanoyl, hydroxymethyl, 1-

hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, 2,2,2-trifluoro-1-trifluoromethyl-1-hydroxyethyl, carboxymethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

- 5 Q^b is selected, when bonded to a carbon, from the group consisting of $NR^{20}R^{21}$, $+NR^{20}R^{21}R^{22}$, dimethylsulfonium, methylethylsulfonium, diethylsulfonium, trimethylphosphonium, $C(NR^{25})NR^{23}R^{24}$, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $C(O)N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $N(R^{26})N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $N(R^{26})N(R^{26})SO_2N(R^{23})(R^{24})$, $C(O)NR^{23}R^{24}$, and $C(O)NR^{23}R^{24}$ with the provisos that no more than one of R^{20} , R^{21} , and R^{22} is hydroxy, methoxy, ethoxy, N-methylamino, N,N-dimethylamino, N,N,N-trimethylamino, or amino and that no more than one of R^{23} , R^{24} , and R^{26} is hydroxy, methoxy, ethoxy, N-methylamino, N,N-dimethylamino, N,N,N-trimethylamino, or amino when two of the group
- 10 consisting of R^{23} , R^{24} , and R^{26} are bonded to the same atom and that said Q^b group is bonded directly to a carbon atom;

- R^{20} , R^{21} , R^{22} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, hydroxy, methoxy, ethoxy, isopropoxy, propoxy, 2-aminoethyl, 2-(N-methylamino)ethyl, 2-(N,N-dimethylamino)ethyl, 2-(N,N,N-trimethylamino)ethyl, N-(2-hydroxyethyl)amino, N,N-bis-(2-hydroxyethyl)amino, N-(2-hydroxyethyl)-N-(2-aminoethyl)amino, N-methylamino, N-ethylamino, N,N-dimethylamino, N,N-diethylamino, and N,N,N-trimethylamino;
- 20

- Q^b is selected, when bonded to a nitrogen, from the group consisting of
- 25 oxy, methyl, ethyl, 2-aminoethyl, 2-(N-methylamino)ethyl, 2-(N,N-dimethylamino)ethyl, 2-(N,N,N-trimethylamino)ethyl, N-(2-hydroxyethyl)amino, N,N-bis-(2-hydroxyethyl)amino, amino, hydroxylamino, N-methoxyamino, N-methylamino, N,N-dimethylamino, and N,N,N-trimethylamino;

Q^s is selected from the group consisting of a single covalent bond, CH_2 , CH_3CH , CF_2 , CF_3CH , CH_2O , $CH_3C(H)O$, $CF_3C(H)O$, CH_2S , $CH_3C(H)S$, $CF_3C(H)S$, $CH_2C(O)$, $CH_3C(H)C(O)$, $CF_3C(H)C(O)$, and $CF_2C(O)$ with the proviso that Q^s is bonded to E^0 through a carbon atom.

5

6. The compound as recited in Claim 1 or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of halo, hydroxy, hydroxyalkyl, amino, aminoalkyl, $O-R^6$, $NH-R^6$, and $S-R^6$, wherein R^6 is selected from the

10

group consisting of alkyl and haloalkyl;

B is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment may be substituted by R^{32} , the other carbon adjacent to the carbon at the point of attachment may be

substituted by R^{36} , a carbon adjacent to R^{32} and two atoms from the carbon at

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the point of attachment may be substituted by R^{33} , a carbon adjacent to R^{36}

and two atoms from the carbon at the point of attachment may be substituted by R^{35} , and any carbon adjacent to both R^{33} and R^{35} may be substituted by R^{34} ;

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the

20

group consisting of hydrido, amidino, guanidino, dialkylsulfonium, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, thio, nitro, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyhaloalkyl, hydroxyalkyl, aminoalkyl, carboxyalkyl, carboalkoxy, carboxamido, cyano, and Q^b ;

25

B is selected from the group consisting of C3-C8 alkyl, C3-C8 alkenyl, C3-C8 haloalkyl, and C3-C8 haloalkenyl wherein each member of group B may be optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R_{32} , R_{33} , R_{34} , R_{35} , and R_{36} ;

B is selected from the group consisting of C3-C10 cycloalkyl, C5-C10 cycloalkenyl, C4-C9 saturated heterocyclyl, and C4-C9 partially saturated heterocyclyl, wherein each ring carbon may be optionally substituted with R₃₃, a ring carbon other than the ring carbon at the point of attachment of B to A

5 may be optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbon and nitrogen atoms adjacent to the carbon atom at the point of attachment may be optionally substituted with R₉ or R₁₃, a ring carbon or nitrogen atom adjacent to the R₉ position and two atoms from the point of attachment may be substituted with

10 R₁₀, a ring carbon or nitrogen atom adjacent to the R₁₃ position and two atoms from the point of attachment may be substituted with R₁₂, a ring carbon or nitrogen atom three atoms from the point of attachment and adjacent to the R₁₀ position may be substituted with R₁₁, a ring carbon or nitrogen atom three atoms from the point of attachment and adjacent to the R₁₂ position may be

15 substituted with R₃₃, and a ring carbon or nitrogen atom four atoms from the point of attachment and adjacent to the R₁₁ and R₃₃ positions may be substituted with R₃₄;

R⁹, R¹⁰, R¹¹, R¹², and R¹³ are independently selected from the group consisting of hydrido, amidino, guanidino, dialkylsulfonium, carboxy,

20 haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, thio, nitro, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyhaloalkyl, hydroxyalkyl, aminoalkyl, carboxyalkyl, carboalkoxy, carboxamido, and cyano;

A is selected from the group consisting of single covalent bond,

25 (W⁷)_{rr}-(CH(R¹⁵))_{pa} and (CH(R¹⁵))_{pa}-(W⁷)_{rr} wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 6, and W⁷ is selected from the group consisting of O, S, and C(O) with the proviso that no

more than one of the group consisting of rr and pa is the integer 0 at the same time;

R^{15} is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

5 Ψ is NH;

X^0 is hydrido;

R^1 is selected from the group consisting of hydrido, alkyl, alkoxy, alkylamino, alkylthio, haloalkylthio, haloalkyl, haloalkoxy, and halo;

10 R^2 is Q, wherein Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment may be substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment may be substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment may be substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment may be substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} may be substituted by R^{11} ;

K is $CR^{4a}R^{4b}$ wherein R^{4a} and R^{4b} are independently selected from the group consisting of halo and hydrido;

20 E^0 is E^1 , when K is $CR^{4a}R^{4b}$, wherein E^1 is selected from the group consisting of a covalent single bond, C(O)N(H), (H)NC(O), S(O)₂N(H), N(H)S(O)₂, S(O)₂N(H)C(O), and C(O)N(H)S(O)₂;

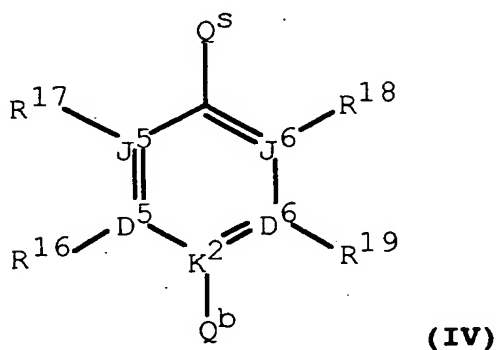
K is $(CH(R^{14}))_j-T$ wherein j is selected from an integer from 0 through 1 and T is selected from the group consisting of single covalent bond and $N(R^7)$ with the proviso that $(CH(R^{14}))_j$ is bonded to the phenyl ring;

R^7 is selected from the group consisting of hydrido, hydroxy, alkyl, and alkoxyalkyl;

R^{14} is selected from the group consisting of hydrido and halo;

E^0 is E^2 , when K is $(CH(R^{14}))_j-T$, wherein E^2 is selected from the group consisting of $C(O)N(H)$, $(H)NC(O)$, $S(O)_2N(H)$, $N(H)S(O)_2$, $S(O)_2N(H)C(O)$, and $C(O)N(H)S(O)_2$;

Y^0 is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is independently selected from the group consisting of C and N^+ , no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, no more than three of D^5 , D^6 , J^5 , and J^6 are N when K^2 is N^+ , and no more than four of D^5 , D^6 , J^5 , and J^6 are N when K^2 is carbon with the provisos that R^{16} , R^{17} , R^{18} , and R^{19} are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, dialkylsulfonium, carboxy, haloalkylthio, alkoxy, hydroxy, amino, thio, nitro, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, alkenoyl, haloalkanoyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyalkyl, aminoalkyl, haloalkoxyalkyl, carboalkoxy, carboalkoxyalkyl, and cyano;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, $+NR^{20}R^{21}R^{22}$, oxy, alkyl, alkylaminoalkyl, aminoalkyl, dialkylsulfoniumalkyl, and acylamino wherein R^{20} , R^{21} , and R^{22} are independently selected from the group consisting of hydrido, alkyl, hydroxy, alkoxy, alkylamino, dialkylamino, aminoalkyl, and hydroxyalkyl with the provisos that no more than one of R^{20} , R^{21} , and R^{22} is hydroxy, alkoxy, alkylamino, amino, or dialkylamino and that R^{20} , R^{21} , and R^{22} must be other than be hydroxy, alkoxy, alkylamino, amino, or dialkylamino when K^2 is N^+ ;

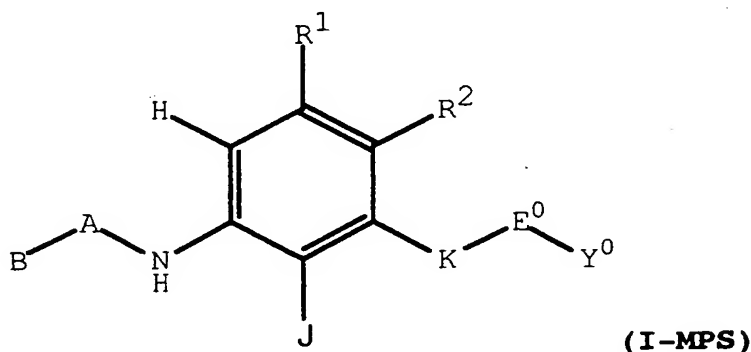
Q^b is selected from the group consisting of dialkylsulfonium, trialkylphosphonium, $C(NR^{25})NR^{23}R^{24}$, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $C(O)N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $N(R^{26})N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $N(R^{26})N(R^{26})SO_2N(R^{23})(R^{24})$, $C(O)NR^{23}R^{24}$, and $C(O)NR^{23}R^{24}$ with the provisos that no more than one of R^{23} , R^{24} , and R^{26} is hydroxy, alkoxy, alkylamino, amino, or dialkylamino when two of the group consisting of R^{23} , R^{24} , and R^{26} are bonded to the same atom and that said Q^b group is bonded directly to a carbon atom;

R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, alkyl, hydroxy, alkoxy, alkylamino, dialkylamino, aminoalkyl, and hydroxyalkyl;

Q^s is selected from the group consisting of a single covalent bond and $(CR^{37}R^{38})_b(W^0)_{az}$ wherein az is an integer selected from 0 through 1, b is the integer 1, and W^0 is selected from the group consisting of O, S, and C(O) with the proviso that $(CR^{37}R^{38})_b$ is bonded to E^0 ;

- 5 R^{37} and R^{38} are independently selected from the group consisting of hydrido, halo, alkyl, and haloalkyl.

7. The compound as recited in Claim 6 having the Formula I-MPS:



- 10 or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of fluoro, chloro, hydroxy, hydroxymethyl, amino, aminomethyl, methoxy, trifluoromethoxy, N-methylamino, methylthio, and trifluoromethylthio;

- 15 B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment may be substituted by R^{32} , the other carbon adjacent to
- 20 the carbon at the point of attachment may be substituted by R^{36} , a carbon adjacent to R^{32} and two atoms from the carbon at the point of attachment may be substituted by R^{33} , a carbon adjacent to R^{36} and two atoms from the carbon

at the point of attachment may be substituted by R^{35} , and any carbon adjacent to both R^{33} and R^{35} may be substituted by R^{34} ;

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, dimethylsulfonium, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, thio, nitro, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, acetyl, propanoyl, trifluoroacetyl, pentafluoropropanoyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, 2,2,2-trifluoro-1-trifluoromethyl-1-hydroxyethyl, carboxymethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and Q^b ;

B is selected from the group consisting of 1-propenyl, propyl, isopropyl, butyl, 2-butenyl, 3-butenyl, *sec*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 2-pentyl, 1-methyl-2-butenyl, 1-methyl-3-butenyl, 3-pentyl, 1-ethyl-2-propenyl, 2-methylbutyl, 2-methyl-2-butenyl, 2-methyl-3-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 3-methyl-3-butenyl, 2,2-difluoropropyl, 2-trifluoromethyl-3,3,3-trifluoropropyl, 1,1,1,2,2,2-hexafluoropropyl, 3,3,3-trifluoroprop-1-yl, and 3,3,3-trifluoroprop-2-yl, wherein each member of group B may be optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of R_{32} , R_{33} , R_{34} , R_{35} , and R_{36} ;

B is selected from the group consisting of cyclopropyl, cyclobutyl, oxetan-2-yl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, thiaetan-2-yl, thiaetan-3-yl, wherein each ring carbon may be optionally substituted with R_{33} , a ring carbon and nitrogen atoms adjacent to the carbon atom at the point of attachment may be optionally substituted with R_9 or R_{13} , a ring carbon or

nitrogen atom adjacent to the R_9 position and two atoms from the point of attachment may be substituted with R_{10} , and a ring carbon or nitrogen atom adjacent to the R_{13} position and two atoms from the point of attachment may be substituted with R_{12} ;

- 5 R^9 , R^{10} , R^{11} , R^{12} , and R^{13} are independently selected from the group consisting of amidino, guanidino, dimethylsulfonium, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-N-methylamino, dimethylamino, N-ethylamino, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, 10 amidosulfonyl, N-methylamid sulfonyl, N,N-dimethylamid sulfonyl, acetyl, propanoyl, trifluoroacetyl, pentafluoropropanoyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, 2,2,2-trifluoro-1-trifluoromethyl-1-hydroxyethyl, carboxymethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N- 15 dimethylamidocarbonyl, and cyano;

A is selected from the group consisting of single covalent bond, O, C(O), CH₂, CH₃CH, CF₃CH, CH₃CC(O), CF₃CC(O), CC(O)CCH₃, C(O)CCF₃, CH₂C(O), and (O)CCH₂;

- 20 R^1 is selected from the group consisting of hydrido, methyl, ethyl, propyl, methoxy, ethoxy, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, trifluoromethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

- 25 R^2 is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment may be substituted by R^9 , the other carbon adjacent to

- the carbon at the point of attachment may be substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment may be substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment may be substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} may be substituted by R^{11} ;

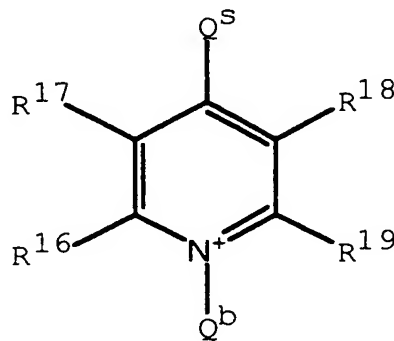
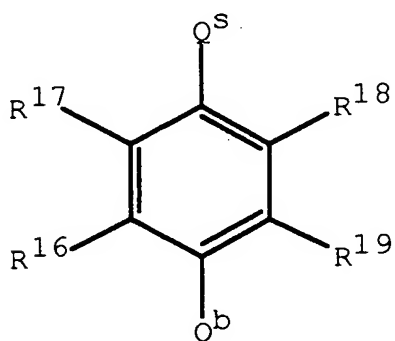
K is $CR^{4a}R^{4b}$ wherein R^{4a} and R^{4b} are independently selected from the group consisting of chloro, fluoro, and hydrido;

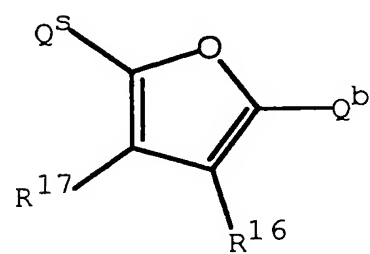
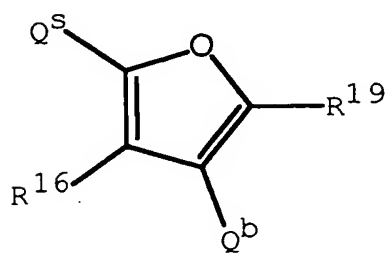
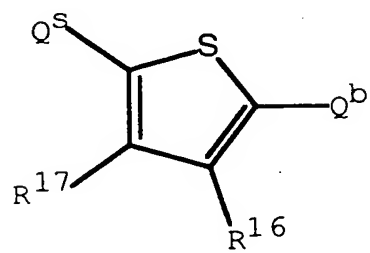
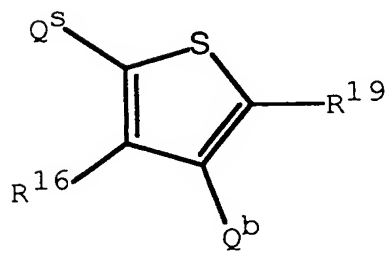
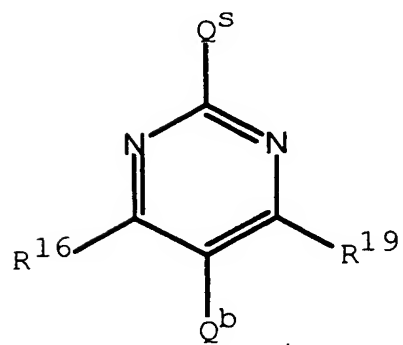
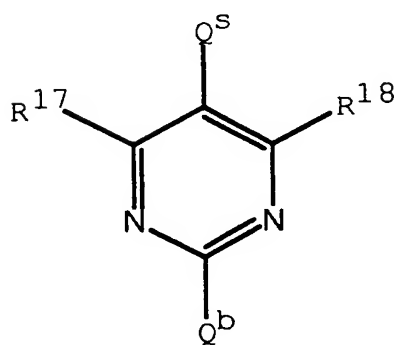
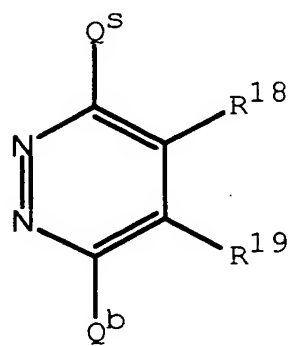
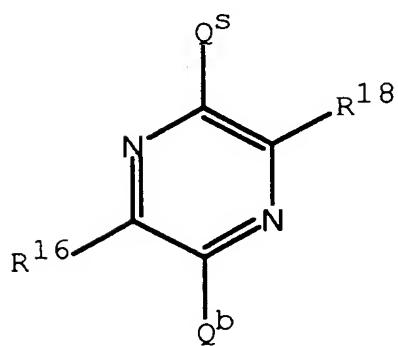
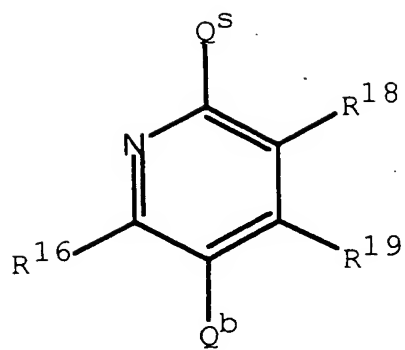
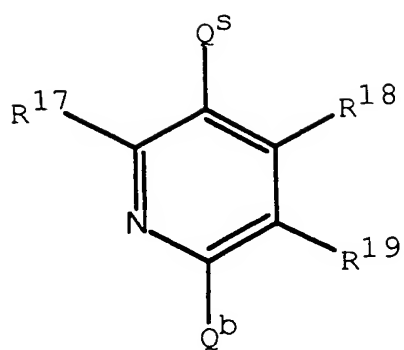
- E^0 is E^1 , when K is $CR^{4a}R^{4b}$, wherein E^1 is selected from the group consisting of a covalent single bond, $C(O)N(H)$, $(H)NC(O)$, $S(O)_2N(H)$, $N(H)S(O)_2$, $S(O)_2N(H)C(O)$, and $C(O)N(H)S(O)_2$;

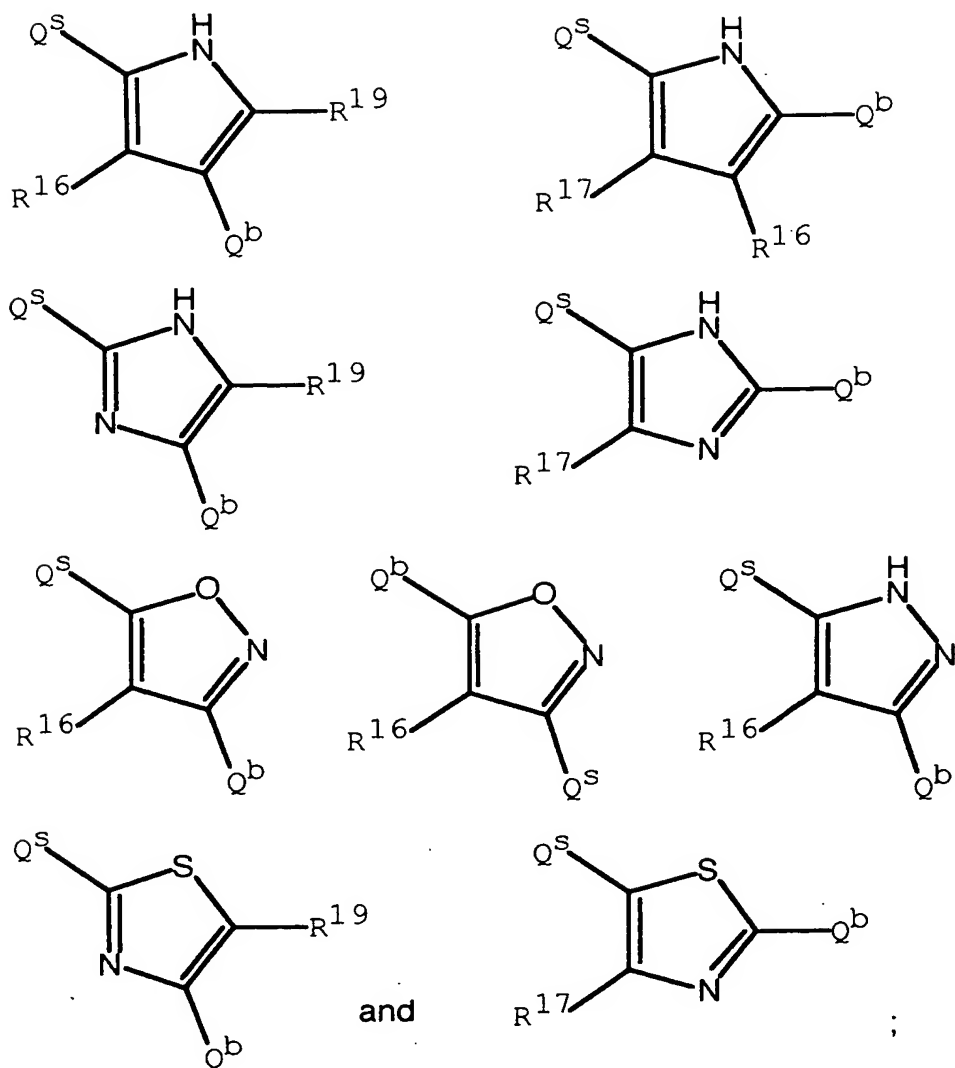
K is selected from the group consisting of $N(H)$ and $CH_2N(H)$;

E^0 is E^2 , when K is selected from the group consisting of $N(H)$ and $CH_2N(H)$, wherein E^2 is selected from the group consisting of $C(O)N(H)$, $(H)NC(O)$, $S(O)_2N(H)$, $N(H)S(O)_2$, $S(O)_2N(H)C(O)$, and $C(O)N(H)S(O)_2$;

Y^0 is selected from the group of formulas consisting of:







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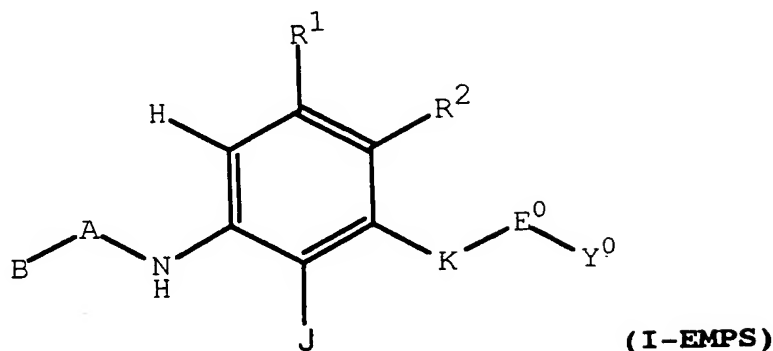
R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, methoxy, ethoxy, isopropoxy, methylthio, ethylthio, trifluoromethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, acetyl, propanoyl, trifluoroacetyl, pentafluoropropanoyl, methoxycarbonyl, ethoxycarbonyl, and cyano;

10

Q^b is selected, when bonded to a carbon, from the group consisting of $NR^{20}R^{21}$, $+NR^{20}R^{21}R^{22}$, dimethylsulfonium, methylethylsulfonium,

- diethylsulfonium, trimethylphosphonium, $C(NR^{25})NR^{23}R^{24}$,
 $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $C(O)N(R^{26})C(NR^{25})N(R^{23})(R^{24})$,
 $N(R^{26})N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $N(R^{26})N(R^{26})SO_2N(R^{23})(R^{24})$,
 $C(O)NR^{23}R^{24}$, and $C(O)NR^{23}R^{24}$ with the provisos that no more than one of
5 R^{20} , R^{21} , and R^{22} is hydroxy, methoxy, ethoxy, N-methylamino, N,N-
dimethylamino, N,N,N-trimethylamino, or amino and that no more than one of
 R^{23} , R^{24} , and R^{26} is hydroxy, methoxy, ethoxy, N-methylamino, N,N-
dimethylamino, N,N,N-trimethylamino, or amino when two of the group
consisting of R^{23} , R^{24} , and R^{26} are bonded to the same atom and that said Q^b
10 group is bonded directly to a carbon atom;
 R^{20} , R^{21} , R^{22} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from
the group consisting of hydrido, methyl, ethyl, hydroxy, methoxy, ethoxy, 2-
aminoethyl, 2-(N-methylamino)ethyl, 2-(N,N-dimethylamino)ethyl, 2-(N,N,N-
trimethylamino)ethyl, N-(2-hydroxyethyl)amino, N,N-bis-(2-hydroxyethyl)amino,
15 N-(2-hydroxyethyl)-N-(2-aminoethyl)amino, N-methylamino, N,N-dimethylamino,
and N,N,N-trimethylamino;
 Q^b is selected, when bonded to a nitrogen, from the group consisting of
oxy, methyl, ethyl, 2-aminoethyl, 2-(N-methylamino)ethyl, 2-(N,N-
dimethylamino)ethyl, 2-(N,N,N-trimethylamino)ethyl, N-(2-hydroxyethyl)amino,
20 N,N-bis-(2-hydroxyethyl)amino, amino, hydroxylamino, N-methoxyamino, N-
methylamino, N,N-dimethylamino, and N,N,N-trimethylamino;
 Q^s is selected from the group consisting of a single covalent bond, CH_2 ,
 CH_3CH , CF_2 , CF_3CH , CH_2O , $CH_3C(H)O$, $CF_3C(H)O$, CH_2S , $CH_3C(H)S$,
 $CF_3C(H)S$, $CH_2C(O)$, $CH_3C(H)C(O)$, $CF_3C(H)C(O)$, and $CF_2C(O)$ with the
25 proviso that Q^s is bonded to E^0 through a carbon atom.

8. The compound as recited in Claim 7 having the Formula I-EMPS:



or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of fluoro, chloro, hydroxy, hydroxymethyl, amino, aminomethyl, methoxy, trifluoromethoxy, and N-methylamino;

B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, and 4-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment may be substituted by R^{32} , the other carbon adjacent to the carbon at the point of attachment may be substituted by R^{36} , a carbon adjacent to R^{32} and two atoms from the carbon at the point of attachment may be substituted by R^{33} , a carbon adjacent to R^{36} and two atoms from the carbon at the point of attachment may be substituted by R^{35} , and any carbon adjacent to both R^{33} and R^{35} may be substituted by R^{34} ;

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, methoxy, ethoxy, hydroxy, amino, methoxyamino, ethoxyamino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-N-methylamino, dimethylamino, N-ethylamino, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, fluoro, chloro, bromo, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, 2,2,2-trifluoro-1-trifluoromethyl-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, cyano, and Q^b ;

B is selected from the group consisting of propyl, isopropyl, butyl, *sec*-butyl, isobutyl, 1-pentyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 3-methylbutyl, 2,2-difluoropropyl, 2-trifluoromethyl-3,3,3-trifluoropropyl, 1,1,1,2,2,2-hexafluoropropyl, 3,3,3-trifluoroprop-1-yl, and 3,3,3-trifluoroprop-2-yl, wherein
 5 each member of group B may be optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of R₃₂, R₃₃, R₃₄, R₃₅, and R₃₆;

B is selected from the group consisting of cyclopropyl, cyclobutyl, oxetan-2-yl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, thiaetan-2-yl,
 10 thiaetan-3-yl, wherein each ring carbon may be optionally substituted with R₃₃, a ring carbon and nitrogen atoms adjacent to the carbon atom at the point of attachment may be optionally substituted with R₉ or R₁₃, a ring carbon or nitrogen atom adjacent to the R₉ position and two atoms from the point of attachment may be substituted with R₁₀, and a ring carbon or nitrogen atom
 15 adjacent to the R₁₃ position and two atoms from the point of attachment may be substituted with R₁₂;

R⁹, R¹⁰, R¹¹, R¹², and R¹³ are independently selected from the group consisting of amidino, guanidino, carboxy, methoxy, ethoxy, hydroxy, amino, methoxyamino, ethoxyamino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-N-
 20 methylamino, dimethylamino, N-ethylamino, acetyl, propanoyl, trifluoroacetyl, pentafluoropropanoyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxymethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

A is selected from the group consisting of single covalent bond, O,
 25 C(O), CH₂, CH₂C(O), and (O)CCH₂;

R¹ is selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, N-methylamino, dimethylamino, N-ethylamino, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, and bromo;

R^2 is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment may be substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment may be substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment may be substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment may be substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} may be substituted by R^{11} ;

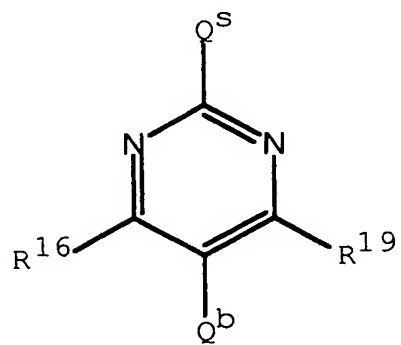
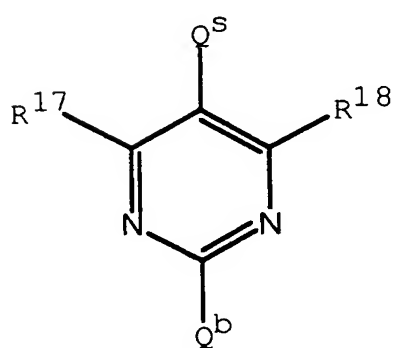
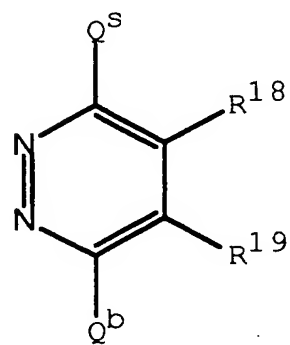
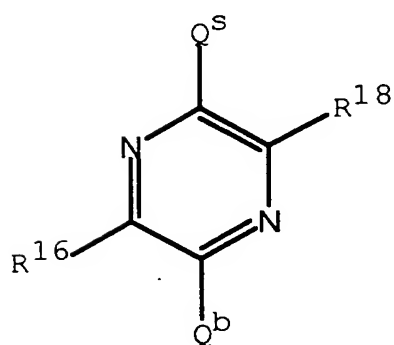
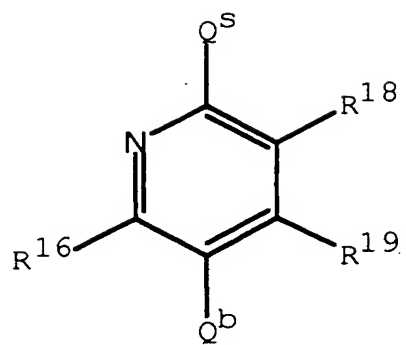
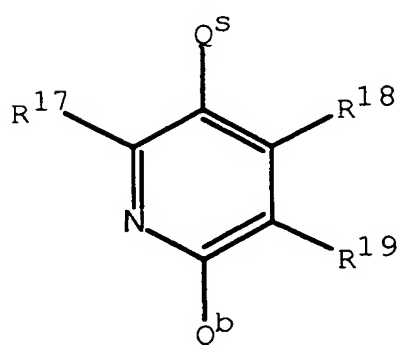
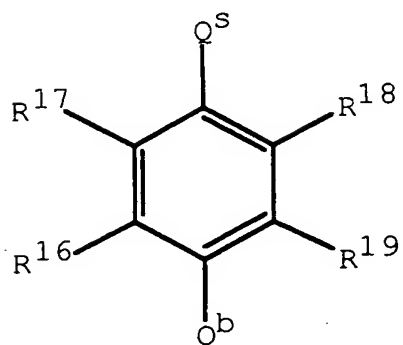
K is $CR^{4a}R^{4b}$ wherein R^{4a} and R^{4b} are independently selected from the group consisting of chloro, fluoro, and hydrido;

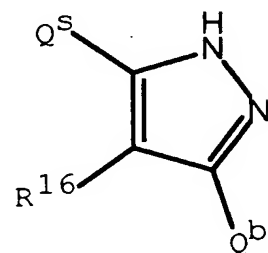
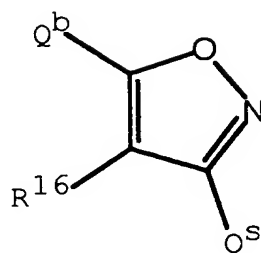
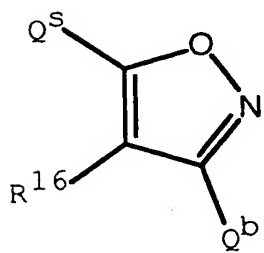
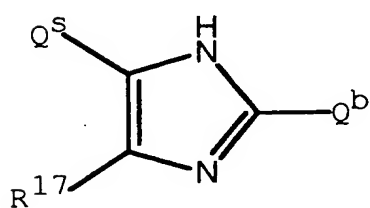
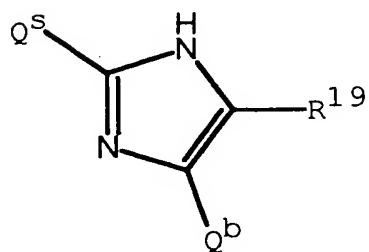
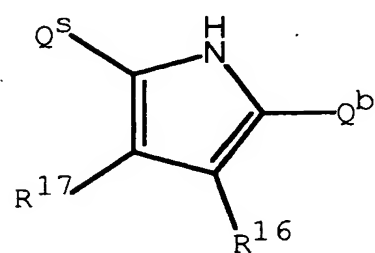
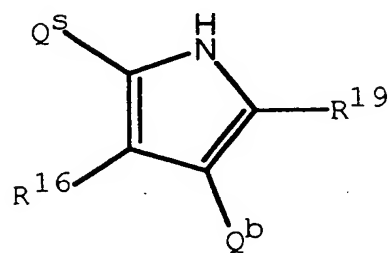
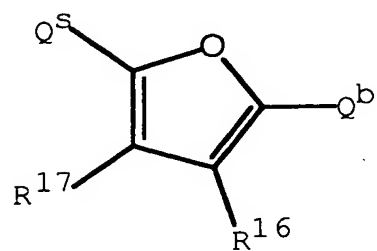
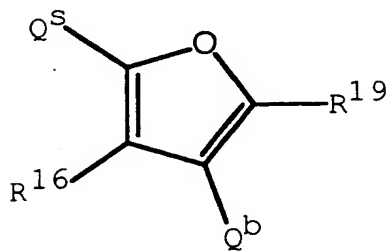
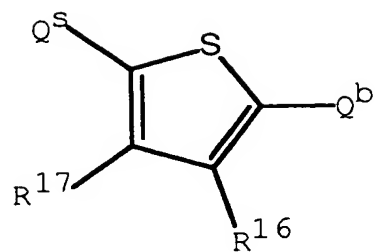
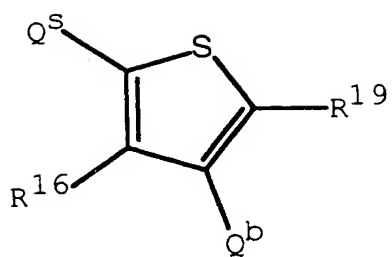
E^0 is E^1 , when K is $CR^{4a}R^{4b}$, wherein E^1 is selected from the group consisting of a covalent single bond, $C(O)N(H)$, $(H)NC(O)$, $S(O)_2N(H)$, $N(H)S(O)_2$, $S(O)_2N(H)C(O)$, and $C(O)N(H)S(O)_2$;

K is selected from the group consisting of $N(H)$ and $CH_2N(H)$;

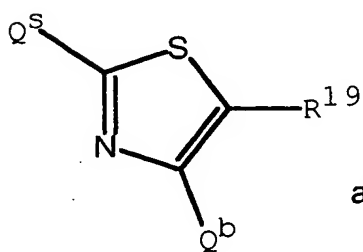
E^0 is E^2 , when K is selected from the group consisting of $N(H)$ and $CH_2N(H)$, wherein E^2 is selected from the group consisting of $C(O)N(H)$, $(H)NC(O)$, $S(O)_2N(H)$, $N(H)S(O)_2$, $S(O)_2N(H)C(O)$, and $C(O)N(H)S(O)_2$;

Y^0 is selected from the group of formulas consisting of:

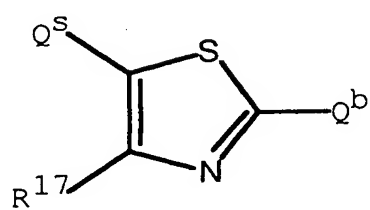




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and



;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, methoxy, ethoxy, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, bromo, acetyl, trifluoroacetyl, methoxycarbonyl, ethoxycarbonyl, and cyano;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, $+NR^{20}R^{21}R^{22}$, dimethylsulfonium, methylethylsulfonium, diethylsulfonium, trimethylphosphonium, $C(NR^{25})NR^{23}R^{24}$, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $C(O)N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $N(R^{26})N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, $N(R^{26})N(R^{26})SO_2N(R^{23})(R^{24})$, $C(O)NR^{23}R^{24}$, and $C(O)NR^{23}R^{24}$ with the provisos that no more than one of R^{20} , R^{21} , and R^{22} is hydroxy, methoxy, ethoxy, N-methylamino, N,N-dimethylamino, and N,N,N-trimethylamino, or amino and that no more than one of R^{23} , R^{24} , and R^{26} is hydroxy, methoxy, ethoxy, N-methylamino, N,N-dimethylamino, N,N,N-trimethylamino, or amino when two of the group consisting of R^{23} , R^{24} , and R^{26} are bonded to the same atom and that said Q^b group is bonded directly to a carbon atom;

R^{20} , R^{21} , R^{22} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, methyl, ethyl, hydroxy, methoxy, ethoxy, 2-aminoethyl, 2-(N-methylamino)ethyl, 2-(N,N-dimethylamino)ethyl, 2-(N,N,N-trimethylamino)ethyl, N-(2-hydroxyethyl)amino, N,N-bis-(2-hydroxyethyl)amino, N-(2-hydroxyethyl)-N-(2-aminoethyl)amino, N-methylamino, N,N-dimethylamino, and N,N,N-trimethylamino;

Q^s is selected from the group consisting of a single covalent bond, CH_2 , CH_3CH , CF_2 , CF_3CH , CH_2O , $CH_3C(H)O$, $CF_3C(H)O$, $CH_2C(O)$, $CH_3C(H)C(O)$, $CF_3C(H)C(O)$, and $CF_2C(O)$ with the proviso that Q^s is bonded to E^0 through a carbon atom.

9. A composition for inhibiting thrombotic conditions in blood comprising a compound of any one of Claims 1 through 8 and a pharmaceutically acceptable carrier.
- 5 10. A method for inhibiting thrombotic conditions in blood comprising adding to blood a therapeutically effective amount of a composition of Claim 9.
- 10 11. A method for inhibiting formation of blood platelet aggregates in blood comprising adding to blood a therapeutically effective amount of a composition of Claim 9.
12. A method for inhibiting thrombus formation in blood comprising adding to blood a therapeutically effective amount of a composition of Claim 9.
- 15 13. A method for treating or preventing venous thromboembolism and pulmonary embolism in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of Claim 9.
- 20 14. A method for treating or preventing deep vein thrombosis in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of Claim 9.
- 25 15. A method for treating or preventing cardiogenic thromboembolism in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of Claim 9.
- 30 16. A method for treating or preventing thromboembolic stroke in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of Claim 9.
17. A method for treating or preventing thrombosis associated with cancer and cancer chemotherapy in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of Claim 9.

18. A method for treating or preventing unstable angina in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of Claim 9.

5 19. A method for inhibiting thrombus formation in blood comprising adding to blood a therapeutically effective amount of a compound of any one of Claims 1 through 8 with a therapeutically effective amount of fibrinogen receptor antagonist.

10 20. The use of a compound of any one of Claims 1 through 8, or a pharmaceutically acceptable salt thereof, in the manufacture of medicament for inhibiting thrombus formation, treating thrombus formation, or preventing thrombus formation in a mammal.

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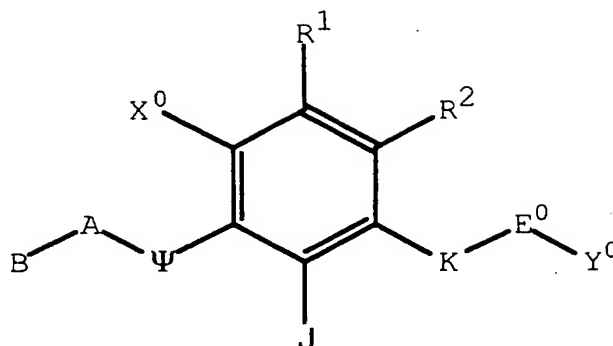
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21. A compound of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of halo, haloalkyl, hydroxy,
 5 hydroxyalkyl, amino, aminoalkyl, amidino, carboxy, carboxamido, alkylsulfinyl,
 acyl, cyano, O-R⁶, NH-R⁶, and S-R⁶, wherein R⁶ is alkyl or haloalkyl;

B is phenyl or a heteroaryl of 5 or 6 ring members, wherein a nitrogen
 with a removable hydrogen or a carbon adjacent to the carbon at the point of
 attachment of said phenyl or heteroaryl ring to A is optionally substituted by
 10 R³², a nitrogen with a removable hydrogen or a carbon at the other position
 adjacent to the point of attachment is optionally substituted by R³⁶, a nitrogen
 with a removable hydrogen or a carbon adjacent to R³² and two atoms from the
 point of attachment is optionally substituted by R³³, a nitrogen with a
 removable hydrogen or a carbon adjacent to R³⁶ and two atoms from the point
 15 of attachment is optionally substituted by R³⁵, and a nitrogen with a removable
 hydrogen or a carbon adjacent to both R³³ and R³⁵ is optionally substituted by
 R³⁴;

R⁹, R¹⁰, R¹¹, R¹², R¹³, R³², R³³, R³⁴, R³⁵, and R³⁶ are

independently selected from the group consisting of hydrido, acetamido,
 20 haloacetamido, amidino, guanidino, alkylenedioxy, haloalkylthio, alkanoyloxy,
 alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy,

heteroaralkoxy, heterocycloxy, heterocyclalkoxy, alkoxyalkyl, haloalkoxylalkyl, hydroxy, amino, alkoxyamino, nitro, alkylamino, N-alkyl-N-arylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclalkylamino, heterocyclalkylamino, alkylthio, alkylthioalkyl, alkylsulfinyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, alkylsulfonylalkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocycl, alkylsulfonamido, amidosulfonyl, alkanoyl, haloalkanoyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyhaloalkyl, hydroxyalkyl, aminoalkyl, haloalkoxyalkyl, carboxyalkyl, carboalkoxy, carboxy, carboxamido, carboxamidoalkyl, and cyano;

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently optionally Q^b ;

B is optionally selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

B is optionally a C3-C12 cycloalkyl or C4-C9 saturated heterocycl, wherein each ring carbon is optionally substituted with R^{33} , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen atom adjacent to the R^9 position and two atoms from the point of attachment is optionally substituted with R^{10} , a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R^{10} position is optionally substituted

with R^{11} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R^{12} position is optionally substituted with R^{33} , and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the R^{11} and R^{33} positions is optionally substituted with R^{34} ;

- 5 A is selected from the group consisting of a bond, $(W^7)_{rr}-(CH(R^{15}))_{pa}$, and $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is 0 or 1, pa is an integer selected from 0 through 6, and W^7 is selected from the group consisting of O, S, C(O), $(R^7)NC(O)$, $(R^7)NC(S)$, and $N(R^7)$ with the proviso that no more than one of the group consisting of rr and pa is 0 at the same time
- 10 and with the further proviso that W^7 is selected from other than C(O) when W^7 is bonded to Ψ ;

R^7 is selected from the group consisting of hydrido, hydroxy, and alkyl;

- R^{15} is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;
- 15 Ψ is NH or NOH;

- X^0 and R^1 are independently selected from the group consisting of hydrido, alkyl, alkenyl, cyano, halo, haloalkyl, haloalkoxy, haloalkylthio, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;
- 20 R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of a bond, $(CR^{41}R^{42})_q$ wherein q is an integer selected from 1 through 3, and $(CH(R^{41}))_g-W^0-(CH(R^{42}))_p$ wherein g and p are integers independently selected from 0

through 3 and W^0 is selected from the group consisting of O, S, C(O), S(O), $N(R^{41})$, and $ON(R^{41})$;

Z^0 is optionally $(CH(R^{41}))_e-W^{22}-(CH(R^{42}))_h$ wherein e and h are independently 0 or 1 and W^{22} is selected from the group consisting of

- 5 $CR^{41}=CR^{42}$, 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, wherein Z^0 is directly bonded to the benzene ring and W^{22} is optionally substituted with one or more substituents selected from the group consisting of R^9 , R^{10} , R^{11} , R^{12} , and R^{13} ;

- 15 R^{41} and R^{42} are independently selected from the group consisting of amidino, hydroxyamino, hydrido, hydroxy, amino, and alkyl;

Q is phenyl or a heteroaryl of 5 or 6 ring members, wherein a nitrogen with a removable hydrogen or a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to Z^0 is optionally substituted by

- 20 R^9 , a nitrogen with a removable hydrogen or a carbon at the other position adjacent to the point of attachment is optionally substituted by R^{13} , a nitrogen with a removable hydrogen or a carbon adjacent to R^9 and two atoms from the point of attachment is optionally substituted by R^{10} , a nitrogen with a removable hydrogen or a carbon adjacent to R^{13} and two atoms from the point of attachment is optionally substituted by R^{12} , and a nitrogen with a removable
- 25

hydrogen or a carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

Q is optionally hydrido with the proviso that Z^0 is selected from other than a bond;

5 K is $CR^{4a}R^{4b}$;

R^{4a} and R^{4b} are independently selected from the group consisting of halo, hydrido, hydroxy, alkyl, and haloalkyl;

E^0 , with the proviso that K is $CR^{4a}R^{4b}$, is E^1 wherein E^1 is selected from the group consisting of a covalent single bond, C(O)N(H), (H)NC(O),
 10 C(S)N(H), (H)NC(S), S(O)₂N(H), N(H)S(O)₂, S(O)₂N(H)C(O), and C(O)N(H)S(O)₂;

K is optionally $(CH(R^{14}))_j-T$ wherein j is 0 or 1 and T is a bond or $N(R^7)$ with the proviso that $(CH(R^{14}))_j$ is bonded to the phenyl ring;

R^{14} is selected from the group consisting of hydrido, halo, alkyl, and
 15 haloalkyl;

E^0 , with the proviso that K is $(CH(R^{14}))_j-T$, is E^2 wherein E^2 is selected from the group consisting of C(O)N(H), (H)NC(O), C(S)N(H), (H)NC(S), S(O)₂N(H), N(H)S(O)₂, S(O)₂N(H)C(O), and C(O)N(H)S(O)₂;

Y^0 is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon
 20 of said phenyl or said heteroaryl is substituted by Q^s , a carbon two or three contiguous atoms from the point of attachment of Q^s to said phenyl or said heteroaryl to said phenyl or said heteroaryl is substituted by Q^b , a carbon adjacent to the point of attachment of Q^s is optionally substituted by R^{17} ,

another carbon adjacent to the point of attachment of Q^s is optionally substituted by R^{18} , a carbon adjacent to Q^b is optionally substituted by R^{16} , and another carbon adjacent to Q^b is optionally substituted by R^{19} ;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group

- 5 consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, nitro, alkoxyamino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, alkenyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, haloalkoxyalkyl, carboalkoxy, and cyano;

R^{16} or R^{19} is optionally selected from the group consisting of

- 10 $NR^{20}R^{21}$, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the proviso that R^{16} , R^{19} , and Q^b are not simultaneously hydrido;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, aminoalkyl, hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the proviso that no more than one of R^{20} and R^{21} is selected from the group

- 15 consisting of hydroxy, amino, alkylamino, and dialkylamino at the same time, with the further proviso that no more than one of R^{23} and R^{24} is selected from the group consisting of hydroxy, amino, alkylamino, and dialkylamino at the same time;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the

- 20 group consisting of hydrido, alkyl, hydroxy, aminoalkyl, amino, dialkylamino, alkylamino, and hydroxyalkyl;

Q^s is selected from the group consisting of a bond, $(CR^{37}R^{38})_b$

wherein b is an integer selected from 1 through 4, and $(CH(R^{14}))_c-W^1-$

$(CH(R^{15}))_d$ wherein c and d are integers independently selected from 1

- 25 through 3 and W^1 is selected from the group consisting of $C(O)N(R^{14})$,

$(R^{14})NC(O), S(O), S(O)_2, S(O)_2N(R^{14}), N(R^{14})S(O)_2,$ and $N(R^{14})$, with the proviso that R^{14} is selected from other than halo when directly bonded to N, and with the additional proviso that $(CR^{37}R^{38})_b$ and $(CH(R^{14}))_c$ are bonded to E^0 ;

- 5 R^{37} and R^{38} are independently selected from the group consisting of hydrido, alkyl, and haloalkyl;

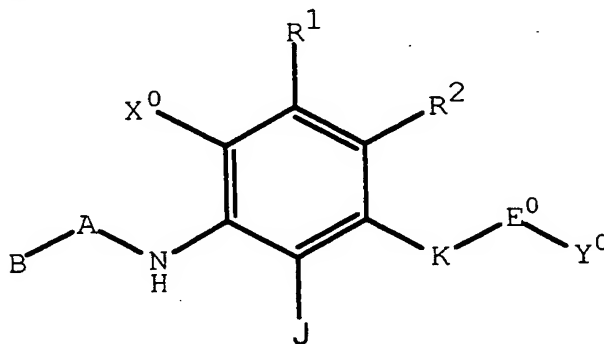
R^{38} is optionally aroyl or heteroaroyl, wherein R^{38} is optionally substituted with one or more substituents selected from the group consisting of R^{16}, R^{17}, R^{18} , and R^{19} ;

- 10 Y^0 is optionally Y^{AT} wherein Y^{AT} is Q^b-Q^s ;

Y^0 is optionally Q^b-Q^{ss} wherein Q^{ss} is $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$,

wherein e and h are independently 1 or 2 and W^2 is $CR^{4a}=CR^{4b}$, with the proviso that $(CH(R^{14}))_e$ is bonded to E^0 .

- 15 22. Compound of Claim 21 of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of halo, haloalkyl, hydroxy, hydroxyalkyl, amino, aminoalkyl, amidino, carboxy, carboxamido, alkylsulfinyl,

- 20 formyl, cyano, $O-R^6$, $NH-R^6$, and $S-R^6$, wherein R^6 is alkyl or haloalkyl;

B is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by R^{32} , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{36} , a carbon adjacent to R^{32} and two atoms from the carbon at the point of attachment is optionally substituted by R^{33} , a carbon adjacent to R^{36} and two atoms from the carbon at the point of attachment is optionally substituted by R^{35} , and any carbon adjacent to both R^{33} and R^{35} is optionally substituted by R^{34} ;

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkylenedioxy, haloalkylthio, alkanoyloxy, alkoxy, hydroxy, amino, alkoxyamino, haloalkanoyl, nitro, alkylamino, alkylthio, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkylsulfonamido, amidosulfonyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b ;

B is optionally selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

B is optionally a C3-C12 cycloalkyl or a C4-C9 saturated heterocyclyl, wherein each ring carbon is optionally substituted with R^{33} , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen atom adjacent to the R^9 position and two atoms from the

point of attachment is optionally substituted with R^{10} , a ring carbon or nitrogen atom adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} , a ring carbon or nitrogen atom three atoms from the point of attachment and adjacent to the R^{10} position is optionally substituted with R^{11} , a ring carbon or nitrogen atom three atoms from the point of attachment and adjacent to the R^{12} position is optionally substituted with R^{33} , and a ring carbon or nitrogen atom four atoms from the point of attachment and adjacent to the R^{11} and R^{33} positions is optionally substituted with R^{34} ;

$R^9, R^{10}, R^{11}, R^{12}$, and R^{13} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyloxy, heterocyclylalkoxy, hydroxy, amino, alkylamino, N-alkyl-N-arylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclylamino, heterocyclylalkylamino, alkylthio, alkylsulfinyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, alkylsulfamido, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, amidosulfonyl, alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, and cyano;

A is bond or $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is 0 or 1, pa is an integer selected from 0 through 3, and W^7 is selected from the group consisting of O, S, C(O), $(R^7)NC(O)$, $(R^7)NC(S)$, and $N(R^7)$, with the further proviso that W^7

is selected from other than C(O) when W^7 is bonded to the N(H) on the benzene ring;

R^7 is selected from the group consisting of hydrido, hydroxy and alkyl;

R^{15} is selected from the group consisting of hydrido, hydroxy, halo,

5 alkyl, and haloalkyl;

R^1 and X^0 are independently selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

10 R^2 is Z^0 -Q;

Z^0 is selected from the group consisting of a bond, $(CR^{41}R^{42})_q$ wherein q is 1 or 2, and $(CH(R^{41}))_g-W^0-(CH(R^{42}))_p$ wherein g and p are integers independently selected from 0 through 3 and W^0 is selected from the group consisting of O, S, C(O), S(O), $N(R^{41})$, and $ON(R^{41})$;

15 Z^0 is optionally $(CH(R^{41}))_e-W^{22}-(CH(R^{42}))_h$ wherein e and h are

independently 0 or 1 and W^{22} is selected from the group consisting of

$CR^{41}=CR^{42}$, 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl,

1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl,

2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl,

20 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl,

2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl,

1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl,

2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl,

2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, wherein Z^0 is directly bonded

25 to the benzene ring and W^{22} is optionally substituted with one or more

substituents selected from the group consisting of R^9 , R^{10} , R^{11} , R^{12} , and R^{13} ;

R^{41} and R^{42} are independently selected from the group consisting of hydrido, alkyl, hydroxy, and amino;

Q is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl
 5 ring to Z^0 is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any
 10 carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

Q is optionally hydrido with the proviso that Z^0 is other than a bond;

K is $CR^{4a}R^{4b}$;

R^{4a} and R^{4b} are independently selected from the group consisting of halo, hydrido, and hydroxy;
 15 E^0 , with the proviso that K is $CR^{4a}R^{4b}$, is E^1 wherein E^1 is selected from the group consisting of a covalent single bond, C(O)N(H), (H)NC(O), S(O)₂N(H), and N(H)S(O)₂;

K is optionally $(CH(R^{14}))_j-T$ wherein j is 0 or 1 and T is a bond or $N(R^7)$ with the proviso that $(CH(R^{14}))_j$ is bonded to the phenyl ring;

20 R^{14} is hydrido or halo;

E^0 , with the proviso that K is $(CH(R^{14}))_j-T$, is E^2 wherein E^2 is selected from the group consisting of C(O)N(H), (H)NC(O), C(S)N(H), (H)NC(S), S(O)₂N(H), N(H)S(O)₂, S(O)₂N(H)C(O), and C(O)N(H)S(O)₂;

Y^0 is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by Q^s , a carbon two or three atoms from the point of attachment of Q^s to said phenyl or said heteroaryl is substituted by Q^b , a carbon adjacent to the point of attachment of Q^s is

- 5 optionally substituted by R^{17} , another carbon adjacent to the point of attachment of Q^s is optionally substituted by R^{18} , a carbon adjacent to Q^b is optionally substituted by R^{16} , and another carbon adjacent to Q^b is optionally substituted by R^{19} ;

- R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group
 10 consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

- R^{16} or R^{19} is optionally selected from the group consisting of
 15 $NR^{20}R^{21}$, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the proviso that R^{16} , R^{19} , and Q^b are not simultaneously hydrido;

- Q^b is selected from the group consisting of $NR^{20}R^{21}$, hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the proviso that no more than one of R^{20} and R^{21} is selected from the group consisting of hydroxy, amino, alkylamino, and dialkylamino at the same time, with the further proviso that
 20 no more than one of R^{23} and R^{24} is selected from the group consisting of hydroxy, amino, alkylamino, and dialkylamino at the same time;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino;

Q^s is selected from the group consisting of a bond, $(CR^{37}R^{38})_b$
 wherein b is an integer selected from 1 through 4, and $(CH(R^{14}))_c-W^1-$
 $(CH(R^{15}))_d$ wherein c and d are integers independently selected from 1
 through 3 and W^1 is selected from the group consisting of $C(O)N(R^{14})$,
 5 $(R^{14})NC(O)$, $S(O)$, $S(O)_2$, $S(O)_2N(R^{14})$, $N(R^{14})S(O)_2$, and $N(R^{14})$, with the
 proviso that R^{14} is selected from other than halo when directly bonded to N,
 and with the additional proviso that $(CR^{37}R^{38})_b$ and $(CR^{37}R^{38})_b$, and
 $(CH(R^{14}))_c$ are bonded to E^0 ;

R^{37} and R^{38} are independently selected from the group consisting of
 10 hydrido, alkyl, and haloalkyl;

R^{38} is optionally aroyl or heteroaroyl, wherein R^{38} is optionally
 substituted with one or more substituents selected from the group consisting of
 R^{16} , R^{17} , R^{18} , and R^{19} ;

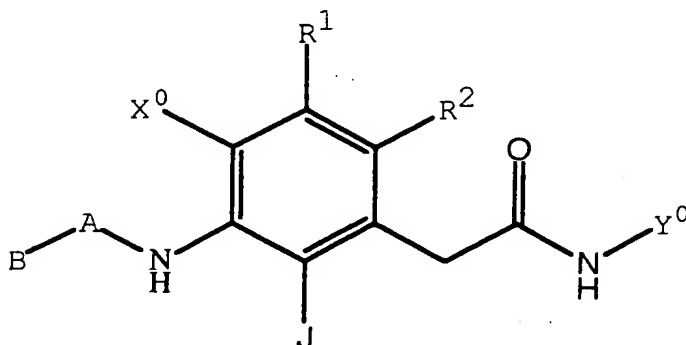
Y^0 is optionally Y^{AT} wherein Y^{AT} is Q^b-Q^s ;

15 Y^0 is optionally Q^b-Q^{ss} wherein Q^{ss} is $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$,
 wherein e and h are independently 1 or 2 and W^2 is $CR^{4a}=CR^{4b}$ with the
 proviso that $(CH(R^{14}))_e$ is bonded to E^0 .

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23. Compound of Claim 22 or a pharmaceutically acceptable salt thereof, wherein;



or a pharmaceutically acceptable salt thereof, wherein;

- 5 J is selected from the group consisting of halo, haloalkyl, hydroxy, hydroxyalkyl, amino, aminoalkyl, cyano, O-R⁶, NH-R⁶, and S-R⁶, wherein R⁶ is alkyl or haloalkyl;

- B is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl
 10 ring to A is optionally substituted by R³², the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R³⁶, a carbon adjacent to R³² and two atoms from the carbon at the point of attachment is optionally substituted by R³³, a carbon adjacent to R³⁶ and two atoms from the carbon at the point of attachment is optionally substituted by R³⁵, and any
 15 carbon adjacent to both R³³ and R³⁵ is optionally substituted by R³⁴;

- R³², R³³, R³⁴, R³⁵, and R³⁶ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboalkoxy,
 20 carboxy, carboxamido, cyano, and Q^b;

A is a bond or $(\text{CH}(\text{R}^{15}))_{\text{pa}}-(\text{W}^7)_{\text{rr}}$ wherein rr is 0 or 1, pa is an integer selected from 0 through 3, and W^7 is $(\text{R}^7)\text{NC}(\text{O})$ or $\text{N}(\text{R}^7)$;

R^7 is selected from the group consisting of hydrido, hydroxy and alkyl;

R^{15} is selected from the group consisting of hydrido, halo, alkyl, and

5 haloalkyl;

R^1 and X^{O} are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

10 R^2 is $\text{Z}^0\text{-Q}$;

Z^0 is selected from the group consisting of a bond, CH_2 , CH_2CH_2 , $\text{W}^0\text{-(CH}(\text{R}^{42}))_{\text{p}}$ wherein p is 0 or 1 and W^0 is selected from the group consisting of O, S, and $\text{N}(\text{R}^{41})$;

R^{41} and R^{42} are independently hydrido or alkyl;

15 Q is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to Z^0 is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is

20 optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, alkoxy,

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halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboxy, carboxamido, and cyano;

- R^{10} and R^{12} are independently selected from the group consisting of
- hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, aryl, aralkyl,
 5 cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyloxy, heterocyclylalkoxy, hydroxy, amino, alkoxyamino, alkylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclylamino, heterocyclylalkylamino,
 10 alkylsulfonamido, amidosulfonyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, halo, haloalkyl, and cyano;
- 15 Y^0 is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by Q^S , a carbon two or three atoms from the point of attachment of Q^S to said phenyl or said heteroaryl is substituted by Q^b , a carbon adjacent to the point of attachment of Q^S is optionally substituted by R^{17} , another carbon adjacent to the point of
- 20 attachment of Q^S is optionally substituted by R^{18} , a carbon adjacent to Q^b is optionally substituted by R^{16} , and another carbon adjacent to Q^b is optionally substituted by R^{19} ;

- R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy,
 25 hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} or R^{19} is optionally $NR^{20}R^{21}$ or $C(NR^{25})NR^{23}R^{24}$, with the proviso that R^{16} , R^{19} , and Q^b are not simultaneously hydrido;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, hydrido, and $C(NR^{25})NR^{23}R^{24}$, with the proviso that no more than one of R^{20} and R^{21} is

- 5 hydroxy at the same time and with the further proviso that no more than one of R^{23} and R^{24} is hydroxy at the same time;

R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

- Q^s is selected from the group consisting of a bond, CH_2 , and
 10 CH_2CH_2 .

24. Compound of Claim 23 or a pharmaceutically acceptable salt thereof, wherein;

- J is selected from the group consisting of fluoro, chloro, trifluoromethyl, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 1,2-dihydroxyethyl, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, methoxy, trifluoromethoxy, N-methylamino, methylthio, and trifluoromethylthio;

- B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by R^{32} , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{36} , a carbon adjacent to R^{32} and two atoms from the carbon at the point of attachment is optionally substituted by R^{33} , a carbon adjacent to R^{36} and two atoms from the carbon at

the point of attachment is optionally substituted by R^{35} , and any carbon adjacent to both R^{33} and R^{35} is optionally substituted by R^{34} ;

- R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamididosulfonyl, N,N-dimethylamididosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and Q^b ;

- A is selected from the group consisting of a bond, NH, $N(CH_3)$, $N(OH)$, CH_2 , CH_3CH , CF_3CH , $NHC(O)$, $N(CH_3)C(O)$, $C(O)NH$, $C(O)N(CH_3)$, CH_2CH_2 , $CH_2CH_2CH_2$, CH_3CHCH_2 , and CF_3CHCH_2 ;

- R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

R^2 is Z^O-Q ;

- Z^O is selected from the group consisting of a bond, CH_2 , CH_2CH_2 , O, S, NH, $N(CH_3)$, OCH_2 , SCH_2 , $N(H)CH_2$, and $N(CH_3)CH_2$;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl,

3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to Z^0 is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl,

- N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl,
 N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl,
 N-benzylamididosulfonyl, N-(2-chlorobenzyl)amididosulfonyl,
 N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl,
 5 N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl,
 N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl,
 N-cyclohexylamidocarbonyl, fluoro, chloro, bromo, cyano, cyclobutoxy,
 cyclohexoxy, cyclohexylmethoxy, 4-trifluoromethylcyclohexylmethoxy,
 cyclopentoxo, benzyl, benzyloxy, 4-bromo-3-fluorophenoxy,
 10 3-bromobenzyloxy, 4-bromobenzyloxy, 4-bromobenzylamino,
 5-bromopyrid-2-ylmethylamino, 4-butoxyphenamino, 3-chlorobenzyl,
 4-chlorophenoxy, 4-chloro-3-ethylphenoxy, 4-chloro-3-ethylbenzylamino,
 4-chloro-3-ethylphenylamino, 3-chlorobenzyloxy, 4-chlorobenzyloxy,
 4-chlorobenzylsulfonyl, 4-chlorophenylamino, 4-chlorophenylsulfonyl,
 15 5-chloropyrid-3-yloxy, 2-cyanopyrid-3-yloxy, 2,3-difluorobenzyloxy,
 2,4-difluorobenzyloxy, 3,4-difluorobenzyloxy, 2,5-difluorobenzyloxy,
 3,5-difluorophenoxy, 3,5-difluorobenzyloxy, 4-difluoromethoxybenzyloxy,
 2,3-difluorophenoxy, 2,4-difluorophenoxy, 2,5-difluorophenoxy,
 ,5-dimethylphenoxy, 3,4-dimethylphenoxy, 3,4-dimethylbenzyloxy,
 20 3,5-dimethylbenzyloxy, 4-ethoxyphenoxy, 4-ethylbenzyloxy, 3-ethylphenoxy,
 4-ethylaminophenoxy, 3-ethyl-5-methylphenoxy, 4-fluorobenzyloxy,
 2-fluoro-3-trifluoromethylbenzyloxy, 3-fluoro-5-trifluoromethylbenzyloxy,
 4-fluoro-2-trifluoromethylbenzyloxy, 4-fluoro-3-trifluoromethylbenzyloxy,
 2-fluorophenoxy, 4-fluorophenoxy, 2-fluoro-3-trifluoromethylphenoxy,
 25 2-fluorobenzyloxy, 4-fluorophenylamino, 2-fluoro-4-trifluoromethylphenoxy,
 4-isopropylbenzyloxy, 3-isopropylphenoxy, 4-isopropylphenoxy,
 4-isopropyl-3-methylphenoxy, 4-isopropylbenzyloxy, 3-isopropylphenoxy,
 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, phenylamino,
 1-phenylethoxy, 2-phenylethoxy, 2-phenylethyl, 2-phenylethylamino,
 30 phenylsulfonyl, 3-trifluoromethoxybenzyloxy, 4-trifluoromethoxybenzyloxy,
 3-trifluoromethoxyphenoxy, 4-trifluoromethoxyphenoxy,
 3-trifluoromethylbenzyloxy, 4-trifluoromethylbenzyloxy,
 2,4-bis-trifluoromethylbenzyloxy, 3-trifluoromethylbenzyl,
 3,5-bis-trifluoromethylbenzyloxy, 4-trifluoromethylphenoxy,
 35 3-trifluoromethylphenoxy, 3-trifluoromethylthiobenzyloxy,

4-trifluoromethylthiobenzyloxy, 2,3,4-trifluorophenoxy, 2,3,5-trifluorophenoxy, 3-pentafluoroethylphenoxy, 3-(1,1,2,2-tetrafluoroethoxy)phenoxy, and 3-trifluoromethylthiophenoxy;

Y^0 is selected from the group consisting of:

- 5 $1-Q^b-4-Q^s-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$ benzene,
- $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-3-R^{19}$ pyridine,
- $3-Q^b-6-Q^s-2-R^{16}-5-R^{18}-4-R^{19}$ pyridine, $2-Q^b-5-Q^s-3-R^{16}-6-R^{18}$ pyrazine,
- $3-Q^b-6-Q^s-2-R^{18}-5-R^{18}-4-R^{19}$ pyridazine,
- $2-Q^b-5-Q^s-4-R^{17}-6-R^{18}$ pyrimidine, $5-Q^b-2-Q^s-4-R^{16}-6-R^{19}$ pyrimidine,
- 10 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ thiophene, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ thiophene,
- $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ furan, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ furan,
- $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ pyrrole, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ pyrrole,
- $4-Q^b-2-Q^s-5-R^{19}$ imidazole, $2-Q^b-4-Q^s-5-R^{17}$ imidazole,
- $3-Q^b-5-Q^s-4-R^{16}$ isoxazole, $5-Q^b-3-Q^s-4-R^{16}$ isoxazole,
- 15 $2-Q^b-5-Q^s-4-R^{16}$ pyrazole, $4-Q^b-2-Q^s-5-R^{19}$ thiazole, and
- $2-Q^b-5-Q^s-4-R^{17}$ thiazole;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group

- consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino,
- 20 aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo,
 - 25 hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, and cyano;

R^{16} or R^{19} is optionally $C(NR^{25})NR^{23}R^{24}$ with the proviso that R^{16} , R^{19} , and Q^b are not simultaneously hydrido;

Q^b is $C(NR^{25})NR^{23}R^{24}$ or hydrido, with the proviso that no more than one of R^{23} and R^{24} is hydroxy at the same time;

5 R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido, methyl, ethyl, and hydroxy;

Q^s is selected from the group consisting of a bond, CH_2 and CH_2CH_2 .

25. Compound of Claim 24 or a pharmaceutically acceptable salt thereof,
10 wherein;

J is selected from the group consisting of fluoro, chloro, trifluoromethyl, hydroxy, hydroxymethyl, amino, aminomethyl, methoxy, trifluoromethoxy, and N-methylamino;

B is selected from the group consisting of 2-aminophenyl,
15 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl,
20 3-trifluoromethylphenyl, 2-imidazolyl, 2-pyridyl, 3-pyridyl, 5-chloro-3-trifluoromethyl-2-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, and 3-trifluoromethyl-2-pyridyl;

A is selected from the group consisting of CH_2 , CH_3CH , CF_3CH ,

$NHC(O)$, CH_2CH_2 , and $CH_2CH_2CH_2$;

25 R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

R^2 is Z^O-Q ;

Z^0 is selected from the group consisting of a bond, CH_2 , O, S, NH, $N(CH_3)$, OCH_2 , and SCH_2 ;

Q is selected from the group consisting of

- 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl,
- 5 3-amino-5-benzylphenyl, 3-amino-5-(2-phenylethyl)phenyl,
- 3-amino-5-benzylaminophenyl, 3-amino-5-(2-phenylethylamino)phenyl,
- 3-amino-5-benzyloxyphenyl, 3-amino-5-(2-phenylethoxy)phenyl,
- 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl,
- 10 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-benzylamidosulfonyl)phenyl,
- 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl,
- 15 3-amino-5-(N-ethylamidocarbonyl)phenyl,
- 3-amino-5-(N-isopropylamidocarbonyl)phenyl,
- 3-amino-5-(N-propylamidocarbonyl)phenyl,
- 3-amino-5-(N-isobutylamidocarbonyl)phenyl,
- 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,
- 20 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,
- 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,
- 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl,
- 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl,
- 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl,
- 25 3-aminophenyl, 3-amino-5-(4-trifluoromethylbenzylamino)phenyl,
- 3-amino-5-(4-trifluoromethylbenzyloxy)phenyl, 3-carboxyphenyl,
- 3-carboxy-5-hydroxyphenyl, 3-amino-5-carboxyphenyl, 3-chlorophenyl,
- 2-chlorophenyl, 3-cyanophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl,
- 2-fluorophenyl, 3-fluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl,
- 30 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl,
- 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl,
- 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl,
- phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl,
- 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl,
- 35 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

Y^0 is selected from the group consisting of:

- 1- Q^b -4- Q^s -2- R^{16} -3- R^{17} -5- R^{18} -6- R^{19} benzene,
 2- Q^b -5- Q^s -6- R^{17} -4- R^{18} -3- R^{19} pyridine,
 3- Q^b -6- Q^s -2- R^{16} -5- R^{18} -4- R^{19} pyridine,
 5 3- Q^b -5- Q^s -4- R^{16} -2- R^{19} thiophene, and 2- Q^b -5- Q^s -3- R^{16} -4- R^{17} thiophene;

R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

- R^{16} or R^{19} is optionally $C(NR^{25})NR^{23}R^{24}$ with the proviso that R^{16} ,
 10 R^{19} , and Q^b are not simultaneously hydrido;

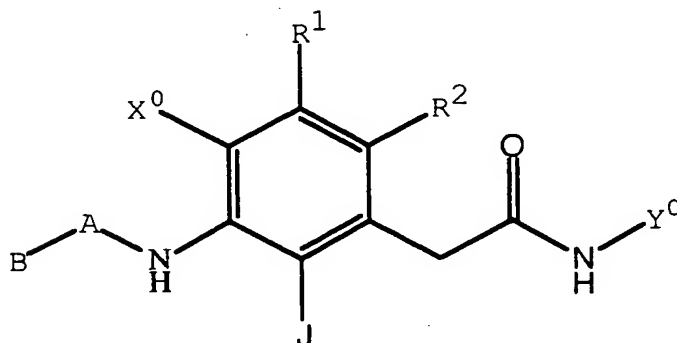
R^{17} and R^{18} are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is $C(NR^{25})NR^{23}R^{24}$ or hydrido;

R^{23} , R^{24} , and R^{25} are independently hydrido or methyl;

- 15 Q^s is CH_2 .

26. Compound of Claim 23 of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

- 20 J is selected from the group consisting of halo, haloalkyl, hydroxy, hydroxyalkyl, amino, and aminoalkyl;

- B is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by R^{32} , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{36} , a carbon adjacent to R^{32} and two atoms from the carbon at the point of attachment is optionally substituted by R^{33} , a carbon adjacent to R^{36} and two atoms from the carbon at the point of attachment is optionally substituted by R^{35} , and any carbon adjacent to both R^{33} and R^{35} is optionally substituted by R^{34} ;
- R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b ;

- A is a bond or $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is 0 or 1, pa is an integer selected from 0 through 3, and W^7 is $N(R^7)$;

R^7 is hydrido or alkyl;

R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

- R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

R^2 is Z^0-Q ;

Z^0 is a bond;

- Q is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl

- ring to Z^0 is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the
- 5 carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;
- R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy,
- 10 hydroxyalkyl, carboxy, carboxamido, and cyano;
- R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, hydroxy, amino, alkylamino, alkylsulfonamido, amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxamido,
- 15 carboxyalkyl, and cyano;
- Y^0 is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by Q^s , a carbon two or three atoms from the point of attachment of Q^s to said phenyl or said heteroaryl is substituted by Q^b , a carbon adjacent to the point of attachment of Q^s is
- 20 optionally substituted by R^{17} , another carbon adjacent to the point of attachment of Q^s is optionally substituted by R^{18} , a carbon adjacent to Q^b is optionally substituted by R^{16} , and another carbon adjacent to Q^b is optionally substituted by R^{19} ;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} or R^{19} is optionally $NR^{20}R^{21}$ or $C(NR^{25})NR^{23}R^{24}$, with the proviso that R^{16} , R^{19} , and Q^b are not simultaneously hydrido;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, hydrido, and $C(NR^{25})NR^{23}R^{24}$;

R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently hydrido or alkyl;

Q^s is CH_2 .

27. Compound of Claim 26 or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of fluoro, chloro, trifluoromethyl, hydroxy, hydroxymethyl, amino, and aminomethyl;

B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, and 5-isoxazolyl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by R^{32} , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{36} , a carbon adjacent to R^{32} and two atoms from the carbon at the point of attachment is optionally substituted by R^{33} , a carbon adjacent to R^{36} and two atoms from the carbon at the point of attachment is optionally substituted by R^{35} , and any carbon adjacent to both R^{33} and R^{35} is optionally substituted by R^{34} ;

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methoxyamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and Q^b ;

A is selected from the group consisting of a bond, NH, $N(CH_3)$, CH_2 , CH_3CH , and CH_2CH_2 ;

X^O is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, chloro, and fluoro;

R^1 is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, methylthio, trifluoromethoxy, fluoro, and chloro;

R^2 is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to the benzene ring is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl,

2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl,
 N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl,
 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of

- 5 hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl,
 N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl,
 N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl,
 N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl,
 N-benzylamidosulfonyl, N-(2-chlorobenzyl)amidosulfonyl,
 10 N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl,
 N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl,
 N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl,
 N-cyclohexylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy,
 hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy,
 15 carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl,
 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino,
 dimethylamino, methoxyamino, amidosulfonyl, N-methylamidosulfonyl,
 N,N-dimethylamidosulfonyl, methanesulfonamido, methoxycarbonyl, fluoro,
 chloro, bromo, and cyano;

- 20 Y^0 is selected from the group consisting of:

- 1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹ benzene,
 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-3-R¹⁹ pyridine, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene,
 3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine, 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene,
 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ furan, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ furan,
 25 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ pyrrole, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ pyrrole,
 4-Q^b-2-Q^s-5-R¹⁹ thiazole, and 2-Q^b-5-Q^s-4-R¹⁷ thiazole;

- R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group
 consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy,
 amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino,
 30 dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl,

methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, hydroxymethyl, carboxy, and cyano;

Q^b is $NR^{20}R^{21}$ or $C(NR^{25})NR^{23}R^{24}$;

R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group

5 consisting of hydrido, methyl, and ethyl;

Q^s is CH_2 .

28. Compound of Claim 27 or a pharmaceutically acceptable salt thereof, wherein;

10 J is selected from the group consisting of fluoro, trifluoromethyl, hydroxy, hydroxymethyl, amino, and aminomethyl;

B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 15 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoromethylphenyl, 2-imidazolyl, 2-pyridyl, 3-pyridyl, 5-chloro-3-trifluoromethyl-2-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, and 20 3-trifluoromethyl-2-pyridyl;

A is CH_2 or CH_2CH_2 ;

X^O is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

25 R^1 is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

R^2 is selected from the group consisting of 3-amidocarbonyl-5-aminophenyl, 3-amidocarbonyl-5-aminophenyl, 30 3-amino-5-(N-benzylamidocarbonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl,

- 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl,
 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl,
 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,
 3-amino-5-(N-benzylamidodisulfonyl)phenyl,
 5 3-amino-5-(N-(2-chlorobenzyl)amidodisulfonyl)phenyl,
 3-amino-5-(N-ethylamidocarbonyl)phenyl,
 3-amino-5-(N-isopropylamidocarbonyl)phenyl,
 3-amino-5-(N-propylamidocarbonyl)phenyl,
 3-amino-5-(N-isobutylamidocarbonyl)phenyl,
 10 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,
 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,
 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,
 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl,
 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl,
 15 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl,
 3-aminophenyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl,
 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl,
 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl,
 2-chlorophenyl, 3-cyanophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl,
 20 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl,
 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl,
 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl,
 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl,
 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl,
 25 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl,
 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

Y^0 is selected from the group consisting of:

- 1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹ benzene,
 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-3-R¹⁹ pyridine,
 30 3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine,
 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, and 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene;

R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

R^{17} and R^{18} are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is $C(NR^{25})NR^{23}R^{24}$;

R^{23} , R^{24} , and R^{25} are independently hydrido or methyl;

Q^s is CH_2 .

29. Compound of Claim 28 or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of fluoro, hydroxy, hydroxymethyl, and amino;

B is selected from the group consisting of 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 4-methylphenyl, phenyl, 2-imidazolyl, 3-pyridyl, 4-pyridyl, and 3-trifluoromethyl-2-pyridyl;

A is CH_2 or CH_2CH_2 ;

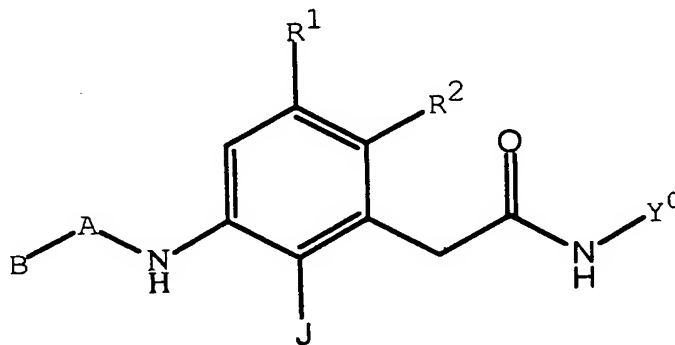
X^o is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

R^1 is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

R^2 is selected from the group consisting of 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,

- 3-amino-5-(N-benzylamidodisulfonyl)phenyl,
 3-amino-5-(N-(2-chlorobenzyl)amidodisulfonyl)phenyl,
 3-amino-5-(N-ethylamidocarbonyl)phenyl,
 3-amino-5-(N-isopropylamidocarbonyl)phenyl,
 5 3-amino-5-(N-propylamidocarbonyl)phenyl,
 3-amino-5-(N-isobutylamidocarbonyl)phenyl,
 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,
 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,
 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,
 10 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 3-aminophenyl,
 3-carboxy-5-aminophenyl, 3-chlorophenyl, 3,5-diaminophenyl,
 3-dimethylaminophenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl,
 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl,
 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl;
 15 Y^0 is selected from the group consisting of 5-amidino-2-thienylmethyl,
 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

30. Compound of Claim 23 where said compound is selected from the group of the Formula:



20

or a pharmaceutically acceptable salt thereof, wherein;

R^2 is 3-aminophenyl, B is phenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-aminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

25

R^2 is 3-aminophenyl, B is phenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

R^2 is 3-aminophenyl, B is 2-imidazolyl, A is $CH_2CH_2CH_2$, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

5 R^2 is 3-amidocarbonyl-5-aminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

10 R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

15 R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3,5-diaminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-carboxyphenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

20 R^2 is 3-amidocarbonyl-5-aminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

25 R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

R^2 is 3,5-diaminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

R^2 is 3-amino-5-carboxyphenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

R^2 is 3-aminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-aminophenyl, B is phenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-aminophenyl, B is 2-imidazolyl, A is $CH_2CH_2CH_2$, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-amidocarbonyl-5-aminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3,5-diaminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-amino-5-carboxyphenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-amidocarbonyl-5-aminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;

R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;

R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;

R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;

R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is

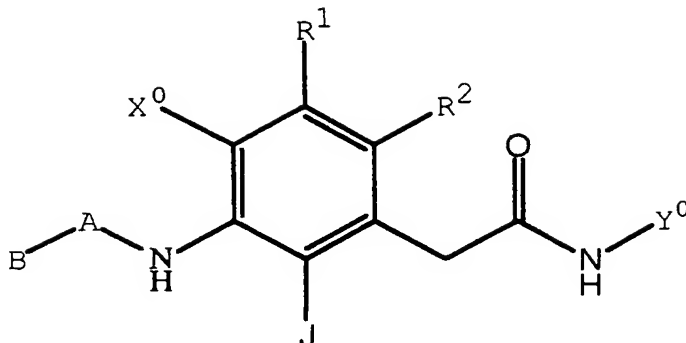
hydrido;

R^2 is 3,5-diaminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;

R^2 is 3-amino-5-carboxyphenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido.

25

31. Compound of Claim 22 of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of halo, haloalkyl, hydroxy, hydroxyalkyl, amino, aminoalkyl, cyano, $O-R^6$, $NH-R^6$, and $S-R^6$, wherein R^6

5 is alkyl or haloalkyl;

B is selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} ,

10 R^{33} , R^{34} , R^{35} , and R^{36} ;

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the

group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboalkoxy,

15 carboxy, carboxamido, cyano, and Q^b ;

A is a bond or $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is 0 or 1, pa is an integer selected from 0 through 3, and W^7 is $(R^7)NC(O)$ or $N(R^7)$;

R^7 is selected from the group consisting of hydrido, hydroxy and alkyl;

R^{15} is selected from the group consisting of hydrido, halo, alkyl, and

20 haloalkyl;

R^1 and X^0 are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy,

alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

R^2 is Z^0 -Q;

Z^0 is selected from the group consisting of a bond, CH_2 , CH_2CH_2 , W^0 -
 5 $(CH(R^{42}))_p$ wherein p is 0 or 1 and W^0 is selected from the group consisting of O, S, and $N(R^{41})$;

R^{41} and R^{42} are independently hydrido or alkyl;

Q is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl
 10 ring to Z^0 is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any
 15 carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboxy,
 20 carboxamido, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy,
 25 heteroaralkoxy, heterocyclyloxy, heterocyclylalkoxy, hydroxy, amino, alkoxyamino, alkylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclylamino, heterocyclylalkylamino,

alkylsulfonamido, amidosulfonyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, halo, haloalkyl, and cyano;

5 Y^0 is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by Q^s , a carbon two or three atoms from the point of attachment of Q^s to said phenyl or said heteroaryl is substituted by Q^b , a carbon adjacent to the point of attachment of Q^s is optionally substituted by R^{17} , another carbon adjacent to the point of attachment of Q^s is optionally substituted by R^{18} , a carbon adjacent to Q^b is optionally substituted by R^{16} , and another carbon adjacent to Q^b is optionally substituted by R^{19} ;

15 R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} or R^{19} is optionally selected from the group consisting of $NR^{20}R^{21}$, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the proviso that R^{16} , R^{19} , and Q^b are not simultaneously hydrido;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, hydrido, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the proviso that no more than one of R^{20} and R^{21} is hydroxy at the same time and with the

further proviso that no more than one of R^{23} and R^{24} is hydroxy at the same time;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

5 Q^S is selected from the group consisting of a bond, CH_2 , and CH_2CH_2 .

32. Compound of Claim 31 or a pharmaceutically acceptable salt thereof, wherein;

10 J is selected from the group consisting of fluoro, chloro, trifluoromethyl, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 1,2-dihydroxyethyl, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, methoxy, trifluoromethoxy, N-methylamino, methylthio, and trifluoromethylthio;

B is selected from the group consisting of hydrido, ethyl, 2-propynyl, 15 2-propenyl, propyl, isopropyl, butyl, 2-butenyl, 3-butenyl, 2-butylnyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 2-pentylnyl, 3-pentylnyl, 2-pentyl, 1-methyl-2-butenyl, 1-methyl-3-butenyl, 1-methyl-2-butylnyl, 3-pentyl, 1-ethyl-2-propenyl, 2-methylbutyl, 2-methyl-2-butenyl, 2-methyl-3-butenyl, 2-methyl-3-butylnyl, 20 3-methylbutyl, 3-methyl-2-butenyl, 3-methyl-3-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-4-pentenyl, 1-methyl-2-pentylnyl, 1-methyl-3-pentylnyl, 3-hexyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 1-propyl-2-propenyl, 1-ethyl-2-butylnyl, 1-heptyl, 2-heptenyl, 25 3-heptenyl, 4-heptenyl, 5-heptenyl, 6-heptenyl, 2-heptylnyl, 3-heptylnyl, 4-heptylnyl, 5-heptylnyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-5-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-4-pentenyl, 1-butyl-2-propenyl, 1-ethyl-2-pentylnyl, 30 1-ethyl-3-pentylnyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted

at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

- R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and Q^b ;

A is selected from the group consisting of bond, NH, N(CH₃), N(OH),

- CH₂, CH₃CH, CF₃CH, NHC(O), N(CH₃)C(O), C(O)NH, C(O)N(CH₃), CH₂CH₂, CH₂CH₂CH₂, CH₃CHCH₂, and CF₃CHCH₂;

- R^1 and X^0 are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

R^2 is Z^0 -Q;

- Z^0 is selected from the group consisting of a bond, CH₂, CH₂CH₂, O, S, NH, N(CH₃), OCH₂, SCH₂, N(H)CH₂, and N(CH₃)CH₂;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl,

- 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to Z^0 is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a
- 5 carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;
- R^9 , R^{11} , and R^{13} are independently selected from the group consisting
- 10 of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl,
- 15 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamid sulfonyl, N,N-dimethylamid sulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;
- R^{10} and R^{12} are independently selected from the group consisting of
- 20 hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamid sulfonyl,
- 25 N,N-dimethylamid sulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl,

- N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl,
 N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamidosulfonyl,
 N-(2-chlorobenzyl)amidosulfonyl, N-ethylamidocarbonyl,
 N-isopropylamidocarbonyl, N-propylamidocarbonyl,
 5 N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl,
 N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl,
 N-cyclohexylamidocarbonyl, fluoro, chloro, bromo, cyano, cyclobutoxy,
 cyclohexoxy, cyclohexylmethoxy, 4-trifluoromethylcyclohexylmethoxy,
 cyclopentoxo, benzyl, benzyloxy, 4-bromo-3-fluorophenoxy,
 10 3-bromobenzyloxy, 4-bromobenzyloxy, 4-bromobenzylamino,
 5-bromopyrid-2-ylmethylamino, 4-butoxyphenamino, 3-chlorobenzyl,
 4-chlorophenoxy, 4-chloro-3-ethylphenoxy, 4-chloro-3-ethylbenzylamino,
 4-chloro-3-ethylphenylamino, 3-chlorobenzyloxy, 4-chlorobenzyloxy,
 4-chlorobenzylsulfonyl, 4-chlorophenylamino, 4-chlorophenylsulfonyl,
 15 5-chloropyrid-3-yloxy, 2-cyanopyrid-3-yloxy, 2,3-difluorobenzyloxy,
 2,4-difluorobenzyloxy, 3,4-difluorobenzyloxy, 2,5-difluorobenzyloxy,
 3,5-difluorophenoxy, 3,5-difluorobenzyloxy, 4-difluoromethoxybenzyloxy,
 2,3-difluorophenoxy, 2,4-difluorophenoxy, 2,5-difluorophenoxy,
 3,5-dimethylphenoxy, 3,4-dimethylphenoxy, 3,4-dimethylbenzyloxy,
 20 3,5-dimethylbenzyloxy, 4-ethoxyphenoxy, 4-ethylbenzyloxy, 3-ethylphenoxy,
 4-ethylaminophenoxy, 3-ethyl-5-methylphenoxy, 4-fluorobenzyloxy,
 2-fluoro-3-trifluoromethylbenzyloxy, 3-fluoro-5-trifluoromethylbenzyloxy,
 4-fluoro-2-trifluoromethylbenzyloxy, 4-fluoro-3-trifluoromethylbenzyloxy,
 2-fluorophenoxy, 4-fluorophenoxy, 2-fluoro-3-trifluoromethylphenoxy,
 25 2-fluorobenzyloxy, 4-fluorophenylamino, 2-fluoro-4-trifluoromethylphenoxy,
 4-isopropylbenzyloxy, 3-isopropylphenoxy, 4-isopropylphenoxy,
 4-isopropyl-3-methylphenoxy, 4-isopropylbenzyloxy, 3-isopropylphenoxy,
 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, phenylamino,
 1-phenylethoxy, 2-phenylethoxy, 2-phenylethyl, 2-phenylethylamino,
 30 phenylsulfonyl, 3-trifluoromethoxybenzyloxy, 4-trifluoromethoxybenzyloxy,
 3-trifluoromethoxyphenoxy, 4-trifluoromethoxyphenoxy,
 3-trifluoromethylbenzyloxy, 4-trifluoromethylbenzyloxy,
 2,4-bis-trifluoromethylbenzyloxy, 3-trifluoromethylbenzyl,
 3,5-bis-trifluoromethylbenzyloxy, 4-trifluoromethylphenoxy,
 35 3-trifluoromethylphenoxy, 3-trifluoromethylthiobenzyloxy,

4-trifluoromethylthiobenzyloxy, 2,3,4-trifluorophenoxy, 2,3,5-trifluorophenoxy, 3-pentafluoroethylphenoxy, 3-(1,1,2,2-tetrafluoroethoxy)phenoxy, and 3-trifluoromethylthiophenoxy;

Y^0 is selected from the group consisting of:

- 5 $1-Q^b-4-Q^s-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$ benzene,
- $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-3-R^{19}$ pyridine,
- $3-Q^b-6-Q^s-2-R^{16}-5-R^{18}-4-R^{19}$ pyridine, $2-Q^b-5-Q^s-3-R^{16}-6-R^{18}$ pyrazine,
- $3-Q^b-6-Q^s-2-R^{18}-5-R^{18}-4-R^{19}$ pyridazine,
- $2-Q^b-5-Q^s-4-R^{17}-6-R^{18}$ pyrimidine, $5-Q^b-2-Q^s-4-R^{16}-6-R^{19}$ pyrimidine,
- 10 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ thiophene, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ thiophene,
- $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ furan, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ furan,
- $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ pyrrole, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ pyrrole,
- $4-Q^b-2-Q^s-5-R^{19}$ imidazole, $2-Q^b-4-Q^s-5-R^{17}$ imidazole,
- $3-Q^b-5-Q^s-4-R^{16}$ isoxazole, $5-Q^b-3-Q^s-4-R^{16}$ isoxazole,
- 15 $2-Q^b-5-Q^s-4-R^{16}$ pyrazole, $4-Q^b-2-Q^s-5-R^{19}$ thiazole, and
- $2-Q^b-5-Q^s-4-R^{17}$ thiazole;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group

- consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino,
- 20 guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino,
- aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino,
- N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio,
- methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl,
- pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl,
- trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo,
- 25 hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, and cyano;

R^{16} or R^{19} is optionally selected from the group consisting of $NR^{20}R^{21}$, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the proviso that R^{16} , R^{19} , and Q^b are not simultaneously hydrido;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, hydrido,
 5 $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the proviso that no more than one of R^{20} and R^{21} is hydroxy at the same time and with the further proviso that no more than one of R^{23} and R^{24} is hydroxy at the same time;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

10 Q^s is selected from the group consisting of a bond, CH_2 , and CH_2CH_2 .

33. Compound of Claim 32 or a pharmaceutically acceptable salt thereof, wherein;

15 J is selected from the group consisting of fluoro, chloro, trifluoromethyl, hydroxy, hydroxymethyl, amino, aminomethyl, methoxy, trifluoromethoxy, and N-methylamino;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl,
 20 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 1-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl,
 25 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

A is selected from the group consisting of a bond, CH_2 , $NHC(O)$, CH_2CH_2 , $CH_2CH_2CH_2$, and CH_3CHCH_2 ;

R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

5 R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of a bond, CH_2 , O, S, NH, $N(CH_3)$, OCH_2 , and SCH_2 ;

Q is selected from the group consisting of

- 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl,
- 10 3-amino-5-benzylphenyl, 3-amino-5-(2-phenylethyl)phenyl,
- 3-amino-5-benzylaminophenyl, 3-amino-5-(2-phenylethylamino)phenyl,
- 3-amino-5-benzyloxyphenyl, 3-amino-5-(2-phenylethoxy)phenyl,
- 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl,
- 15 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-benzylamidosulfonyl)phenyl,
- 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl,
- 20 3-amino-5-(N-ethylamidocarbonyl)phenyl,
- 3-amino-5-(N-isopropylamidocarbonyl)phenyl,
- 3-amino-5-(N-propylamidocarbonyl)phenyl,
- 3-amino-5-(N-isobutylamidocarbonyl)phenyl,
- 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,
- 25 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,
- 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,
- 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl,
- 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl,
- 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl,
- 30 3-aminophenyl, 3-amino-5-(4-trifluoromethylbenzylamino)phenyl,
- 3-amino-5-(4-trifluoromethylbenzyloxy)phenyl, 3-carboxyphenyl,
- 3-carboxy-5-hydroxyphenyl, 3-amino-5-carboxyphenyl, 3-chlorophenyl,
- 2-chlorophenyl, 3-cyanophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl,
- 2-fluorophenyl, 3-fluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl,

- 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl,
 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl,
 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl,
 phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl,
 5 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl,
 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

Y^0 is selected from the group consisting of:

- 1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹ benzene,
 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-3-R¹⁹ pyridine,
 10 3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine,
 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, and 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene;

R¹⁶ and R¹⁹ are independently selected from the group consisting of
 hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy,
 hydroxymethyl, fluoro, chloro, and cyano;

- 15 R¹⁶ or R¹⁹ is optionally C(NR²⁵)NR²³R²⁴ with the proviso that R¹⁶,
 R¹⁹, and Q^b are not simultaneously hydrido;

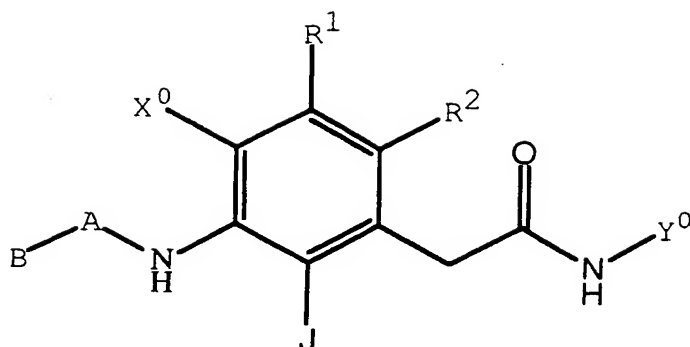
R¹⁷ and R¹⁸ are independently selected from the group consisting of
 hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is C(NR²⁵)NR²³R²⁴ or hydrido;

- 20 R²³, R²⁴, and R²⁵ are independently hydrido or methyl;

Q^s is CH₂.

34. Compound of Claim 31 of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of halo, haloalkyl, hydroxy, hydroxyalkyl, amino, and aminoalkyl;

5 B is selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R³², R³³, R³⁴, R³⁵, and R³⁶;

10 R³², R³³, R³⁴, R³⁵, and R³⁶ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b;

15 A is a bond or (CH(R¹⁵))_{pa}-(W⁷)_{rr} wherein rr is 0 or 1, pa is an integer selected from 0 through 3, and W⁷ is N(R⁷);

R⁷ is hydrido or alkyl;

R¹⁵ is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

20 R¹ and X⁰ are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

R^2 is Z^0 -Q;

Z^0 is a bond;

- Q is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to Z^0 is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;
- R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;
- R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, hydroxy, amino, alkylamino, alkylsulfonamido, amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxamido, carboxyalkyl, and cyano;
- Y^0 is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by Q^s , a carbon two or three atoms from the point of attachment of Q^s to said phenyl or said heteroaryl is substituted by Q^b , a carbon adjacent to the point of attachment of Q^s is optionally substituted by R^{17} , another carbon adjacent to the point of attachment of Q^s is optionally substituted by R^{18} , a carbon adjacent to Q^b is

optionally substituted by R^{16} , and another carbon adjacent to Q^b is optionally substituted by R^{19} ;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} or R^{19} is optionally selected from the group consisting of $NR^{20}R^{21}$, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the proviso that R^{16} , R^{19} , and Q^b are not simultaneously hydrido;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently hydrido or alkyl;

Q^s is CH_2 .

15

35. Compound of Claim 34 or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of fluoro, chloro, trifluoromethyl, hydroxy, hydroxymethyl, amino, and aminomethyl;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butyne, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl,

- 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

- R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methoxyamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and Q^b ;

- A is selected from the group consisting of a bond, NH, $N(CH_3)$, CH_2 , CH_3CH , and CH_2CH_2 ;

A is optionally selected from the group consisting of $CH_2N(CH_3)$, $CH_2N(CH_2CH_3)$, $CH_2CH_2N(CH_3)$, and $CH_2CH_2N(CH_2CH_3)$ with the proviso that B is hydrido;

- X^O is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, chloro, and fluoro;

R^1 is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, methylthio, trifluoromethoxy, fluoro, and chloro;

- R^2 is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to the benzene ring is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally

- substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

- R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;
- R^{10} and R^{12} are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamidosulfonyl, N-(2-chlorobenzyl)amidosulfonyl, N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl, N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl, N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl, N-cyclohexylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, methoxyamino, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, methanesulfonamido, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

Y^0 is selected from the group consisting of:

$1-Q^b-4-Q^s-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$ benzene,

- 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-3-R¹⁹ pyridine, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene,
 3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine, 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene,
 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ furan, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ furan,
 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ pyrrole, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ pyrrole,
 5 4-Q^b-2-Q^s-5-R¹⁹ thiazole, and 2-Q^b-5-Q^s-4-R¹⁷ thiazole;

- R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group
 consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy,
 amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino,
 dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl,
 10 methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl,
 trifluoromethoxy, fluoro, chloro, hydroxymethyl, carboxy, and cyano;

Q^b is selected from the group consisting of NR²⁰R²¹,
 C(NR²⁵)NR²³R²⁴, and N(R²⁶)C(NR²⁵)N(R²³)(R²⁴);

- R²⁰, R²¹, R²³, R²⁴, R²⁵, and R²⁶ are independently selected from the
 15 group consisting of hydrido, methyl, and ethyl;

Q^s is CH₂.

36. Compound of Claim 35 or a pharmaceutically acceptable salt thereof,
 wherein;

- 20 J is selected from the group consisting of fluoro, trifluoromethyl,
 hydroxy, hydroxymethyl, amino, and aminomethyl;

- B is selected from the group consisting of hydrido, ethyl, 2-propenyl,
 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl,
tert-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl,
 25 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl,
 1-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl,
 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl,
 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl,
 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl,

3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

A is selected from the group consisting of a bond, CH_2 , CH_3CH , and CH_2CH_2 ;

5 X° is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

R^1 is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and
10 fluoro;

R^2 is selected from the group consisting of
3-amidocarbonyl-5-aminophenyl, 3-amidocarbonyl-5-aminophenyl,
3-amino-5-(N-benzylamidocarbonyl)phenyl,
3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl,
15 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl,
3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl,
3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl,
3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,
3-amino-5-(N-benzylamidodisulfonyl)phenyl,
20 3-amino-5-(N-(2-chlorobenzyl)amidodisulfonyl)phenyl,
3-amino-5-(N-ethylamidocarbonyl)phenyl,
3-amino-5-(N-isopropylamidocarbonyl)phenyl,
3-amino-5-(N-propylamidocarbonyl)phenyl,
3-amino-5-(N-isobutylamidocarbonyl)phenyl,
25 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,
3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,
3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,
3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl,
3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl,
30 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl,
3-aminophenyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl,
3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl,

- 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 3-cyanophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

10 Y^0 is selected from the group consisting of:

1- Q^b -4- Q^s -2- R^{16} -3- R^{17} -5- R^{18} -6- R^{19} benzene,

2- Q^b -5- Q^s -6- R^{17} -4- R^{18} -3- R^{19} pyridine,

3- Q^b -6- Q^s -2- R^{16} -5- R^{18} -4- R^{19} pyridine,

3- Q^b -5- Q^s -4- R^{16} -2- R^{19} thiophene, and 2- Q^b -5- Q^s -3- R^{16} -4- R^{17} thiophene;

15 R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

R^{17} and R^{18} are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

20 Q^b is $C(NR^{25})NR^{23}R^{24}$;

R^{23} , R^{24} , and R^{25} are independently hydrido or methyl;

Q^s is CH_2 .

37. Compound of Claim 36 or a pharmaceutically acceptable salt thereof,
25 wherein;

J is selected from the group consisting of fluoro, hydroxy, hydroxymethyl, and amino;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl,

- tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 1-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 5 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

A is selected from the group consisting of a bond, CH₂, CH₃CH, and

- 10 CH₂CH₂;

X^o is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

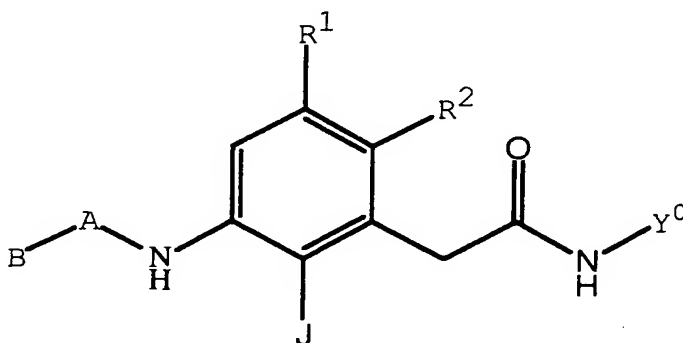
- R¹ is selected from the group consisting of hydrido, hydroxy, 15 hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

- R² is selected from the group consisting of
 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl,
 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl,
 20 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl,
 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl,
 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl,
 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,
 3-amino-5-(N-benzylamidosulfonyl)phenyl,
 25 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl,
 3-amino-5-(N-ethylamidocarbonyl)phenyl,
 3-amino-5-(N-isopropylamidocarbonyl)phenyl,
 3-amino-5-(N-propylamidocarbonyl)phenyl,
 3-amino-5-(N-isobutylamidocarbonyl)phenyl,
 30 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,
 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,
 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,
 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 3-aminophenyl,

3-carboxy-5-aminophenyl, 3-chlorophenyl, 3,5-diaminophenyl,
 3-dimethylaminophenyl, 3-hydroxyphenyl, 3-methanesulfonylamino-phenyl,
 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl,
 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl;

- 5 Y^0 is selected from the group consisting of 5-amidino-2-thienylmethyl,
 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

38. Compound of Claim 31 where said compound is selected from the group of
 the Formula:



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or a pharmaceutically acceptable salt thereof, wherein;

R^2 is 3-aminophenyl, B is 2,2,2-trifluoroethyl, A is a bond, Y^0 is 4-
 amidinobenzyl, J is hydroxy, and R^1 is chloro;

- 15 R^2 is 3-aminophenyl, B is (S)-2-butyl, A is a bond, Y^0 is 4-amidinobenzyl,
 J is hydroxy, and R^1 is chloro;

R^2 is 5-amino-2-fluorophenyl, B is isopropyl, A is a bond, Y^0 is 4-
 amidinobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 2-methyl-3-aminophenyl, B is isopropyl, A is a bond, Y^0 is 4-
 amidinobenzyl, J is hydroxy, and R^1 is chloro;

- 20 R^2 is 3-aminophenyl, B is ethyl, A is a bond, Y^0 is 4-amidinobenzyl, J is
 hydroxy, and R^1 is chloro;

R^2 is 3-aminophenyl, B is ethyl, A is a bond, Y^0 is 4-amidino-2-
 fluorobenzyl, J is hydroxy, and R^1 is chloro;

- R^2 is 3-aminophenyl, B is 2-propenyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is isopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;
- 5 R^2 is 3-aminophenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is 2-butyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is (R)-2-butyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 10 R^2 is 3-aminophenyl, B is 2-propynyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is 3-pentyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;
- 15 R^2 is 3-aminophenyl, B is hydrido, A is CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is ethyl, A is CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is 2-methypropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 20 R^2 is 3-aminophenyl, B is 2-propyl, A is CH_3CH , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is propyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;
- 25 R^2 is 3-aminophenyl, B is 6-amidocarbonylhexyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

- R^2 is 3-aminophenyl, B is tert-butyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;
- R^2 is 3-aminophenyl, B is tert-butyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 5 R^2 is 3-aminophenyl, B is 3-hydroxypropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is 2-methylpropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is butyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 10 R^2 is 3-aminophenyl, B is 1-methoxy-2-propyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is 2-methoxyethyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 15 R^2 is 3-aminophenyl, B is 2-propyl, A is a bond, Y^0 is 5-amidino-2-thienylmethyl, J is hydroxy, and R^1 is chloro;
- R^2 is 5-amino-2-methylthiophenyl, B is 2-propyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-carboxyphenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 20 R^2 is 3-amino-5-carbomethoxyphenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is bromo;
- 25 R^2 is 3-amino-5-carboxamidophenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

- R^2 is 3-amino-5-(N-benzyl-N-methylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 5 R^2 is 3-amino-5-(N-(2-phenyl-2-propyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-(N-(2,4-dichlorobenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-(N-(4-bromobenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 10 R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 15 R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-(N-(3-trifluoromethylbenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 20 R^2 is 3-amino-5-(N-isobutylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 25 R^2 is 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

- R^2 is 3-amino-5-(N-cycloheptylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-(N-(2-pyridylmethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 5 R^2 is 3-amino-5-(N-(3-pyridylmethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-(N-(2-(4-methoxyphenyl)ethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-(N-(3-phenylpropyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 10 R^2 is 3-amino-5-(N-(2,2-diphenylethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-(N-(2-naphthylmethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 15 R^2 is 3-amino-5-(N-(1,2,3,4-tetrahydronaphth-2-ylmethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is 2-propyl, A is a bond, Y^0 is 4-amidino-3-fluorobenzyl, J is hydroxy, and R^1 is hydrido;
- 20 R^2 is 3-carboxyphenyl, B is 2-propyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;
- R^2 is 3-aminophenyl, B is 2-propyl, A is a bond, Y^0 is 4-amidino-3-fluorobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3,5-diaminophenyl, B is 2,2,2-trifluoroethyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 25

- R^2 is 3,5-diaminophenyl, B is (S)-2-butyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3,5-diaminophenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 5 R^2 is 3,5-diaminophenyl, B is isopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzylbenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3,5-diaminophenyl, B is ethyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3,5-diaminophenyl, B is ethyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;
- 10 R^2 is 3-amino-5-carboxyphenyl, B is 2,2,2-trifluoroethyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-carboxyphenyl, B is (S)-2-butyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 15 R^2 is 3-amino-5-carboxyphenyl, B is isopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzylbenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-carboxyphenyl, B is ethyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-carboxyphenyl, B is ethyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;
- 20 R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is 2,2,2-trifluoroethyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is (S)-2-butyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 25 R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzylbenzyl, J is hydroxy, and R^1 is chloro;

- R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is ethyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is ethyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;
- 5 R^2 is 3,5-diaminophenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzylbenzyl, J is hydroxy, and R^1 is hydrido;
- R^2 is 3-aminophenyl, B is 2,2,2-trifluoroethyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is (S)-2-butyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- 10 R^2 is 5-amino-2-fluorophenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 2-methyl-3-aminophenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- 15 R^2 is 3-aminophenyl, B is ethyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is ethyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is 2-propenyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- 20 R^2 is 3-aminophenyl, B is isopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- 25 R^2 is 3-aminophenyl, B is 2-butyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-aminophenyl, B is (R)-2-butyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-aminophenyl, B is 2-propynyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

5 R^2 is 3-aminophenyl, B is 3-pentyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

R^2 is 3-aminophenyl, B is hydrido, A is CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-aminophenyl, B is ethyl, A is CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-aminophenyl, B is 2-methypropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-aminophenyl, B is 2-propyl, A is CH_3CH , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

15 R^2 is 3-aminophenyl, B is propyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-aminophenyl, B is 6-amidocarbonylhexyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-aminophenyl, B is tert-butyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

20 R^2 is 3-aminophenyl, B is tert-butyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-aminophenyl, B is 3-hydroxypropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

25 R^2 is 3-aminophenyl, B is 2-methylpropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;

- R^2 is 3-aminophenyl, B is butyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is 1-methoxy-2-propyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- 5 R^2 is 3-aminophenyl, B is 2-methoxyethyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is 2-propyl, A is a bond, Y^0 is 5-amidino-2-thienylmethyl, J is fluoro, and R^1 is chloro;
- R^2 is 5-amino-2-methylthiophenyl, B is 2-propyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- 10 R^2 is 3-amino-5-carboxyphenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-amino-5-carbomethoxyphenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- 15 R^2 is 3-aminophenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is bromo;
- R^2 is 3-amino-5-carboxamidophenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-amino-5-(N-benzyl-N-methylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- 20 R^2 is 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-amino-5-(N-(2-phenyl-2-propyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- 25 R^2 is 3-amino-5-(N-(2,4-dichlorobenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-(4-bromobenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

5 R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

10 R^2 is 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-(3-trifluoromethylbenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-isobutylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

15 R^2 is 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

20 R^2 is 3-amino-5-(N-cycloheptylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-pyridylmethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-(3-pyridylmethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

25 R^2 is 3-amino-5-(N-(2-(4-methoxyphenyl)ethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-(3-phenylpropyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2,2-diphenylethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

5 R^2 is 3-amino-5-(N-(2-naphthylmethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-(1,2,3,4-tetrahydronaphth-2-ylmethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

10 R^2 is 3-aminophenyl, B is 2-propyl, A is a bond, Y^0 is 4-amidino-3-fluorobenzyl, J is fluoro, and R^1 is hydrido;

R^2 is 3-carboxyphenyl, B is 2-propyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

R^2 is 3-aminophenyl, B is 2-propyl, A is a bond, Y^0 is 4-amidino-3-fluorobenzyl, J is fluoro, and R^1 is chloro;

15 R^2 is 3,5-diaminophenyl, B is 2,2,2-trifluoroethyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3,5-diaminophenyl, B is (S)-2-butyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

20 R^2 is 3,5-diaminophenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3,5-diaminophenyl, B is isopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzylbenzyl, J is fluoro, and R^1 is chloro;

25 R^2 is 3,5-diaminophenyl, B is ethyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3,5-diaminophenyl, B is ethyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-carboxyphenyl, B is 2,2,2-trifluoroethyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

5 R^2 is 3-amino-5-carboxyphenyl, B is (S)-2-butyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-carboxyphenyl, B is isopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzylbenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-carboxyphenyl, B is ethyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-carboxyphenyl, B is ethyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is 2,2,2-trifluoroethyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

15 R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is (S)-2-butyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzylbenzyl, J is fluoro, and R^1 is chloro;

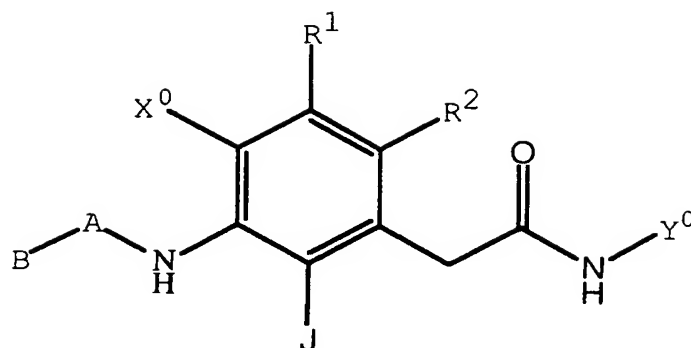
R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is ethyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is ethyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3,5-diaminophenyl, B is isopropyl, A is a bond, Y^0 is 4-amidinobenzylbenzyl, J is fluoro, and R^1 is hydrido.

25

39. Compound of Claim 22 of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of halo, haloalkyl, hydroxy, hydroxyalkyl, amino, aminoalkyl, cyano, O-R⁶, NH-R⁶, and S-R⁶, wherein R⁶

5 is alkyl or haloalkyl;

B is a C3-C7 cycloalkyl or a C4-C6 saturated heterocyclyl, wherein each ring carbon is optionally substituted with R³³, a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the
 10 same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R⁹ or R¹³, a ring carbon or nitrogen adjacent to the R⁹ position and two atoms from the point of attachment is optionally substituted with R¹⁰, a ring carbon or nitrogen adjacent to the R¹³ position and two atoms from the point of attachment is optionally substituted
 15 with R¹², a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R¹⁰ position is optionally substituted with R¹¹, a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R¹² position is optionally substituted with R³³, and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the R¹¹ and R³³
 20 positions is optionally substituted with R³⁴;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboxy, carboxamido, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocycliloxy, heterocyclylalkoxy, hydroxy, amino, alkoxyamino, alkylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclylamino, heterocyclylalkylamino, alkylsulfonamido, amidosulfonyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, halo, haloalkyl, and cyano;

R^{33} and R^{34} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboalkoxy, carboxy, carboxamido, and cyano;

R^{33} is optionally Q^b ;

A is a bond or $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is 0 or 1, pa is an integer selected from 0 through 3, and W^7 is $(R^7)NC(O)$ or $N(R^7)$;

R^7 is selected from the group consisting of hydrido, hydroxy and alkyl;

R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy,

alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

R^2 is Z^0 -Q;

Z^0 is selected from the group consisting of a bond, CH_2 , CH_2CH_2 ,

- 5 $W^0-(CH(R^{42}))_p$ wherein p is 0 or 1 and W^0 is selected from the group consisting of O, S, and $N(R^{41})$;

R^{41} and R^{42} are independently hydrido or alkyl;

- Q is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl
 10 ring to Z^0 is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any
 15 carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

- Y^0 is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by Q^s , a carbon two or three atoms from the point of attachment of Q^s to said phenyl or said heteroaryl is substituted by Q^b , a carbon adjacent to the point of attachment of Q^s is
 20 optionally substituted by R^{17} , another carbon adjacent to the point of attachment of Q^s is optionally substituted by R^{18} , a carbon adjacent to Q^b is optionally substituted by R^{16} , and another carbon adjacent to Q^b is optionally substituted by R^{19} ;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} or R^{19} is optionally $NR^{20}R^{21}$ or $C(NR^{25})NR^{23}R^{24}$, with the proviso that R^{16} , R^{19} , and Q^b are not simultaneously hydrido;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, hydrido, and $C(NR^{25})NR^{23}R^{24}$, with the proviso that no more than one of R^{20} and R^{21} is

hydroxy at the same time and with the further proviso that no more than one of R^{23} and R^{24} is hydroxy at the same time;

R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

Q^s is selected from the group consisting of a bond, CH_2 , and CH_2CH_2 .

40. Compound of Claim 39 or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of fluoro, chloro, trifluoromethyl, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 1,2-dihydroxyethyl, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, methoxy, trifluoromethoxy, N-methylamino, methylthio, and trifluoromethylthio;

B is selected from the group consisting of cyclopropyl, cyclobutyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, thiaetan-3-yl, cyclopentyl, cyclohexyl, norbornyl, 7-oxabicyclo[2.2.1]heptan-2-yl, bicyclo[3.1.0]hexan-6-yl, cycloheptyl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl,

2.

- 2-dioxanyl, 4H-2-pyranyl, 4H-3-pyranyl, 4H-4-pyranyl, 4H-pyran-4-one-2-yl, 4H-pyran-4-one-3-yl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon is
- 5 optionally substituted with R^{33} , ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from the point of attachment is optionally substituted with R^{10} , and a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of
- 10 attachment is optionally substituted with R^{12} ;
- R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio,
- 15 trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl,
- 20 N,N-dimethylamidocarbonyl, and cyano;
- R^{10} and R^{12} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl,
- 25 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl,
- 30 N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl,

- N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl,
 N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl,
 N-benzylamidosulfonyl, N-(2-chlorobenzyl)amidosulfonyl,
 N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl,
 5 N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl,
 N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl,
 N-cyclohexylamidocarbonyl, fluoro, chloro, bromo, cyano, cyclobutoxy,
 cyclohexoxy, cyclohexylmethoxy, 4-trifluoromethylcyclohexylmethoxy,
 cyclopentoxo, benzyl, benzyloxy, 4-bromo-3-fluorophenoxy,
 10 3-bromobenzyloxy, 4-bromobenzyloxy, 4-bromobenzylamino,
 5-bromopyrid-2-ylmethylamino, 4-butoxyphenamino, 3-chlorobenzyl,
 4-chlorophenoxy, 4-chloro-3-ethylphenoxy, 4-chloro-3-ethylbenzylamino,
 4-chloro-3-ethylphenylamino, 3-chlorobenzyloxy, 4-chlorobenzyloxy,
 4-chlorobenzylsulfonyl, 4-chlorophenylamino, 4-chlorophenylsulfonyl,
 15 5-chloropyrid-3-yloxy, 2-cyanopyrid-3-yloxy, 2,3-difluorobenzyloxy,
 2,4-difluorobenzyloxy, 3,4-difluorobenzyloxy, 2,5-difluorobenzyloxy,
 3,5-difluorophenoxy, 3,5-difluorobenzyloxy, 4-difluoromethoxybenzyloxy,
 2,3-difluorophenoxy, 2,4-difluorophenoxy, 2,5-difluorophenoxy,
 3,5-dimethylphenoxy, 3,4-dimethylphenoxy, 3,4-dimethylbenzyloxy,
 20 3,5-dimethylbenzyloxy, 4-ethoxyphenoxy, 4-ethylbenzyloxy, 3-ethylphenoxy,
 4-ethylaminophenoxy, 3-ethyl-5-methylphenoxy, 4-fluorobenzyloxy,
 2-fluoro-3-trifluoromethylbenzyloxy, 3-fluoro-5-trifluoromethylbenzyloxy,
 4-fluoro-2-trifluoromethylbenzyloxy, 4-fluoro-3-trifluoromethylbenzyloxy,
 2-fluorophenoxy, 4-fluorophenoxy, 2-fluoro-3-trifluoromethylphenoxy,
 25 2-fluorobenzyloxy, 4-fluorophenylamino, 2-fluoro-4-trifluoromethylphenoxy,
 4-isopropylbenzyloxy, 3-isopropylphenoxy, 4-isopropylphenoxy,
 4-isopropyl-3-methylphenoxy, 4-isopropylbenzyloxy, 3-isopropylphenoxy,
 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, phenylamino,
 1-phenylethoxy, 2-phenylethoxy, 2-phenylethyl, 2-phenylethylamino,
 30 phenylsulfonyl, 3-trifluoromethoxybenzyloxy, 4-trifluoromethoxybenzyloxy,
 3-trifluoromethoxyphenoxy, 4-trifluoromethoxyphenoxy,
 3-trifluoromethylbenzyloxy, 4-trifluoromethylbenzyloxy,
 2,4-bis-trifluoromethylbenzyloxy, 3-trifluoromethylbenzyl,
 3,5-bis-trifluoromethylbenzyloxy, 4-trifluoromethylphenoxy,
 35 3-trifluoromethylphenoxy, 3-trifluoromethylthiobenzyloxy,

4-trifluoromethylthiobenzyloxy, 2,3,4-trifluorophenoxy, 2,3,5-trifluorophenoxy, 3-pentafluoroethylphenoxy, 3-(1,1,2,2-tetrafluoroethoxy)phenoxy, and 3-trifluoromethylthiophenoxy;

R^{33} is selected from the group consisting of hydrido, amidino,

- 5 guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, 10 chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and Q^b ;

- 15 A is selected from the group consisting of a bond, NH, N(CH₃), N(OH), CH₂, CH₃CH, CF₃CH, NHC(O), N(CH₃)C(O), C(O)NH, C(O)N(CH₃), CH₂CH₂, CH₂CH₂CH₂, CH₃CHCH₂, and CF₃CHCH₂;

- R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, 20 methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

R^2 is Z^0-Q ;

- 25 Z^0 is selected from the group consisting of a bond, CH₂, CH₂CH₂, O, S, NH, N(CH₃), OCH₂, SCH₂, N(H)CH₂, and N(CH₃)CH₂;

- Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 30 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl,

3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to Z^0 is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

Y^0 is selected from the group consisting of:

- 10 1- Q^b -4- Q^s -2- R^{16} -3- R^{17} -5- R^{18} -6- R^{19} benzene,
 2- Q^b -5- Q^s -6- R^{17} -4- R^{18} -3- R^{19} pyridine,
 3- Q^b -6- Q^s -2- R^{16} -5- R^{18} -4- R^{19} pyridine, 2- Q^b -5- Q^s -3- R^{16} -6- R^{18} pyrazine,
 3- Q^b -6- Q^s -2- R^{18} -5- R^{18} -4- R^{19} pyridazine,
 2- Q^b -5- Q^s -4- R^{17} -6- R^{18} pyrimidine, 5- Q^b -2- Q^s -4- R^{16} -6- R^{19} pyrimidine,
 15 3- Q^b -5- Q^s -4- R^{16} -2- R^{19} thiophene, 2- Q^b -5- Q^s -3- R^{16} -4- R^{17} thiophene,
 3- Q^b -5- Q^s -4- R^{16} -2- R^{19} furan, 2- Q^b -5- Q^s -3- R^{16} -4- R^{17} furan,
 3- Q^b -5- Q^s -4- R^{16} -2- R^{19} pyrrole, 2- Q^b -5- Q^s -3- R^{16} -4- R^{17} pyrrole,
 4- Q^b -2- Q^s -5- R^{19} imidazole, 2- Q^b -4- Q^s -5- R^{17} imidazole,
 3- Q^b -5- Q^s -4- R^{16} isoxazole, 5- Q^b -3- Q^s -4- R^{16} isoxazole,
 20 2- Q^b -5- Q^s -4- R^{16} pyrazole, 4- Q^b -2- Q^s -5- R^{19} thiazole, and
 2- Q^b -5- Q^s -4- R^{17} thiazole;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino,

guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, and cyano;

R^{16} or R^{19} is optionally $C(NR^{25})NR^{23}R^{24}$ with the proviso that R^{16} , R^{19} , and Q^b are not simultaneously hydrido;

Q^b is $C(NR^{25})NR^{23}R^{24}$ or hydrido, with the proviso that no more than one of R^{23} and R^{24} is hydroxy at the same time;

R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido, methyl, ethyl, and hydroxy;

Q^s is selected from the group consisting of a bond, CH_2 and CH_2CH_2 .

15

41. Compound of Claim 40 or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of fluoro, chloro, trifluoromethyl, hydroxy, hydroxymethyl, amino, aminomethyl, methoxy, trifluoromethoxy, and N-methylamino;

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, 1-pyrrolidinyl, 1-piperidinyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, 7-oxabicyclo[2.2.1]heptan-2-yl, bicyclo[3.1.0]hexan-6-yl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 4H-2-pyranyl, 4H-3-pyranyl, 4H-4-pyranyl, 4H-pyran-4-one-2-yl, 4H-pyran-4-one-3-yl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl;

A is selected from the group consisting of a bond, CH_2 , NHC(O) , CH_2CH_2 , and $\text{CH}_2\text{CH}_2\text{CH}_2$;

R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino,
 5 cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

R^2 is Z^O -Q;

Z^O is selected from the group consisting of a bond, CH_2 , O, S, NH, $\text{N(CH}_3\text{)}$, OCH_2 , and SCH_2 ;

10 Q is selected from the group consisting of
 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl,
 3-amino-5-benzylphenyl, 3-amino-5-(2-phenylethyl)phenyl,
 3-amino-5-benzylaminophenyl, 3-amino-5-(2-phenylethylamino)phenyl,
 3-amino-5-benzyloxyphenyl, 3-amino-5-(2-phenylethoxy)phenyl,
 15 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl,
 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl,
 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl,
 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl,
 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,
 20 3-amino-5-(N-benzylamidosulfonyl)phenyl,
 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl,
 3-amino-5-(N-ethylamidocarbonyl)phenyl,
 3-amino-5-(N-isopropylamidocarbonyl)phenyl,
 3-amino-5-(N-propylamidocarbonyl)phenyl,
 25 3-amino-5-(N-isobutylamidocarbonyl)phenyl,
 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,
 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,
 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,
 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl,
 30 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl,
 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl,
 3-aminophenyl, 3-amino-5-(4-trifluoromethylbenzylamino)phenyl,
 3-amino-5-(4-trifluoromethylbenzyloxy)phenyl, 3-carboxyphenyl,

- 3-carboxy-5-hydroxyphenyl, 3-amino-5-carboxyphenyl, 3-chlorophenyl, 2-chlorophenyl, 3-cyanophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 5 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

10 Y^0 is selected from the group consisting of:

1- Q^b -4- Q^s -2- R^{16} -3- R^{17} -5- R^{18} -6- R^{19} benzene,

2- Q^b -5- Q^s -6- R^{17} -4- R^{18} -3- R^{19} pyridine,

3- Q^b -6- Q^s -2- R^{16} -5- R^{18} -4- R^{19} pyridine,

3- Q^b -5- Q^s -4- R^{16} -2- R^{19} thiophene, and 2- Q^b -5- Q^s -3- R^{16} -4- R^{17} thiophene;

- 15 R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

R^{16} or R^{19} is optionally $C(NR^{25})NR^{23}R^{24}$ with the proviso that R^{16} , R^{19} , and Q^b are not simultaneously hydrido;

- 20 R^{17} and R^{18} are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

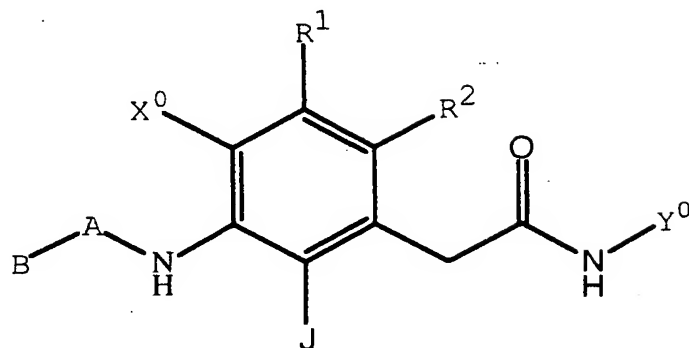
Q^b is $C(NR^{25})NR^{23}R^{24}$ or hydrido;

R^{23} , R^{24} , and R^{25} are independently hydrido or methyl;

Q^s is CH_2 .

25

42. Compound of Claim 39 of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of halo, haloalkyl, hydroxy, hydroxyalkyl, amino, and aminoalkyl;

- 5 B is a C3-C7 cycloalkyl or a C4-C6 saturated heterocyclyl, wherein each ring carbon is optionally substituted with R^{33} , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point
- 10 of attachment are optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from the point of attachment is optionally substituted with R^{10} , a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} , a ring carbon or nitrogen three atoms from the point of attachment
- 15 and adjacent to the R^{10} position is optionally substituted with R^{11} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R^{12} position is optionally substituted with R^{33} , and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the R^{11} and R^{33} positions is optionally substituted with R^{34} ;

- 20 R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkoxy,

alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, hydroxy, amino, alkylamino, alkylsulfonamido, amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxamido, carboxyalkyl, and cyano;

R^{33} and R^{34} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, and cyano;

R^{33} is optionally Q^b ;

A is a bond or $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is 0 or 1, pa is an integer selected from 0 through 3, and W^7 is $N(R^7)$;

R^7 is hydrido or alkyl;

R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

R^2 is Z^0-Q ;

Z^0 is a bond;

Q is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to Z^0 is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is

optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

Y^0 is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon
 5 of said phenyl or said heteroaryl is substituted by Q^s , a carbon two or three atoms from the point of attachment of Q^s to said phenyl or said heteroaryl is substituted by Q^b , a carbon adjacent to the point of attachment of Q^s is optionally substituted by R^{17} , another carbon adjacent to the point of attachment of Q^s is optionally substituted by R^{18} , a carbon adjacent to Q^b is
 10 optionally substituted by R^{16} , and another carbon adjacent to Q^b is optionally substituted by R^{19} ;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl,
 15 haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} or R^{19} is optionally $NR^{20}R^{21}$ or $C(NR^{25})NR^{23}R^{24}$, with the proviso that R^{16} , R^{19} , and Q^b are not simultaneously hydrido;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, hydrido, and
 20 $C(NR^{25})NR^{23}R^{24}$;

R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently hydrido or alkyl;

Q^s is CH_2 .

43. Compound of Claim 42 or a pharmaceutically acceptable salt thereof, wherein;

J is selected from the group consisting of fluoro, chloro, trifluoromethyl, hydroxy, hydroxymethyl, amino, and aminomethyl;

5 B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, bicyclo[3.1.0]hexan-6-yl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 10 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon is optionally substituted with R³³, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted 15 with R⁹ or R¹³, a ring carbon or nitrogen adjacent to the R⁹ position and two atoms from the point of attachment are optionally substituted with R¹⁰, and a ring carbon or nitrogen atom adjacent to the R¹³ position and two atoms from the point of attachment is optionally substituted with R¹²;

R⁹, R¹¹, and R¹³ are independently selected from the group consisting 20 of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano; 25 R¹⁰ and R¹² are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, 30 N-benzylamidodisulfonyl, N-(2-chlorobenzyl)amidodisulfonyl,

N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl, N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl, N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl, N-cyclohexylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, methoxyamino, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, methanesulfonamido, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

R^{33} is selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, carboxy, amino, N-methylamino, dimethylamino, methoxyamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, cyano, and Q^b ;

A is selected from the group consisting of a bond, NH, $N(CH_3)$, CH_2 , CH_3CH , CH_2CH_2 , and $CH_2CH_2CH_2$;

X^O is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, chloro, and fluoro;

R^1 is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, methylthio, trifluoromethoxy, fluoro, and chloro;

R^2 is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to the benzene ring is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at

the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

5 Y^0 is selected from the group consisting of:

- 1- Q^b -4- Q^s -2- R^{16} -3- R^{17} -5- R^{18} -6- R^{19} benzene,
 2- Q^b -5- Q^s -6- R^{17} -4- R^{18} -3- R^{19} pyridine, 2- Q^b -5- Q^s -3- R^{16} -4- R^{17} thiophene,
 3- Q^b -6- Q^s -2- R^{16} -5- R^{18} -4- R^{19} pyridine, 3- Q^b -5- Q^s -4- R^{16} -2- R^{19} thiophene,
 3- Q^b -5- Q^s -4- R^{16} -2- R^{19} furan, 2- Q^b -5- Q^s -3- R^{16} -4- R^{17} furan,
 10 3- Q^b -5- Q^s -4- R^{16} -2- R^{19} pyrrole, 2- Q^b -5- Q^s -3- R^{16} -4- R^{17} pyrrole,
 4- Q^b -2- Q^s -5- R^{19} thiazole, and 2- Q^b -5- Q^s -4- R^{17} thiazole;

- R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino,
 15 dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, hydroxymethyl, carboxy, and cyano;

Q^b is $NR^{20}R^{21}$ or $C(NR^{25})NR^{23}R^{24}$;

- R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group
 20 consisting of hydrido, methyl, and ethyl;
 Q^s is CH_2 .

44. Compound of Claim 43 or a pharmaceutically acceptable salt thereof, wherein;

- 25 J is selected from the group consisting of fluoro, trifluoromethyl, hydroxy, hydroxymethyl, amino, and aminomethyl;

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, 1-pyrrolidinyl and 1-piperidinyl;

A is selected from the group consisting of a bond, CH_2 , CH_2CH_2 and

5 $\text{CH}_2\text{CH}_2\text{CH}_2$;

X^O is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

10 R^1 is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

R^2 is selected from the group consisting of

- 3-amidocarbonyl-5-aminophenyl, 3-amidocarbonyl-5-aminophenyl,
- 3-amino-5-(N-benzylamidocarbonyl)phenyl,
- 15 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,
- 20 3-amino-5-(N-benzylamidosulfonyl)phenyl,
- 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl,
- 3-amino-5-(N-ethylamidocarbonyl)phenyl,
- 3-amino-5-(N-isopropylamidocarbonyl)phenyl,
- 3-amino-5-(N-propylamidocarbonyl)phenyl,
- 25 3-amino-5-(N-isobutylamidocarbonyl)phenyl,
- 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,
- 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,
- 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl,
- 30 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl,
- 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl,
- 3-aminophenyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl,
- 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl,

- 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 3-cyanophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl,
- 5 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;
- 10 Y^0 is selected from the group consisting of:
- 1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹ benzene,
- 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-3-R¹⁹ pyridine,
- 3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine,
- 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, and 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene;
- 15 R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;
- R^{17} and R^{18} are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;
- 20 Q^b is $C(NR^{25})NR^{23}R^{24}$;
- R^{23} , R^{24} , and R^{25} are independently hydrido or methyl;
- Q^s is CH_2 .

45. Compound of Claim 44 or a pharmaceutically acceptable salt thereof,
- 25 wherein;

J is selected from the group consisting of fluoro, hydroxy, hydroxymethyl, and amino;

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and 1-piperidinyl;

A is selected from the group consisting of a bond, CH_2 , CH_2CH_2 and

5 $\text{CH}_2\text{CH}_2\text{CH}_2$;

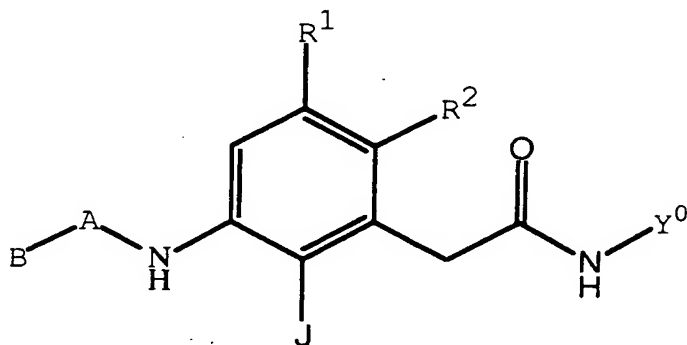
X^{O} is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

10 R^1 is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

R^2 is selected from the group consisting of
 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl,
 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl,
 15 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl,
 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl,
 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl,
 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,
 3-amino-5-(N-benzylamidosulfonyl)phenyl,
 20 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl,
 3-amino-5-(N-ethylamidocarbonyl)phenyl,
 3-amino-5-(N-isopropylamidocarbonyl)phenyl,
 3-amino-5-(N-propylamidocarbonyl)phenyl,
 3-amino-5-(N-isobutylamidocarbonyl)phenyl,
 25 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,
 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,
 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,
 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 3-aminophenyl,
 3-carboxy-5-aminophenyl, 3-chlorophenyl, 3,5-diaminophenyl,
 30 3-dimethylaminophenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl,
 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl,
 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl;

Y^0 is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

46. Compound of Claim 39 where said compound is selected from the group of
5 the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

- R^2 is 3-aminophenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 10 R^2 is 3-aminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 15 R^2 is 3-aminophenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;
- R^2 is 3-aminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-3-fluorobenzyl, J is hydroxy, and R^1 is chloro;
- 20 R^2 is 3-aminophenyl, B is cyclopentyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

- R^2 is 5-amino-2-thienyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is cyclopropyl, A is CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 5 R^2 is 3-aminophenyl, B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is cyclopentyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is cyclohexyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;
- 10 R^2 is 3-aminophenyl, B is oxalan-2-yl, A is CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is 1-piperidinyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 15 R^2 is 3-aminophenyl, B is 1-pyrrolidinyl, A is $CH_2CH_2CH_2$, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-amino-5-carbomethoxyphenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;
- R^2 is 3-amino-5-carboxyphenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;
- 20 R^2 is 3,5-diaminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;
- R^2 is 2-amino-6-carboxy-4-pyridyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;
- 25 R^2 is 3-amino-5-carbomethoxyphenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

- R^2 is 3-amino-5-carboxyphenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3,5-diaminophenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 5 R^2 is 3,5-diaminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3,5-diaminophenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3,5-diaminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;
- 10 R^2 is 3,5-diaminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-3-fluorobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3,5-diaminophenyl, B is cyclopentyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- 15 R^2 is 3-carboxy-5-aminophenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-carboxy-5-aminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;
- R^2 is 3-carboxy-5-aminophenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;
- 20 R^2 is 3-carboxy-5-aminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;
- R^2 is 3-carboxy-5-aminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-3-fluorobenzyl, J is hydroxy, and R^1 is chloro;
- 25 R^2 is 3-carboxy-5-aminophenyl, B is cyclopentyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;

5 R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;

10 R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;

R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-3-fluorobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclopentyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

15 R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;

20 R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;

25 R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;

R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-3-fluorobenzyl, J is hydroxy, and R^1 is chloro;

5 R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclopentyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

10 R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

15 R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is hydrido;

20 R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-3-fluorobenzyl, J is hydroxy, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclopentyl, A is a bond, Y^0 is 4-amidinobenzyl, J is hydroxy, and R^1 is chloro;

25 R^2 is 3-aminophenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-aminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;

- R^2 is 3-aminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;
- 5 R^2 is 3-aminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;
- R^2 is 3-aminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-3-fluorobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is cyclopentyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- 10 R^2 is 5-amino-2-thienyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is cyclopropyl, A is CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- 15 R^2 is 3-aminophenyl, B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is cyclopentyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is cyclohexyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;
- 20 R^2 is 3-aminophenyl, B is oxalan-2-yl, A is CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-aminophenyl, B is 1-piperidiny, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- 25 R^2 is 3-aminophenyl, B is 1-pyrrolidiny, A is $CH_2CH_2CH_2$, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-carbomethoxyphenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

R^2 is 3-amino-5-carboxyphenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

5 R^2 is 3,5-diaminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

R^2 is 2-amino-6-carboxy-4-pyridyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

10 R^2 is 3-amino-5-carbomethoxyphenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-carboxyphenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3,5-diaminophenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

15 R^2 is 3,5-diaminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3,5-diaminophenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;

20 R^2 is 3,5-diaminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

R^2 is 3,5-diaminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-3-fluorobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3,5-diaminophenyl, B is cyclopentyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

25 R^2 is 3-carboxy-5-aminophenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

- R^2 is 3-carboxy-5-aminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-carboxy-5-aminophenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;
- 5 R^2 is 3-carboxy-5-aminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;
- R^2 is 3-carboxy-5-aminophenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-3-fluorobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-carboxy-5-aminophenyl, B is cyclopentyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- 10 R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;
- 15 R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;
- 20 R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-3-fluorobenzyl, J is fluoro, and R^1 is chloro;
- R^2 is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclopentyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;
- 25 R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;

5 R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;

10 R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-3-fluorobenzyl, J is fluoro, and R^1 is chloro;

15 R^2 is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclopentyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

20 R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro;

25 R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclopropyl, A is a bond, Y^0 is 4-amidino-2-fluorobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;

R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidino-3-fluorobenzyl, J is fluoro, and R^1 is chloro;

R^2 is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl,
5 B is cyclopentyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is chloro.

47. A composition for inhibiting thrombotic conditions in blood comprising a compound of Claim 21 and a pharmaceutically acceptable carrier.

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48. A composition for inhibiting thrombotic conditions in blood comprising a compound of Claim 21 and a pharmaceutically acceptable carrier.

49. A method for inhibiting thrombotic conditions in blood comprising
15 adding to blood a therapeutically effective amount of a composition of Claim 21.

50. A method for inhibiting formation of blood platelet aggregates in blood comprising adding to blood a therapeutically effective amount of a
20 composition of Claim 21.

51. A method for inhibiting thrombus formation in blood comprising adding to blood a therapeutically effective amount of a composition of Claim 21.

25 52. A method for treating or preventing venous thromboembolism and pulmonary embolism in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of Claim 21.

53. A method for treating or preventing deep vein thrombosis in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of Claim 21.
- 5 54. A method for treating or preventing cardiogenic thromboembolism in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of Claim 21.
55. A method for treating or preventing thromboembolic stroke in humans
10 and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of Claim 21.
56. A method for treating or preventing thrombosis associated with cancer and cancer chemotherapy in humans and other mammals comprising
15 administering to the mammal a therapeutically effective amount of a composition of Claim 21.
57. A method for treating or preventing unstable angina in humans and other mammals comprising administering to the mammal a therapeutically
20 effective amount of a composition of Claim 21.
58. A method for inhibiting thrombus formation in blood comprising adding to blood a therapeutically effective amount of a compound of Claim 21 with a therapeutically effective amount of fibrinogen receptor antagonist.
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59. The use of a compound of Claim 21, or a pharmaceutically acceptable salt thereof, in the manufacture of medicament for inhibiting thrombus formation, treating thrombus formation, or preventing thrombus formation in a mammal.